

10/656,129

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(FILE 'HOME' ENTERED AT 19:34:35 ON 29 NOV 2005)

FILE 'REGISTRY' ENTERED AT 19:34:39 ON 29 NOV 2005

L1               STRUCTURE UPLOADED

L2               18 S L1

L3               STRUCTURE UPLOADED

L4               1 S L3

L5               3943 S L1 SSS FUL

L6               2824 S L5 AND NRS>3

L7               396 S L4   SUB=L5 FUL

FILE 'CAPLUS' ENTERED AT 19:37:20 ON 29 NOV 2005

L8               11 S L7

=> d ibib abs hitstr total

10/656,129

18X ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:409493 CAPLUS

DOCUMENT NUMBER: 142:482052

TITLE: Preparation of 3-(4-oxoquinazolin-3-yl)benzamides for treating conditions mediated by cytokines

INVENTOR(S): Brown, Dearg Sutherland; Nash, Ian Alun

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042502	A1	20050512	WO 2004-GB4474	20041022
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

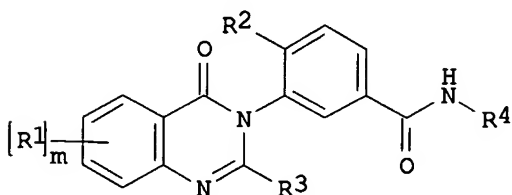
PRIORITY APPLN. INFO.:

GB 2003-24790

A 20031024

OTHER SOURCE(S): MARPAT 142:482052

GI



AB The title compds. I [ $m = 0-2$ ;  $R_1 = \text{OH, halo, CF}_3, \text{OCF}_3, \text{heterocyclyl, heterocyclyloxy, etc.}$ ;  $R_2 = \text{halo, CF}_3, \text{alkyl}$ ;  $R_3 = \text{H, halo or alkyl}$ ;  $R_4 = \text{(un)substituted cycloalkyl; or their pharmaceutically-acceptable salts}$ ], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared E.g., a multi-step synthesis of N-cyclopropyl-4-methyl-3-[6-(4-methyl-1,4-diazepan-1-yl)-4-oxoquinazolin-3(4H)-yl]benzamide, starting from 4-methyl-3-nitrobenzoyl chloride and cyclopropylamine, was given. The ability compds. I to inhibit the enzyme p38 kinase, and  $\text{TNF}\alpha$  production was assessed (biol. data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

IT 851846-87-2P 851846-88-3P 851847-02-4P

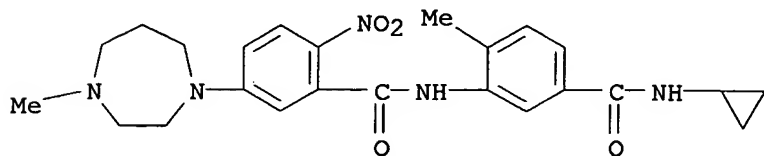
851847-03-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(4-oxoquinazolin-3-yl)benzamides for treating conditions mediated by cytokines)

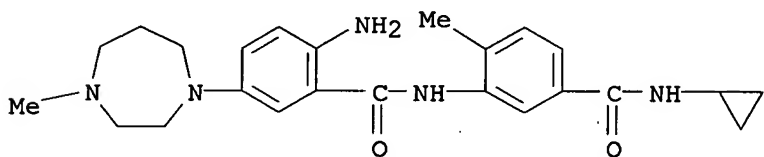
RN 851846-87-2 CAPLUS

CN Benzamide, N-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-nitro- (9CI) (CA INDEX NAME)



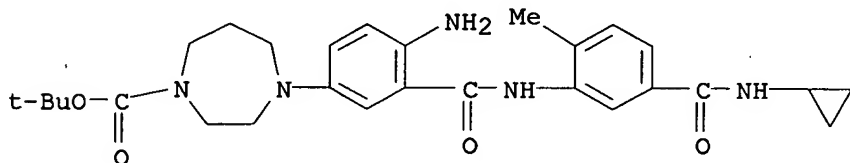
RN 851846-88-3 CAPLUS

CN Benzamide, 2-amino-N-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



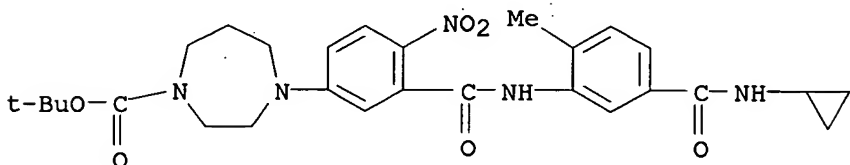
RN 851847-02-4 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[4-amino-3-[[[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]amino]carbonyl]phenyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 851847-03-5 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[3-[[[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]amino]carbonyl]-4-nitrophenyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:69155 CAPLUS

DOCUMENT NUMBER: 142:211432

TITLE: Synthesis and biological activity of novel  
1,2-disubstituted benzene derivatives as factor Xa  
inhibitors

AUTHOR(S): Koshio, Hiroyuki; Hirayama, Fukushi; Ishihara,  
Tsukasa; Shiraki, Ryouta; Shigenaga, Takeshi;  
Taniuchi, Yuta; Sato, Kazuo; Moritani, Yumiko;  
Iwatsuki, Yoshiyuki; Kaku, Seiji; Katayama, Naoko;  
Kawasaki, Tomihisa; Matsumoto, Yuzo; Sakamoto,  
Shuichi; Tsukamoto, Shin-ichi

CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi  
Pharmaceutical Co. Ltd, Tsukuba, Ibaraki, 305-8585,  
Japan

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(4),  
1305-1323

CODEN: BMECEP; ISSN: 0968-0896

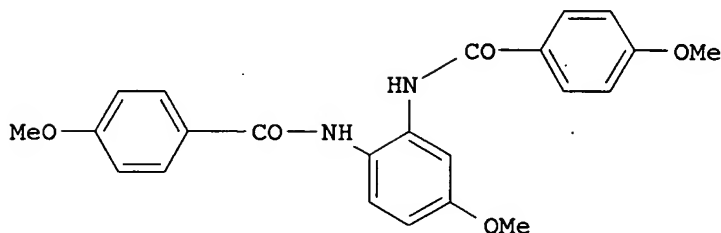
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:211432

GI



I

AB Factor Xa (fXa) is a serine protease that plays a pivotal role in the coagulation cascade. High-throughput screening of the Yamanouchi compound library yielded lead compound I with the ability to inhibit fXa at micromolar concns. To improve its fXa inhibitory activity and its oral anticoagulant activity, the linker between benzamidine and the central benzene ring was modified and a carboxyl group was introduced at the central benzene ring. The resulting compds. 40b (YM-203552), 41a (YM-202054), and 41c (YM-203558) exhibited potent fXa inhibitory activity and oral anticoagulant activity. In particular, YM-203558 exhibited the most potent oral anticoagulant activity, prolonging PT more than 3-fold at 0.5 and 2.0 h. Addnl., these compds. showed a high degree of selectivity for other serine proteases.

IT 736920-15-3P 753441-25-7P 781605-91-2P, YM  
203558 840531-35-3P 840531-44-4P 840531-45-5P  
840531-48-8P 840531-49-9P 840531-50-2P  
840531-52-4P 840531-54-6P, YM 202054  
840531-58-0P 840531-59-1P 840531-60-4P

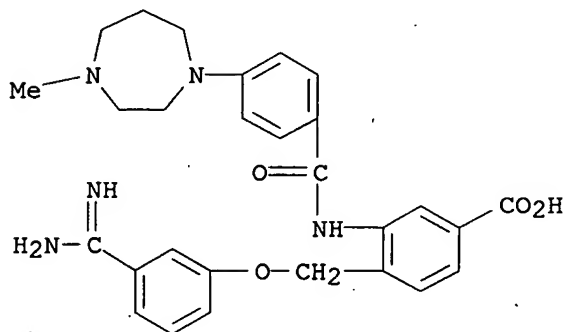
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and biol. activity of disubstituted benzene derivs. as factor Xa inhibitors)

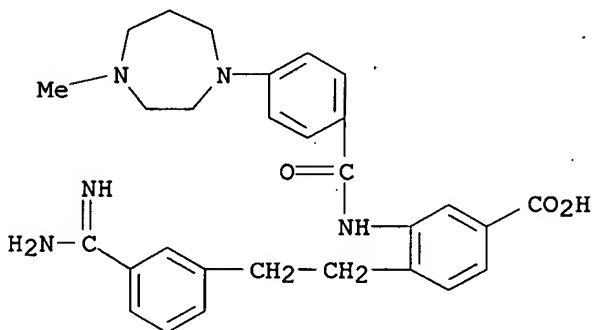
RN 736920-15-3 CAPLUS

CN Benzoic acid, 4-[[3-(aminoiminomethyl)phenoxy]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



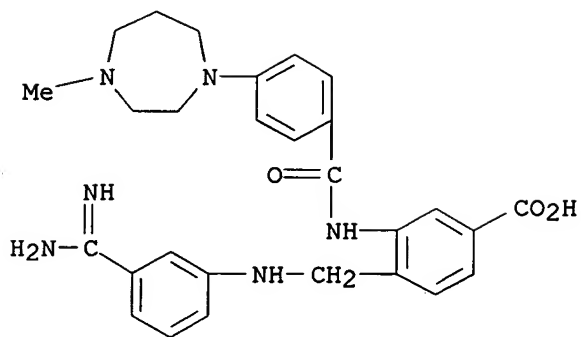
RN 753441-25-7 CAPLUS

CN Benzoic acid, 4-[2-[3-(aminoiminomethyl)phenyl]ethyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



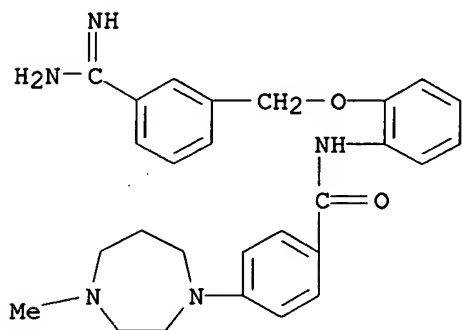
RN 781605-91-2 CAPLUS

CN Benzoic acid, 4-[[[3-(aminoiminomethyl)phenyl]amino]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



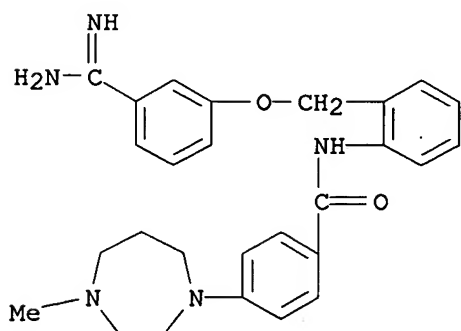
RN 840531-35-3 CAPLUS

CN Benzamide, N-[2-[[3-(aminoiminomethyl)phenyl]methoxy]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



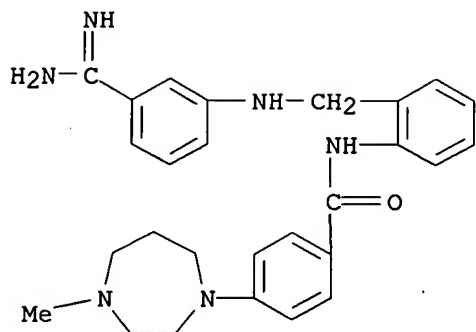
RN 840531-44-4 CAPLUS

CN Benzamide, N-[2-[[3-(aminoiminomethyl)phenoxy]methyl]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

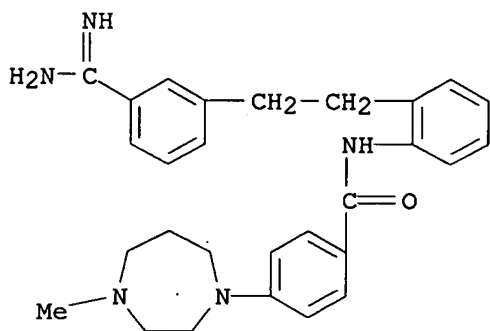


RN 840531-45-5 CAPLUS

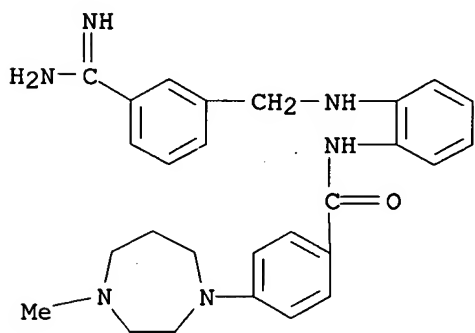
CN Benzamide, N-[2-[[[3-(aminoiminomethyl)phenyl]amino]methyl]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



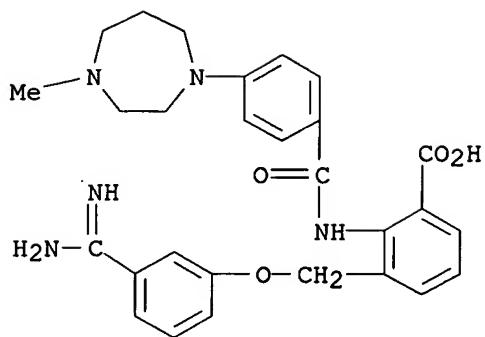
RN 840531-48-8 CAPLUS  
 CN Benzamide, N-[2-[2-[3-(aminoiminomethyl)phenyl]ethyl]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 840531-49-9 CAPLUS  
 CN Benzamide, N-[2-[[[3-(aminoiminomethyl)phenyl]methyl]amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

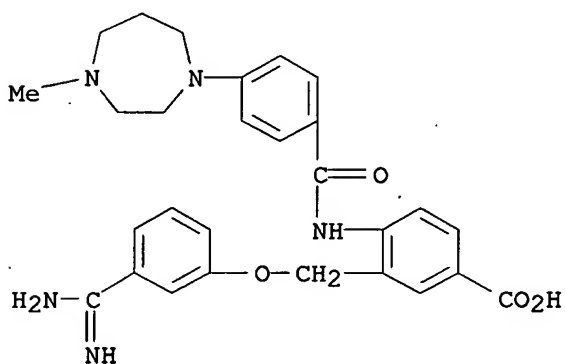


RN 840531-50-2 CAPLUS  
 CN Benzoic acid, 3-[[[3-(aminoiminomethyl)phenoxy]methyl]-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



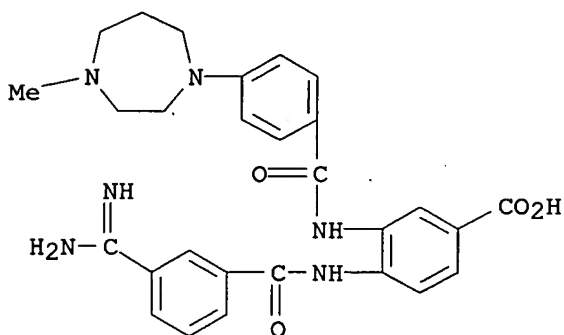
RN 840531-52-4 CAPLUS

CN Benzoic acid, 3-[[3-(aminoiminomethyl)phenoxy]methyl]-4-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 840531-54-6 CAPLUS

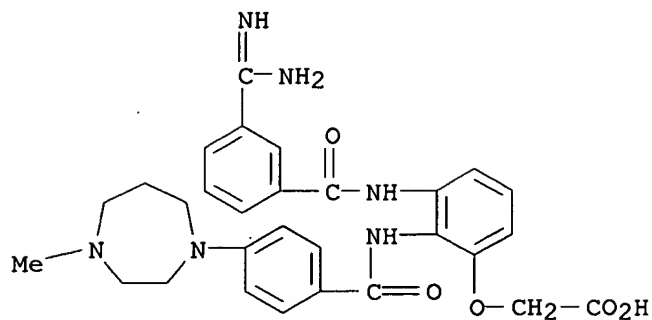
CN Benzoic acid, 4-[[3-(aminoiminomethyl)benzoyl]amino]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 840531-58-0 CAPLUS

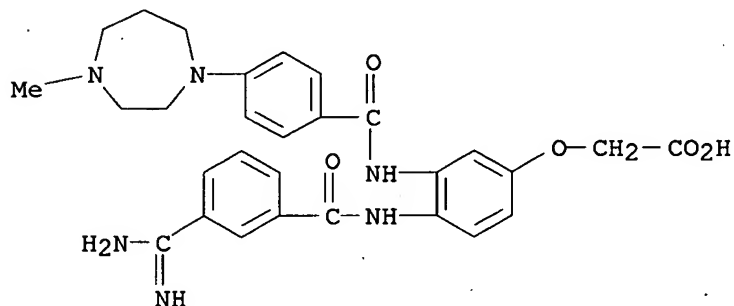
CN Acetic acid, [3-[[3-(aminoiminomethyl)benzoyl]amino]-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)





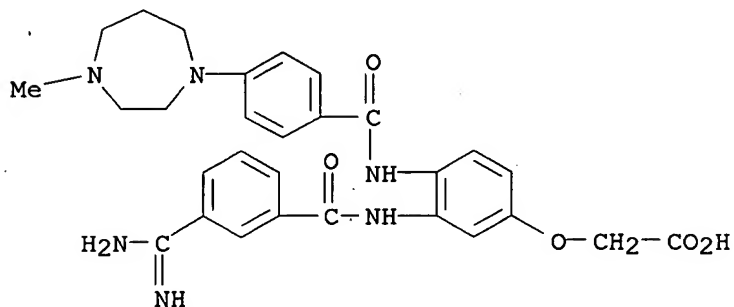
RN 840531-59-1 CAPLUS

CN Acetic acid, [4-[[3-(aminoiminomethyl)benzoyl]amino]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 840531-60-4 CAPLUS

CN Acetic acid, [3-[[3-(aminoiminomethyl)benzoyl]amino]-4-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



IT 303134-35-2P 365462-88-0P 751469-90-6P

756807-27-9P 761392-41-0P 840530-95-2P

840530-97-4P 840530-99-6P 840531-01-3P

840531-07-9P 840531-09-1P 840531-20-6P

840531-21-7P 840531-22-8P 840531-24-0P

840531-25-1P 840531-27-3P 840531-29-5P

840531-33-1P 840531-34-2P 840531-36-4P

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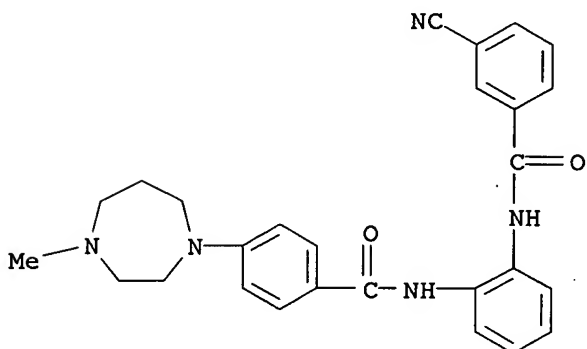
840531-43-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol. activity of disubstituted benzene derivs. as factor Xa inhibitors)

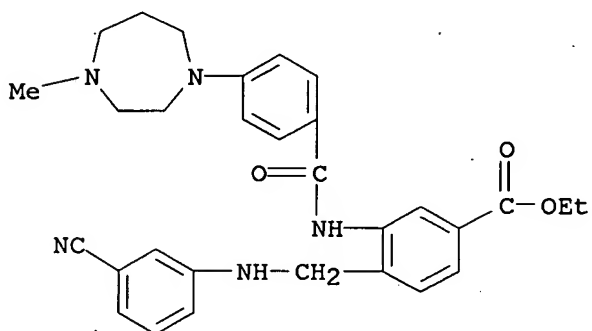
RN 303134-35-2 CAPLUS

CN Benzamide, 3-cyano-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



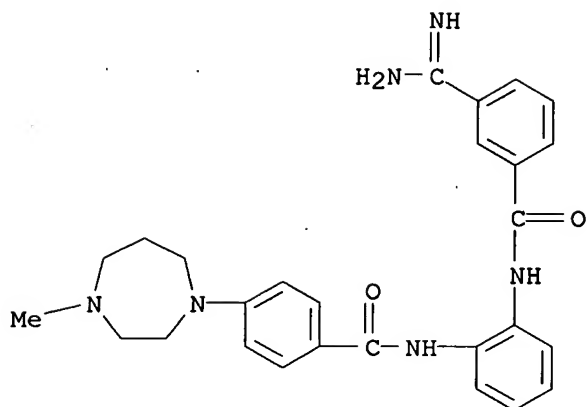
RN 365462-88-0 CAPLUS

CN Benzoic acid, 4-[[[(3-cyanophenyl)amino]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



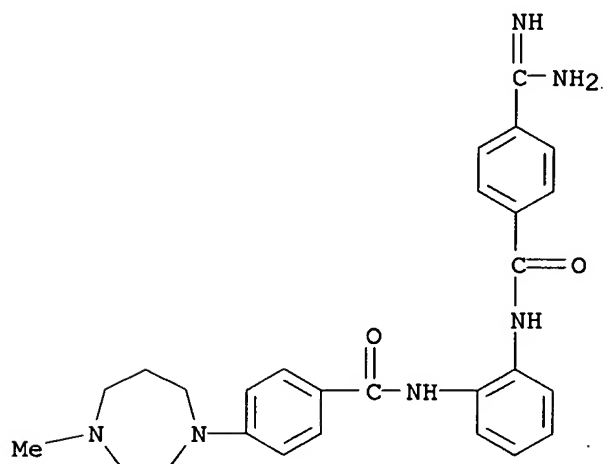
RN 751469-90-6 CAPLUS

CN Benzamide, 3-(aminoiminomethyl)-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



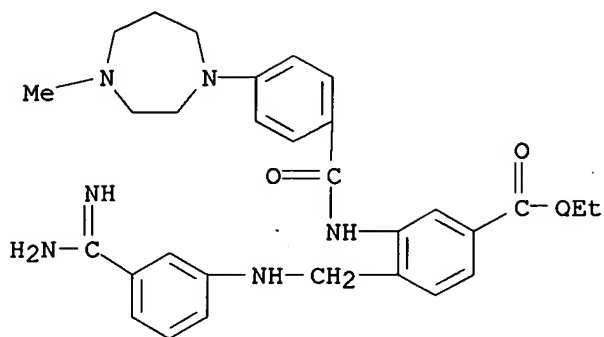
RN 756807-27-9 CAPLUS

CN Benamide, N-[2-[[4-(aminoiminomethyl)benzoyl]amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



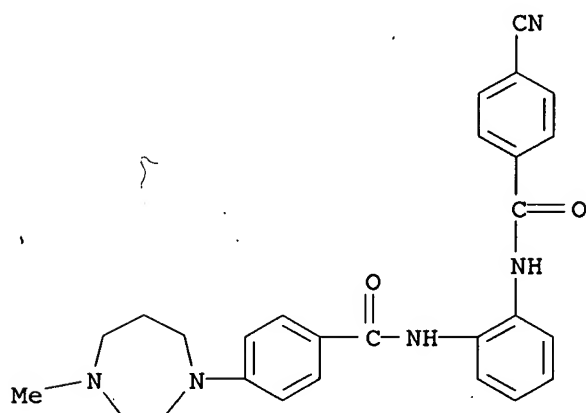
RN 761392-41-0 CAPLUS

CN Benzoic acid, 4-[[[3-(aminoiminomethyl)phenyl]amino]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



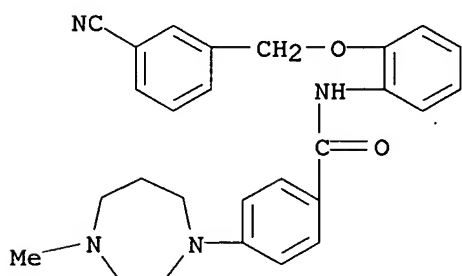
RN 840530-95-2 CAPLUS

CN Benzamide, N-[2-[(4-cyanobenzoyl)amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



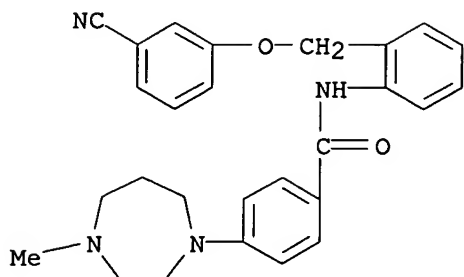
RN 840530-97-4 CAPLUS

CN Benzamide, N-[2-[(3-cyanophenyl)methoxy]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



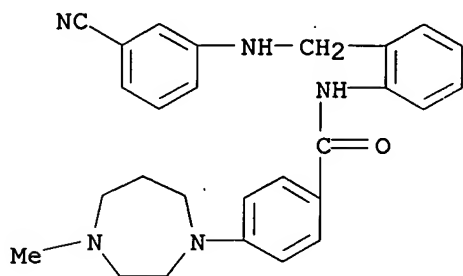
RN 840530-99-6 CAPLUS

CN Benzamide, N-[2-[(3-cyanophenoxy)methyl]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



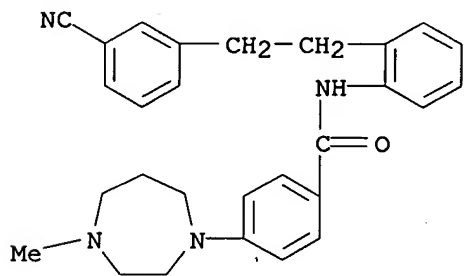
RN 840531-01-3 CAPLUS

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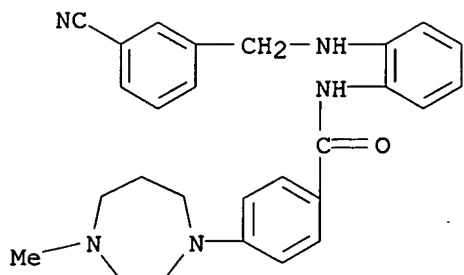
RN 840531-07-9 CAPLUS

CN Benzamide, N-[2-[2-(3-cyanophenyl)ethyl]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



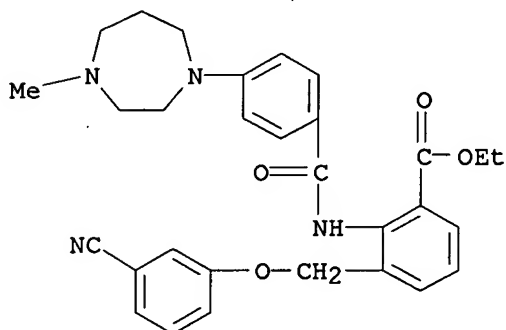
RN 840531-09-1 CAPLUS

CN Benzamide, N-[2-[[[(3-cyanophenyl)methyl]amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



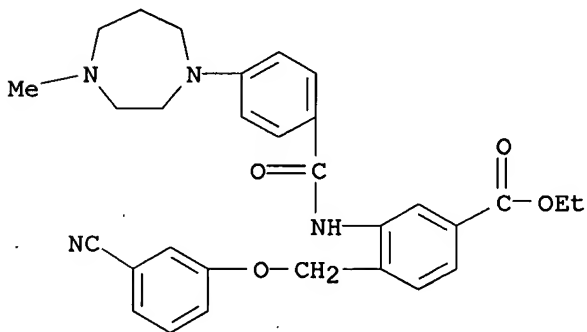
RN 840531-20-6 CAPLUS

CN Benzoic acid, 3-[(3-cyanophenoxy)methyl]-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



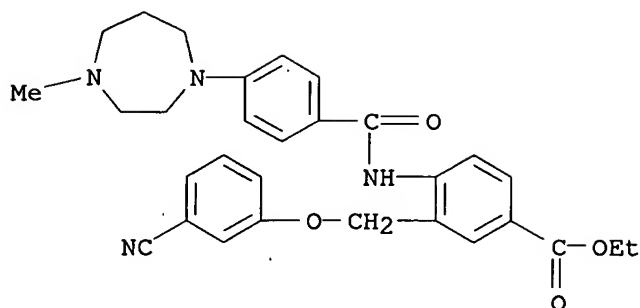
RN 840531-21-7 CAPLUS

CN Benzoic acid, 4-[(3-cyanophenoxy)methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



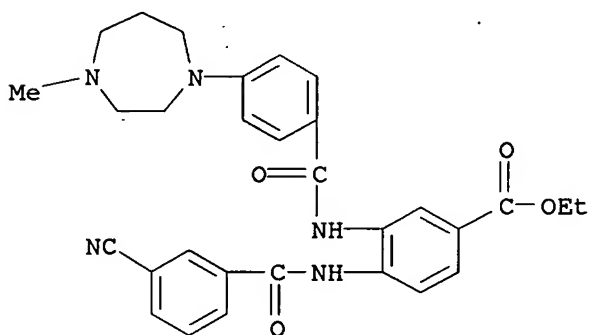
RN 840531-22-8 CAPLUS

CN Benzoic acid, 3-[(3-cyanophenoxy)methyl]-4-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



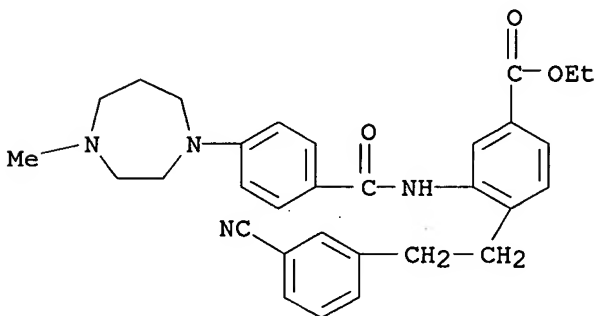
RN 840531-24-0 CAPLUS

CN Benzoic acid, 4-[(3-cyanobenzoyl)amino]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



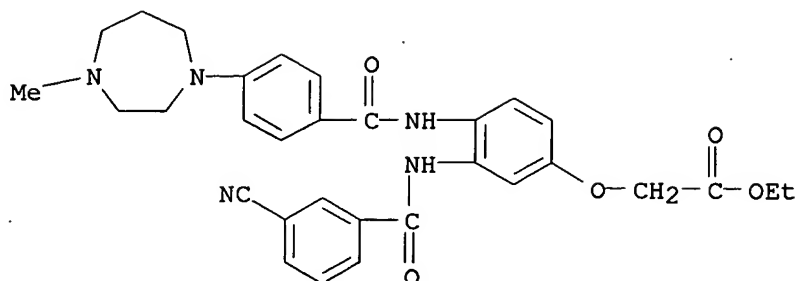
RN 840531-25-1 CAPLUS

CN Benzoic acid, 4-[2-(3-cyanophenyl)ethyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



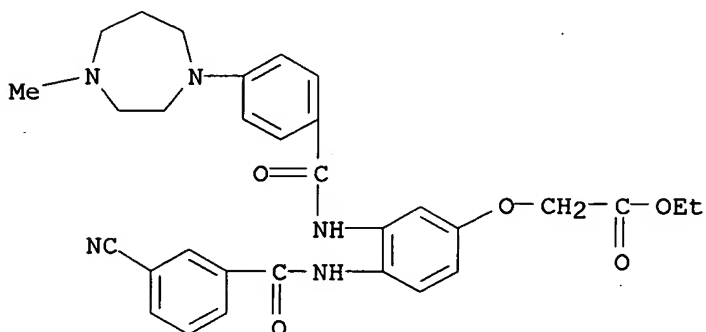
RN 840531-27-3 CAPLUS

CN Acetic acid, [3-[(3-cyanobenzoyl)amino]-4-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



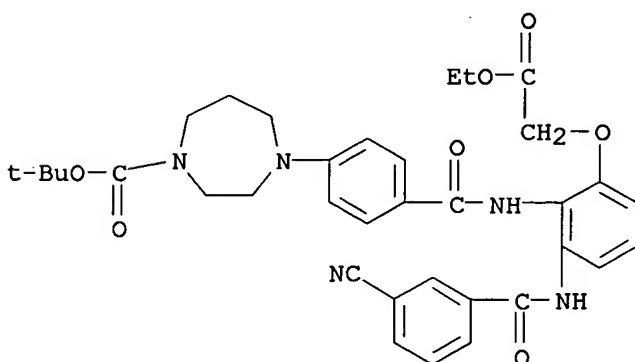
RN 840531-29-5 CAPLUS

CN Acetic acid, [4-[(3-cyanobenzoyl)amino]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 840531-33-1 CAPLUS

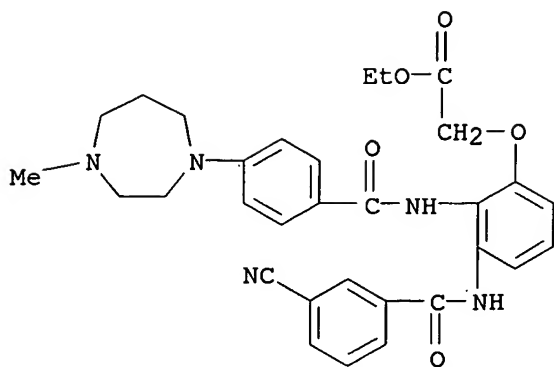
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[4-[[[2-[(3-cyanobenzoyl)amino]-6-(2-ethoxy-2-oxoethoxy)phenyl]amino]carbonyl]phenyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 840531-34-2 CAPLUS

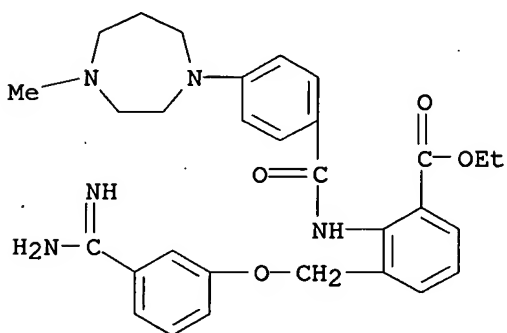
CN Acetic acid, [3-[(3-cyanobenzoyl)amino]-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)





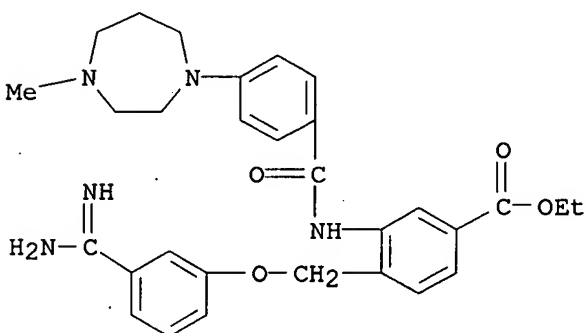
RN 840531-36-4 CAPLUS

CN Benzoic acid, 3-[[3-(aminoiminomethyl)phenoxy]methyl]-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 840531-37-5 CAPLUS

CN Benzoic acid, 4-[[3-(aminoiminomethyl)phenoxy]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

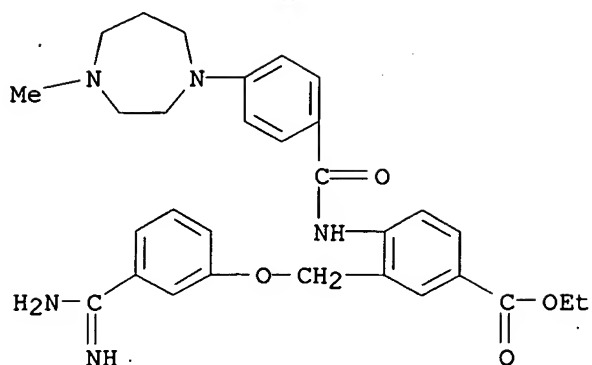


RN 840531-38-6 CAPLUS

CN Benzoic acid, 3-[[3-(aminoiminomethyl)phenoxy]methyl]-4-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

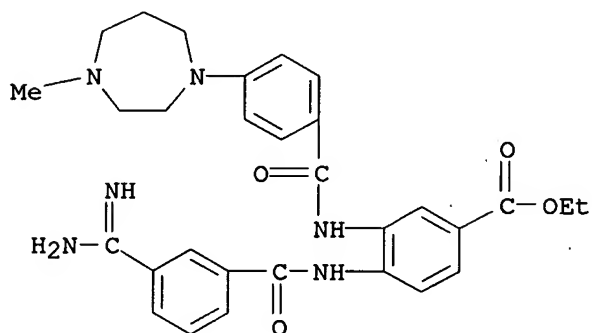
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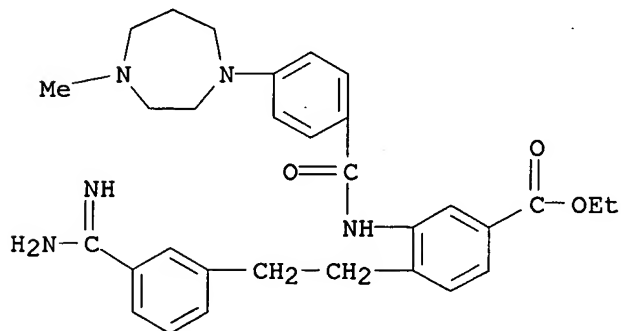
RN 840531-39-7 CAPLUS

CN Benzoic acid, 4-[[3-(aminoiminomethyl)benzoyl]amino]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



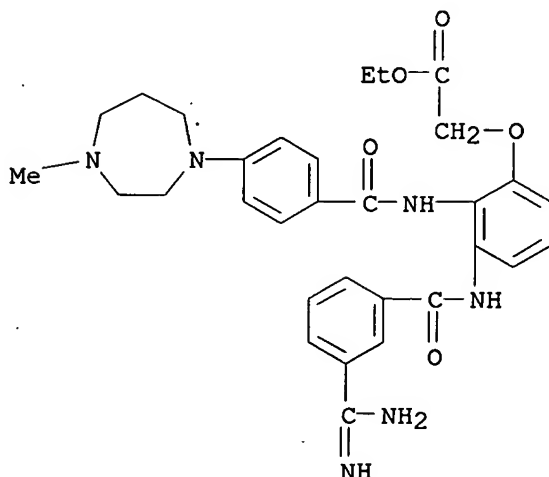
RN 840531-40-0 CAPLUS

CN Benzoic acid, 4-[2-[3-(aminoiminomethyl)phenyl]ethyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



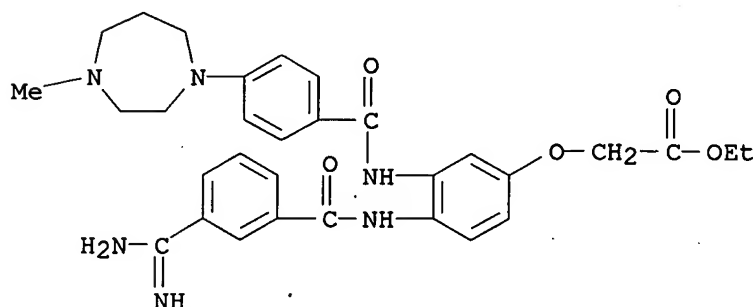
RN 840531-41-1 CAPLUS

CN Acetic acid, [3-[[3-(aminoiminomethyl)benzoyl]amino]-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]-, ethyl ester (9CI)  
(CA INDEX NAME)



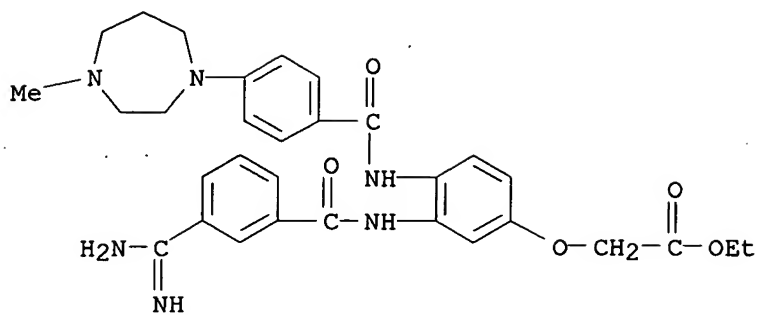
RN 840531-42-2 CAPLUS

CN Acetic acid, [4-[[3-(aminoiminomethyl)benzoyl]amino]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]-, ethyl ester (9CI)  
(CA INDEX NAME)



RN 840531-43-3 CAPLUS

CN Acetic acid, [3-[[3-(aminoiminomethyl)benzoyl]amino]-4-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenoxy]-, ethyl ester (9CI)  
(CA INDEX NAME)



REFERENCE COUNT:

21

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:637656 CAPLUS

DOCUMENT NUMBER: 137:169553

TITLE: Preparation of substituted benzoylaminocarboxamides as inhibitors of factor Xa

INVENTOR(S): Herron, David Kent; Joseph, Sajan; Marquart, Angela Lynn; Masters, John Joseph; Mendel, David; Smith, Gerald Floyd; Waid, Philip Parker; Wiley, Michael Robert; Yee, Ying Kwong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

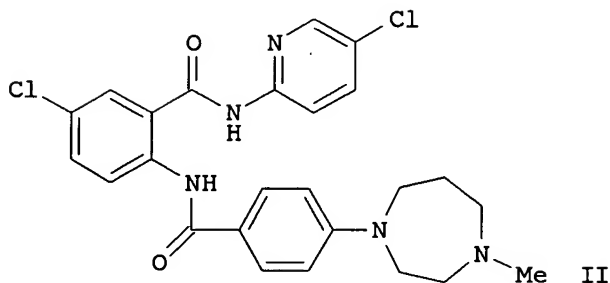
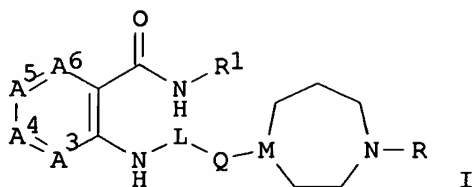
DOCUMENT TYPE: Patent

LANGUAGE: English

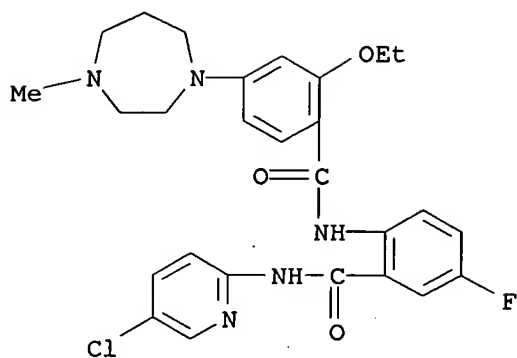
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

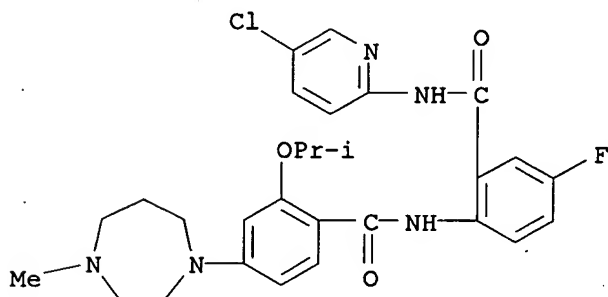
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WO 2002064567	A2	20020822	WO 2001-US42941	20011114
WO 2002064567	C1	20031218		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1379506	A2	20040114	EP 2001-273729	20011114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004058959	A1	20040325	US 2003-415756	20030430
PRIORITY APPLN. INFO.:			US 2000-253501P	P 20001128
			WO 2001-US42941	W 20011114
OTHER SOURCE(S):	MARPAT 137:169553			
GI				



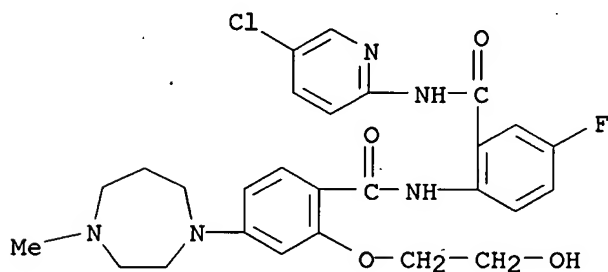
- AB Title compds. I [R1 = (un)substituted 2-pyridinyl, 3-pyridinyl, Ph, 6-indolyl, 6-indazolyl; A3-6 together with the two carbons to which they are attached, complete a (un)substituted benzene, heteroarom., etc.; L = CO, methylene; M = N; Q = substituted Ph, cyclohexan-1,4-diyl, piperidin-1,4-diyl; R = H, alkyl, cycloalkyl, acyl, acetyloxyacetyl, aminoacetyl, hydroxyacetyl, alkoxyacetyl, alkoxyacetylmethyl, etc.] were prepared For example, 5-Chloro-2-nitro-N-(5-chloropyridin-2-yl)benzamide (preparation given) was reduced to the corresponding aniline (MeOH, NaBH<sub>4</sub>) and acylated with 4-fluorobenzoyl chloride (CH<sub>2</sub>Cl<sub>2</sub>, pyridine). This intermediate was reacted with 1-methylhexahydro-1,4-diazepine (DMSO, 90°, 24 h) to afford II. I are inhibitors of factor Xa and used to produce an anticoagulant or antithrombotic effect.
- IT **448934-07-4P**, 2-[2-Ethoxy-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-5-fluoro-N-(5-chloropyridin-2-yl)benzamide  
**448934-09-6P**, 5-Fluoro-2-[2-isopropoxy-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide  
**448934-11-0P**, 5-Fluoro-2-[2-(2-hydroxyethoxy)-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide  
**448934-13-2P**, 5-Fluoro-2-[2-(2-methoxyethoxy)-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (factor Xa inhibitor; preparation of substituted benzoylaminocarboxamides as inhibitors of factor Xa)
- RN 448934-07-4 CAPLUS
- CN Benzamide, N-[2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-2-ethoxy-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)]- (9CI) (CA INDEX NAME)



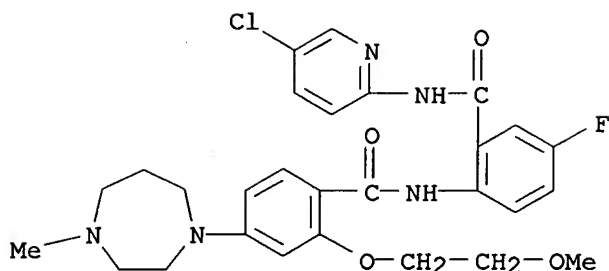
RN 448934-09-6 CAPLUS  
 CN Benzamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(1-methylethoxy)- (9CI) (CA INDEX NAME)



RN 448934-11-0 CAPLUS  
 CN Benzamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(2-hydroxyethoxy)- (9CI) (CA INDEX NAME)



RN 448934-13-2 CAPLUS  
 CN Benzamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(2-methoxyethoxy)- (9CI) (CA INDEX NAME)



IT **448933-82-2P**, 5-Fluoro-2-[4-(hexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-84-4P**, 5-Chloro-2-[4-(hexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-85-5P**, 2-[4-(Hexahydro-1,4-diazepin-1-yl)benzoylamino]-5-methyl-N-(5-methylpyridin-2-yl)benzamide **448933-86-6P** **448933-87-7P**, 5-Fluoro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-88-8P**, 5-Chloro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-89-9P**, 5-Chloro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-methylpyridin-2-yl)benzamide **448933-90-2P**, 5-Methyl-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-methylpyridin-2-yl)benzamide **448933-92-4P**, 5-Fluoro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)-2-trifluoromethylbenzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-93-5P**, 5-Chloro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)-2-trifluoromethylbenzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-94-6P**, 5-Fluoro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)-3-trifluoromethylbenzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-95-7P**, 5-Chloro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)-3-trifluoromethylbenzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-96-8P**, 5-Fluoro-2-[3-fluoro-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448933-97-9P**, 2-[3,5-Difluoro-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-5-fluoro-N-(5-chloropyridin-2-yl)benzamide **448933-98-0P**, 5-Chloro-2-[3,5-difluoro-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448934-03-0P** **448934-08-5P**, 2-[2-Ethoxy-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-5-fluoro-N-(5-chloropyridin-2-yl)benzamide Trihydrochloride **448934-10-9P**, 5-Fluoro-2-[2-isopropoxy-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide Trihydrochloride **448934-12-1P**, 5-Fluoro-2-[2-(2-hydroxyethoxy)-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide Trihydrochloride **448934-14-3P**, 5-Fluoro-2-[2-(2-methoxyethoxy)-4-(4-methylhexahydro-1,4-diazepin-1-yl)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide Trihydrochloride **448934-15-4P**, 5-Fluoro-2-[4-(4-methylhexahydro-1,4-diazepin-1-yl)-2-(2-methylthioethoxy)benzoylamino]-N-(5-chloropyridin-2-yl)benzamide **448934-16-5P**, 5-Chloro-2-[[[4-(4-methylhexahydro-1,4-diazepin-1-yl)cyclohexyl]carbonyl]amino]-N-(5-chloropyridin-2-yl)benzamide Dihydrochloride **448934-18-7P**, 2-[[[4-(4-Methylhexahydro-1,4-diazepin-1-yl)piperidin-1-yl]carbonyl]amino]-N-(5-chloropyridin-2-yl)benzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

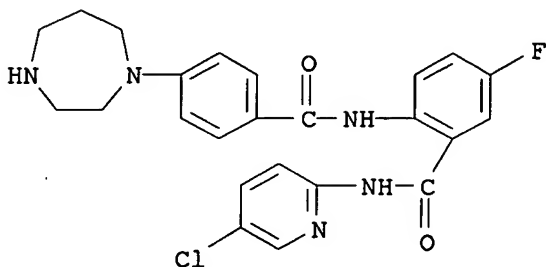


## (Uses)

(factor Xa inhibitor; preparation of substituted benzoylaminocarboxamides as inhibitors of factor Xa)

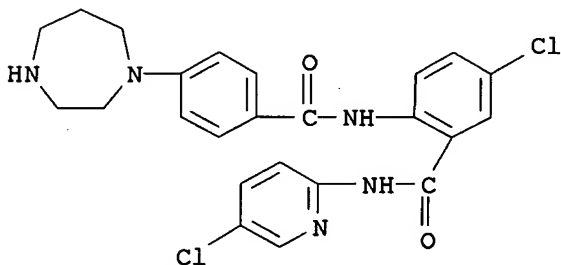
RN 448933-82-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



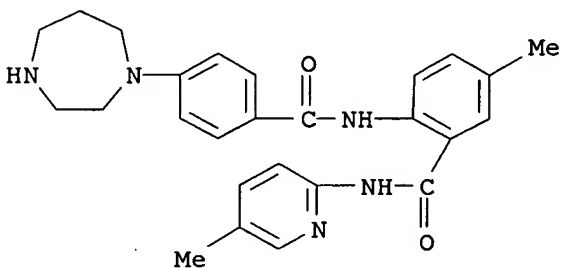
RN 448933-84-4 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



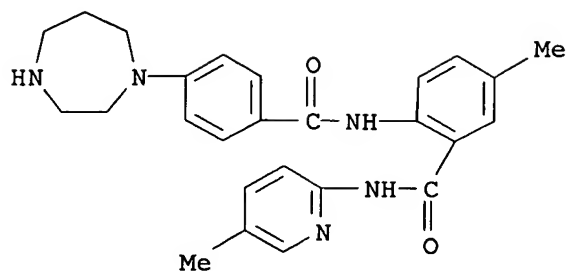
RN 448933-85-5 CAPLUS

CN Benzamide, 2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]-5-methyl-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 448933-86-6 CAPLUS

CN Benzamide, 2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]-5-methyl-N-(5-methyl-2-pyridinyl)-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

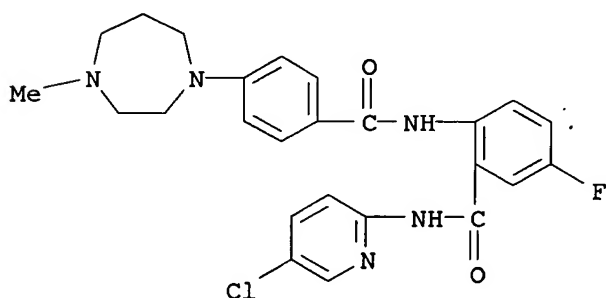


● HCl

● H<sub>2</sub>O

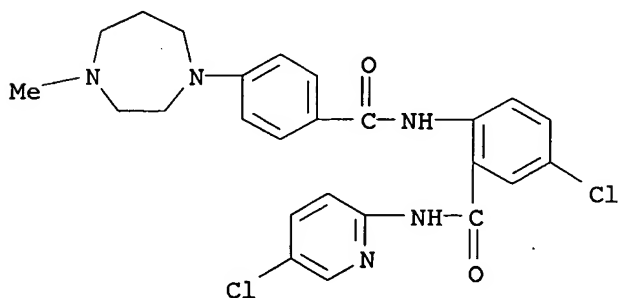
RN 448933-87-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



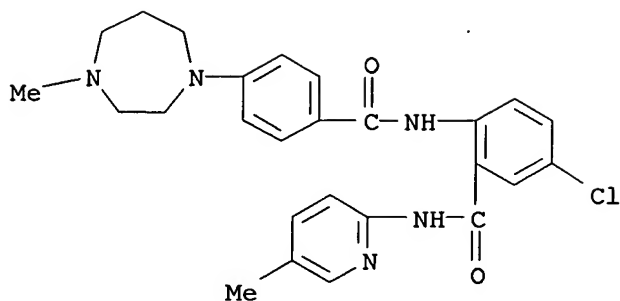
RN 448933-88-8 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



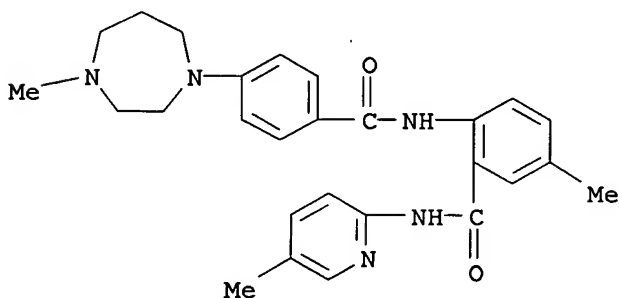
RN 448933-89-9 CAPLUS

CN Benzamide, 5-chloro-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



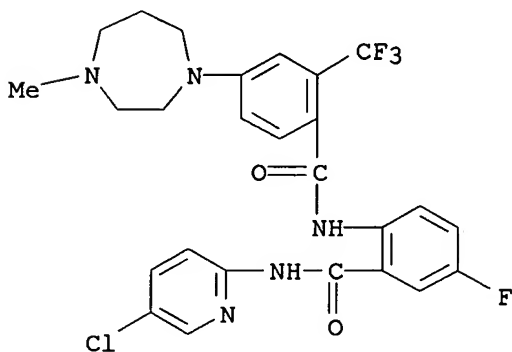
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CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-5-methyl-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



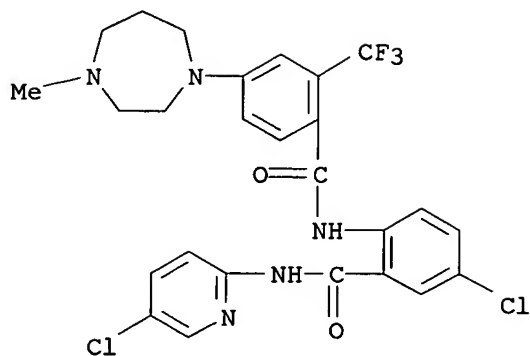
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CN Benzamide, N-[2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



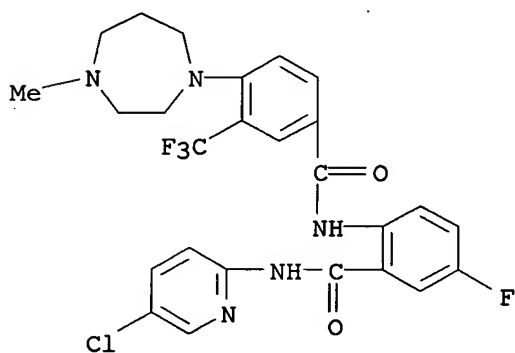
RN 448933-93-5 CAPLUS

CN Benzamide, N-[4-chloro-2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



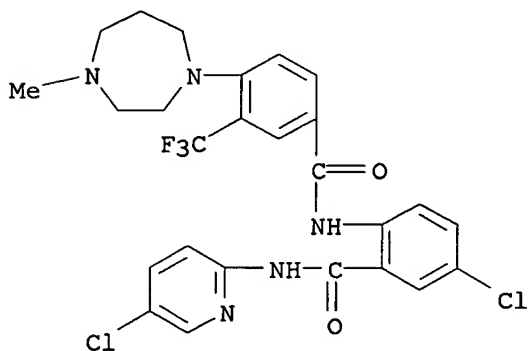
RN 448933-94-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-3-(trifluoromethyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



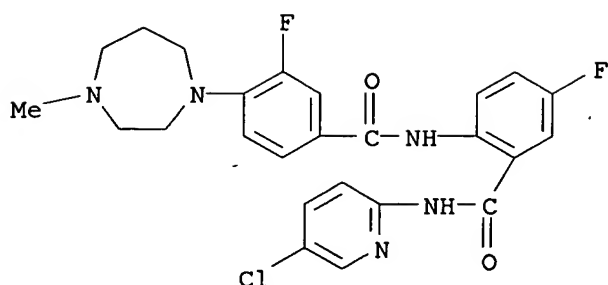
RN 448933-95-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-3-(trifluoromethyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



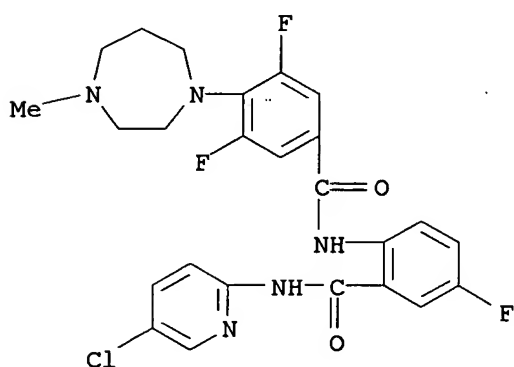
RN 448933-96-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[3-fluoro-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



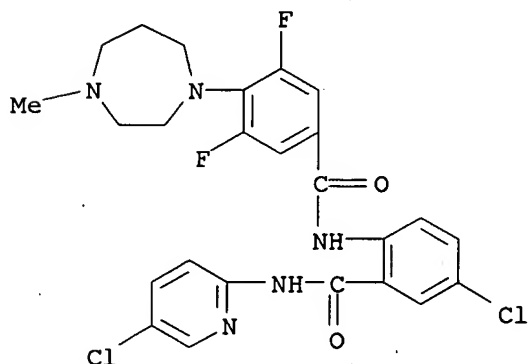
RN 448933-97-9 CAPLUS

CN Benzamide, N-[2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-3,5-difluoro-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 448933-98-0 CAPLUS

CN Benzamide, N-[4-chloro-2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-3,5-difluoro-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 448934-03-0 CAPLUS

CN Benzamide, N-[4-chloro-2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-4-

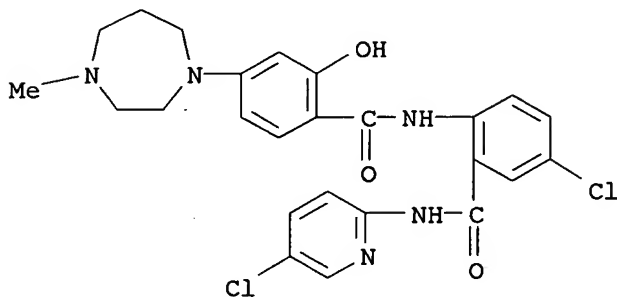
10/656,129

(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-hydroxy-,  
tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 448934-02-9

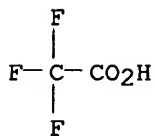
CMF C25 H25 Cl2 N5 O3



CM 2

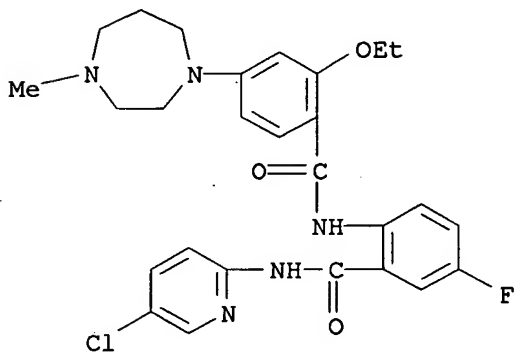
CRN 76-05-1

CMF C2 H F3 O2



RN 448934-08-5 CAPLUS

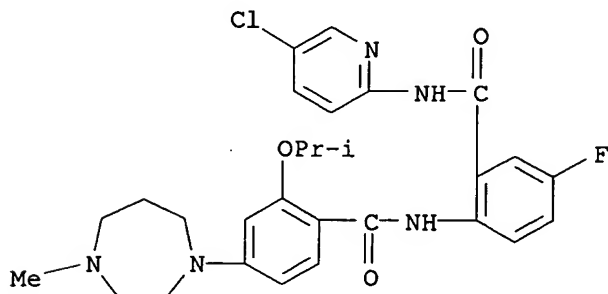
CN Benzamide, N-[2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-2-ethoxy-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, trihydrochloride  
(9CI) (CA INDEX NAME)



● 3 HCl

RN 448934-10-9 CAPLUS

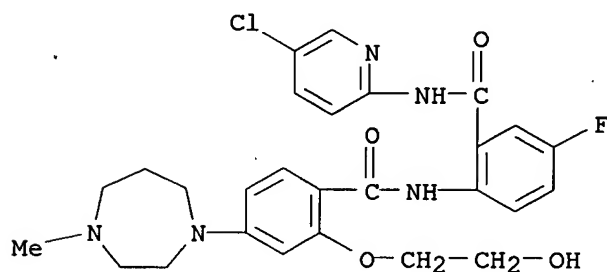
CN Benzamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(1-methylethoxy)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 448934-12-1 CAPLUS

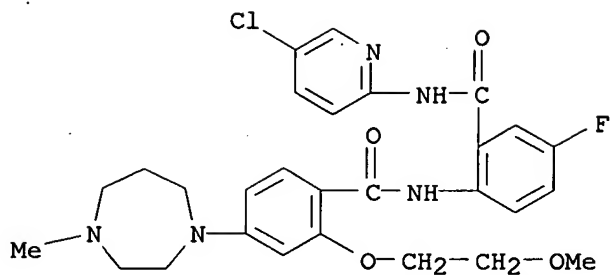
CN Benzamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(2-hydroxyethoxy)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 448934-14-3 CAPLUS

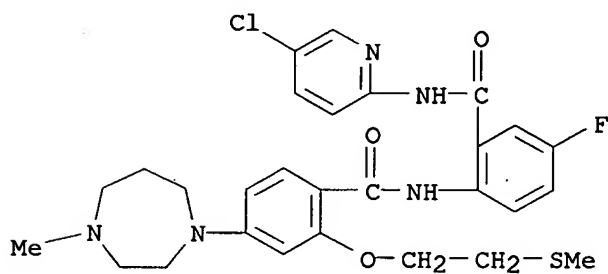
CN Benzamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(2-methoxyethoxy)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

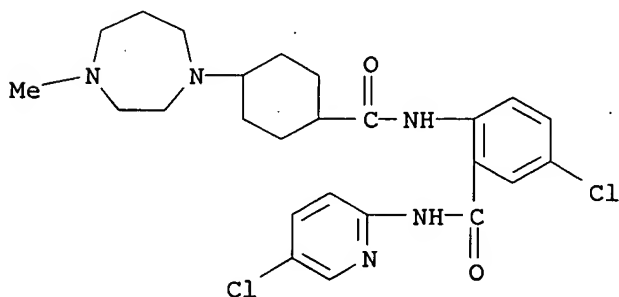
RN 448934-15-4 CAPLUS

CN Benzamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-[2-(methylthio)ethoxy]- (9CI) (CA INDEX NAME)



RN 448934-16-5 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl) cyclohexyl] carbonyl] amino]-, dihydrochloride (9CI) (CA INDEX NAME)

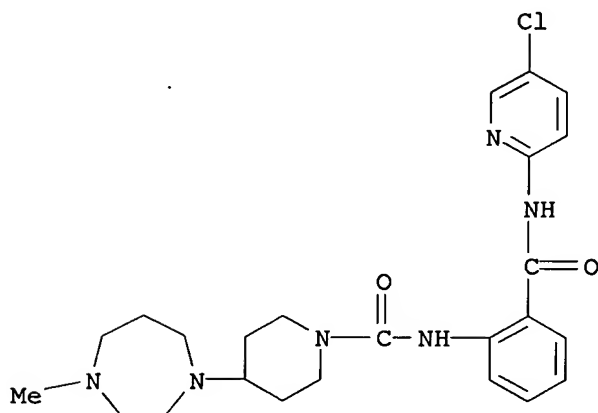


● 2 HCl



RN 448934-18-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl] phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



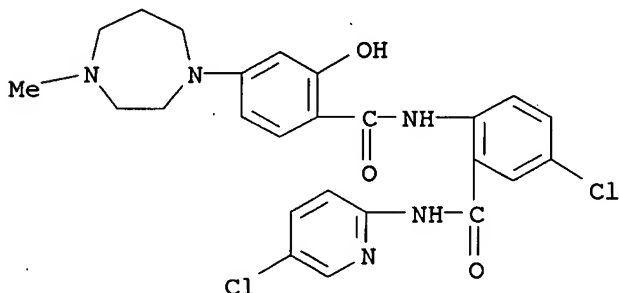
IT 448934-02-9P 448934-05-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of substituted benzoylaminocarboxamides as inhibitors of factor Xa)

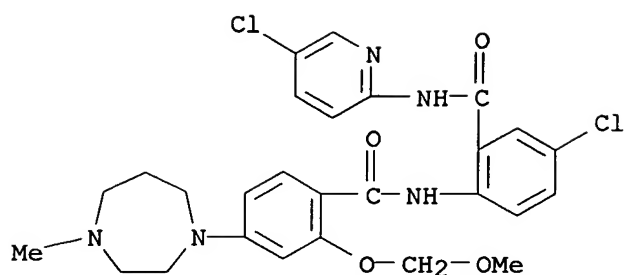
RN 448934-02-9 CAPLUS

CN Benzamide, N-[4-chloro-2-[[ (5-chloro-2-pyridinyl) amino] carbonyl] phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

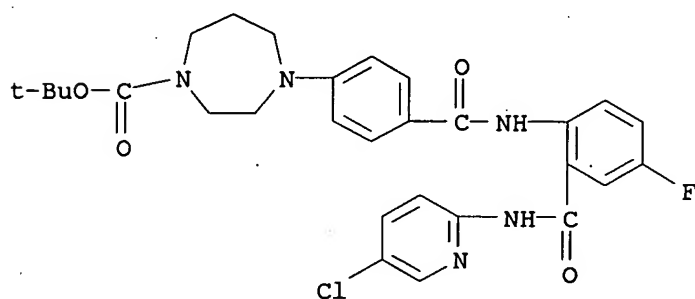


RN 448934-05-2 CAPLUS

CN Benzamide, N-[4-chloro-2-[[ (5-chloro-2-pyridinyl) amino] carbonyl] phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-(methoxymethoxy)- (9CI) (CA INDEX NAME)



IT **448933-83-3**, 2-[4-(4-tert-Butoxycarbonylhexahydro-1,4-diazepin-1-yl)benzoylamino]-5-fluoro-N-(5-chloropyridin-2-yl)benzamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of substituted benzoylamino-carboxamides as inhibitors of factor Xa)  
 RN 448933-83-3 CAPLUS  
 CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[4-[[[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]carbonyl]phenyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:408645 CAPLUS

DOCUMENT NUMBER: 137:6352

TITLE: Preparation of benzanilide derivatives as inhibitors of activated blood coagulation factor X

INVENTOR(S): Ishihara, Tsukasa; Hirayama, Fukushi; Sugasawa, Keizo; Koga, Yuji; Kadokura, Takeshi; Shigenaga, Takeshi

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

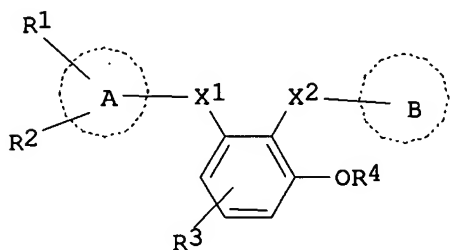
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042270	A1	20020530	WO 2001-JP10176	20011121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2002024064	A5	20020603	AU 2002-24064	20011121
CA 2424522	AA	20030331	CA 2001-2424522	20011121
EP 1336605	A1	20030820	EP 2001-997188	20011121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004077555	A1	20040422	US 2003-399625	20030910
PRIORITY APPLN. INFO.:			JP 2000-356146	A 20001122
			JP 2000-390321	A 20001222
			WO 2001-JP10176	W 20011121

OTHER SOURCE(S): MARPAT 137:6352

GI



I

AB The title compds. I [X1 = CONR5, etc.; X2 = CONR6, etc.; R1 = halo, etc.; R2, R3 = H, halo, CN, etc.; R4 = H, SO3H, etc.; ring A = benzene ring, etc.; ring B = piperidine ring (with substituent on N), etc.; further details on ring B are given; R5, R6 = H, alkyl] are prepared For example,

2'-(2-acetamido-2-deoxy- $\beta$ -D-glucopyranosyloxy)-4'-bromo-6'-[(5-chloro-2-pyridyl)carbamoyl]-1-isopropylpiperidine-4-carboxanilide was prepared and its activity against the activated blood coagulation factor X was demonstrated.

IT 432029-10-2P 432029-11-3P 432029-12-4P  
432029-13-5P

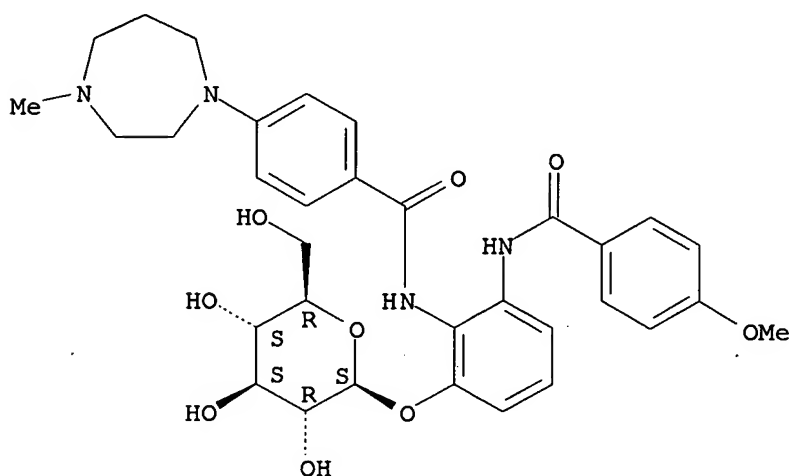
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzanilide derivs. as inhibitors of activated blood coagulation factor X)

RN 432029-10-2 CAPLUS

CN Benzamide, N-[3-( $\beta$ -D-glucopyranosyloxy)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 432029-11-3 CAPLUS

CN Benzamide, N-[3-( $\beta$ -D-glucopyranosyloxy)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

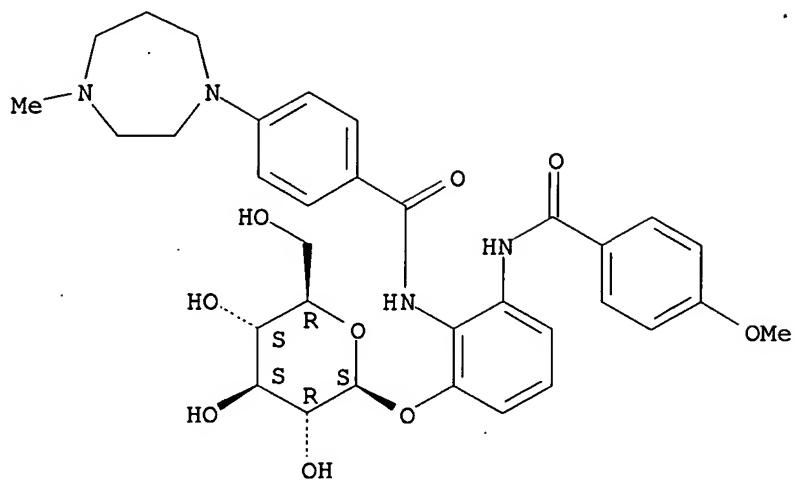
CM 1

CRN 432029-10-2

CMF C33 H40 N4 O9

Absolute stereochemistry.

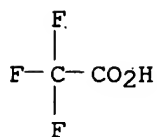
10/656,129



CM 2

CRN 76-05-1

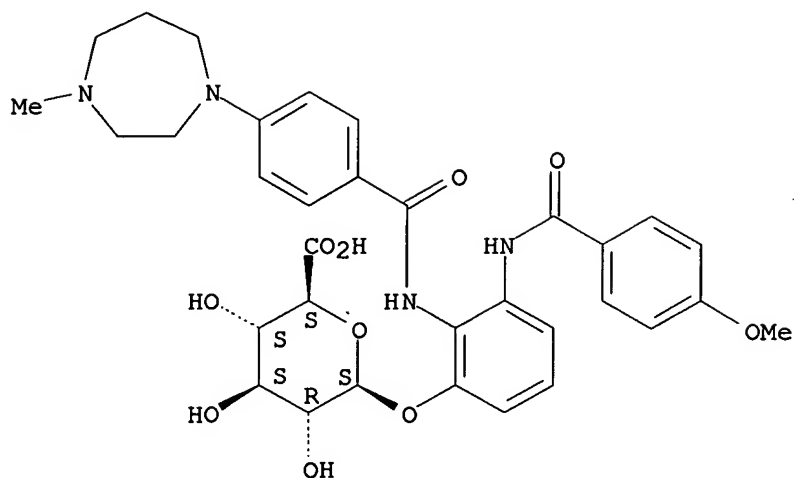
CMF C2 H F3 O2



RN 432029-12-4 CAPLUS

CN  $\beta$ -D-Glucopyranosiduronic acid, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 432029-13-5 CAPLUS

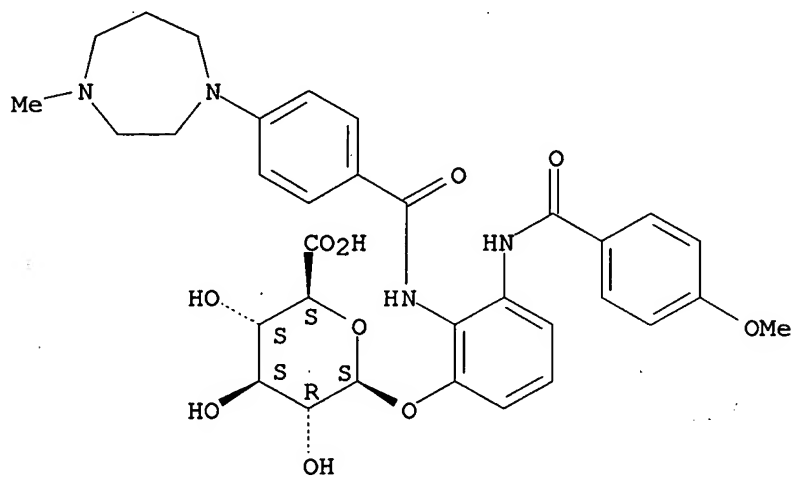
CN  $\beta$ -D-Glucopyranosiduronic acid, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]phenyl, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 432029-12-4

CMF C33 H38 N4 O10

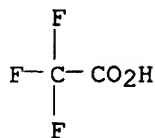
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

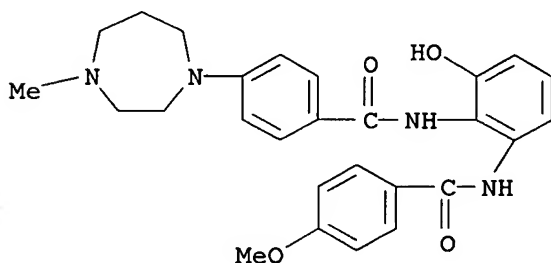


## IT 365462-23-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of benzanilide derivs. as inhibitors of activated blood coagulation factor X)

RN 365462-23-3 CAPLUS

CN Benamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy- (9CI) (CA INDEX NAME)



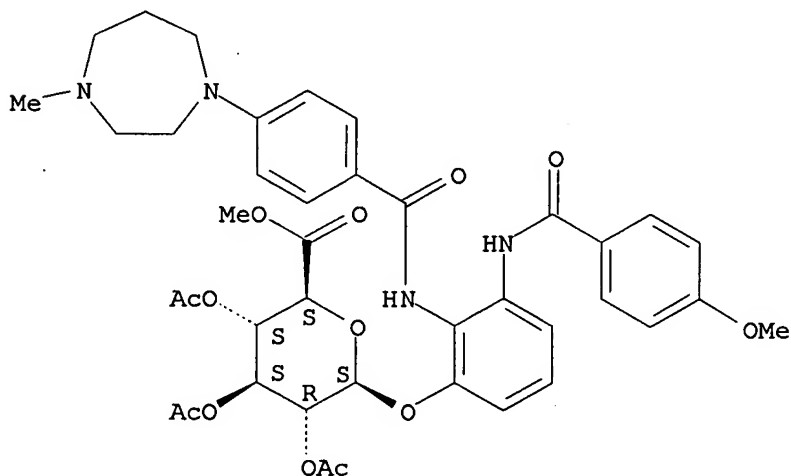
## IT 432029-44-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of benzanilide derivs. as inhibitors of activated blood coagulation factor X)

RN 432029-44-2 CAPLUS

CN  $\beta$ -D-Glucopyranosiduronic acid, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]phenyl, methyl ester, 2,3,4-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/656,129

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE .FORMAT



L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:107335 CAPLUS

DOCUMENT NUMBER: 136:151189

TITLE: Preparation of pyrazinyl-, pyridazinyl-, pyrimidinyl-, and pyridinyl-hexahydrodiazepines and their use as factor Xa inhibitors

INVENTOR(S): Herron, David Kent; Joseph, Sajan; Marquart, Angela Lynn; Masters, John Joseph; Mendel, David; Smith, Gerald Floyd; Waid, Philip Parker; Wiley, Michael Robert; Yee, Ying Kwong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

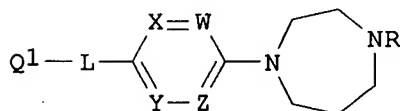
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

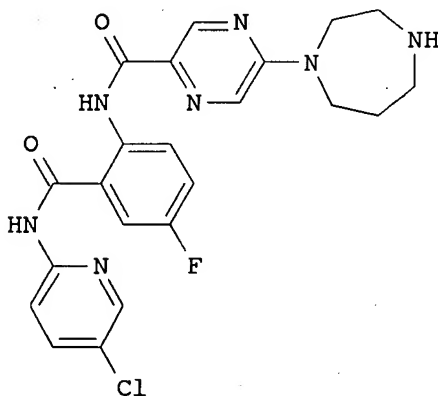
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010154	A2	20020207	WO 2001-US16528	20010718
WO 2002010154	A3	20020627		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001080438	A5	20020213	AU 2001-80438	20010718
EP 1307444	A2	20030507	EP 2001-958825	20010718
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004097491	A1	20040520	US 2003-332120	20030102
PRIORITY APPLN. INFO.:			US 2000-221092P	P 20000727
			WO 2001-US16528	W 20010718

OTHER SOURCE(S): MARPAT 136:151189

GI



I



II

AB Substituted hexahydrodiazepines I [R = H, alkyl, acyl, acetyloxy, acetyl, aminoacetyl, alkylamido, etc.; one or two of X, W, Y, and Z equals N and each of the others of X, W, Y and Z is CH; when L = CO or CH<sub>2</sub>, Q1 = (un)substituted pyridinyl- or phenyl-amidophenylamine, in addition when L = CO, Q1 may equal Q2X<sub>2</sub>SO<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>N- wherein Q2 = (un)substituted Ph, benzo[b]thiophen-2-yl or naphthalen-2-yl (X<sub>2</sub> = direct bond, CH<sub>2</sub>, ethylene, or ethen-1,2-diyl)], and their pharmaceutically acceptable salts are prepared and disclosed as factor Xa inhibitors. Thus, II was prepared by amidation of 2-amino-5-fluoro-N-(5-chloropyridin-2-yl)benzamide with 5-hydroxy-pyrazine-2-carboxylic acid (via its acid chloride) followed by substitution with 1-BOC-hexahydro-1,4-diazepine and subsequent deprotection of the diazepinyl nitrogen. As factor Xa inhibitors, the compds. of the invention are claimed to be useful in the treatment of thromboembolic disorders (no data).

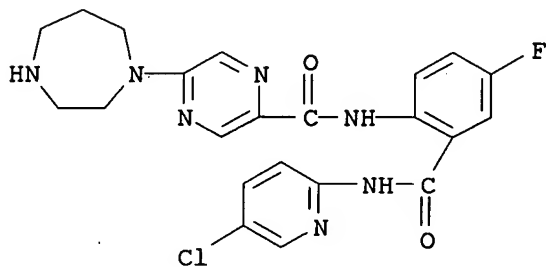
IT 395683-51-9P 395683-53-1P 395683-54-2P  
 395683-55-3P 395683-56-4P 395683-57-5P  
 395683-59-7P 395683-60-0P 395683-61-1P  
 395683-65-5P 395683-66-6P 395683-67-7P  
 395683-68-8P 395683-69-9P 395683-70-2P  
 395683-73-5P 395683-74-6P 395683-75-7P  
 395683-76-8P 395683-77-9P 395683-78-0P  
 395683-79-1P 395683-80-4P 395683-81-5P  
 395683-82-6P 395683-83-7P 395683-84-8P  
 395684-26-1P 395684-27-2P 395684-37-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazinyl-, pyridazinyl-, pyrimidinyl-, and pyridinyl-hexahydrodiazepines as factor Xa inhibitors)

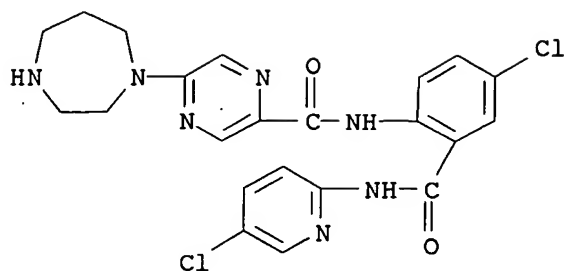
RN 395683-51-9 CAPLUS

CN Pyrazinecarboxamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

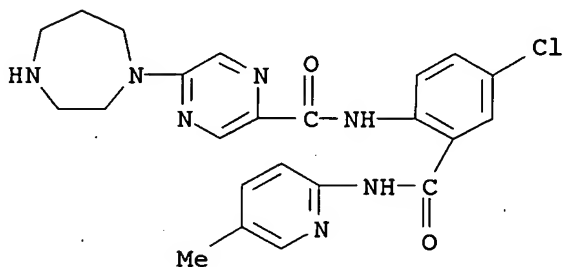


RN 395683-53-1 CAPLUS

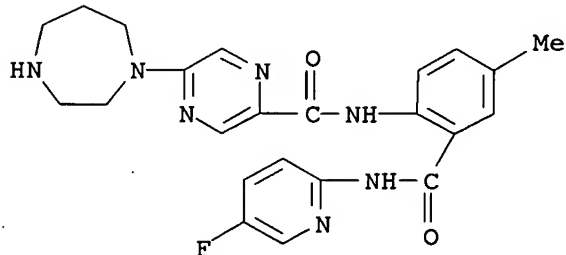
CN Pyrazinecarboxamide, N-[4-chloro-2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



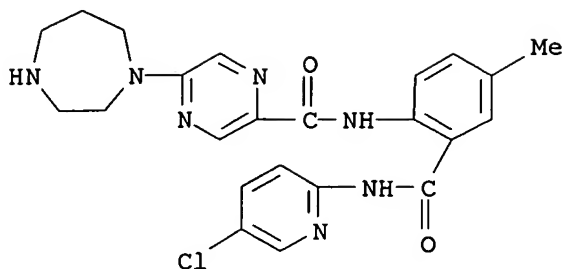
RN 395683-54-2 CAPLUS  
 CN Pyrazinecarboxamide, N-[4-chloro-2-[[5-methyl-2-pyridinyl)amino]carbonyl]phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
 (CA INDEX NAME)



RN 395683-55-3 CAPLUS  
 CN Pyrazinecarboxamide, N-[2-[[5-fluoro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

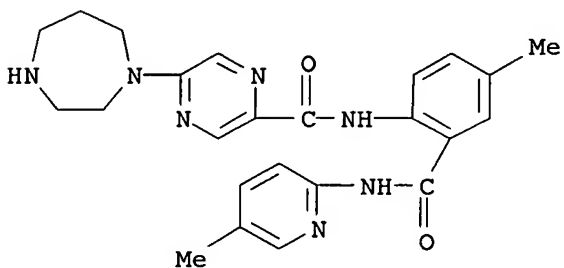


RN 395683-56-4 CAPLUS  
 CN Pyrazinecarboxamide, N-[2-[[5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



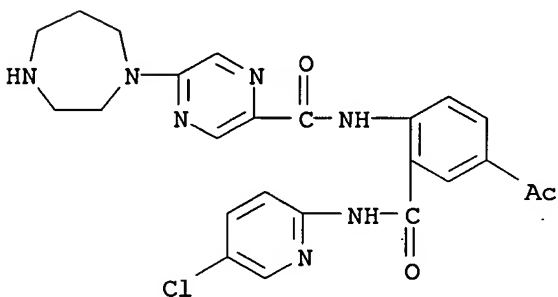
RN 395683-57-5 CAPLUS

CN Pyrazinecarboxamide, 5-(hexahydro-1H-1,4-diazepin-1-yl)-N-[4-methyl-2-[(5-methyl-2-pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



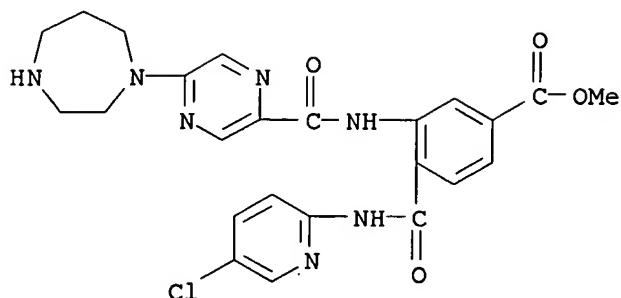
RN 395683-59-7 CAPLUS

CN Pyrazinecarboxamide, N-[4-acetyl-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



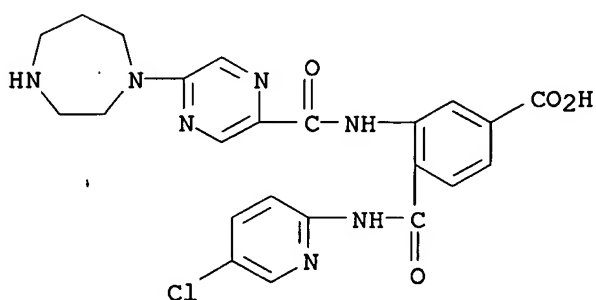
RN 395683-60-0 CAPLUS

CN Benzoic acid, 4-[[[5-(hexahydro-1H-1,4-diazepin-1-yl)pyrazinyl]carbonyl]amino]-3-[[[5-(hexahydro-1H-1,4-diazepin-1-yl)pyrazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



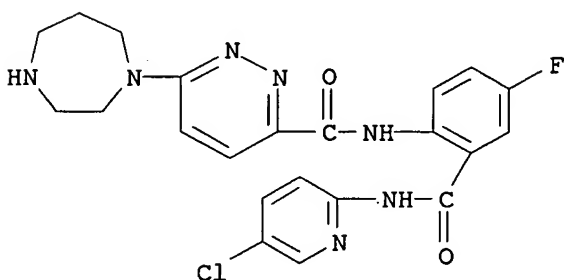
RN 395683-61-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-3-[[[5-(hexahydro-1H-1,4-diazepin-1-yl)pyrazinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



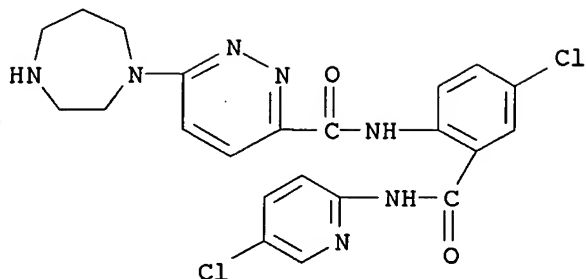
RN 395683-65-5 CAPLUS

CN 3-Pyridazinecarboxamide, N-[2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



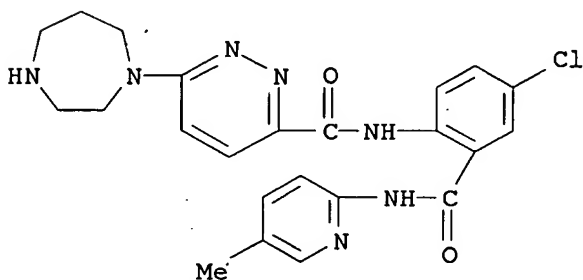
RN 395683-66-6 CAPLUS

CN 3-Pyridazinecarboxamide, N-[4-chloro-2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



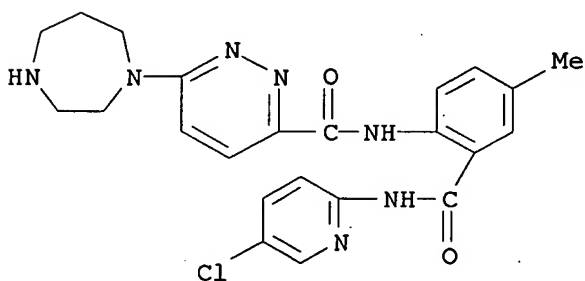
RN 395683-67-7 CAPLUS

CN 3-Pyridazinecarboxamide, N-[4-chloro-2-[[5-methyl-2-pyridinyl]amino]carbonyl]phenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
(CA INDEX NAME)



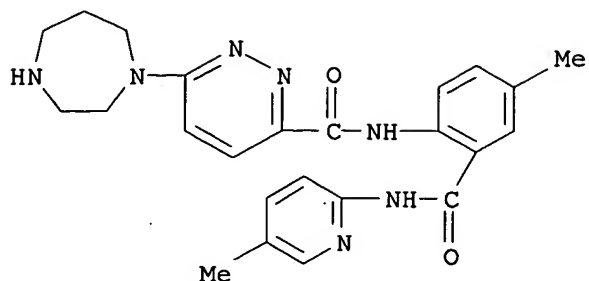
RN 395683-68-8 CAPLUS

CN 3-Pyridazinecarboxamide, N-[2-[[5-chloro-2-pyridinyl]amino]carbonyl]-4-methylphenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



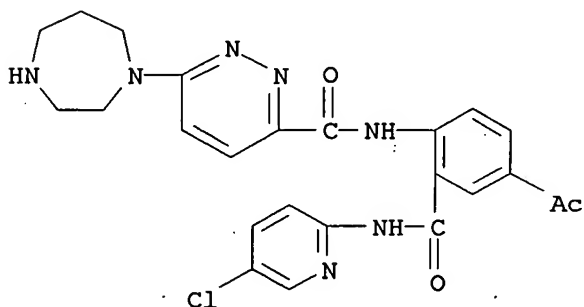
RN 395683-69-9 CAPLUS

CN 3-Pyridazinecarboxamide, 6-(hexahydro-1H-1,4-diazepin-1-yl)-N-[4-methyl-2-[[5-methyl-2-pyridinyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



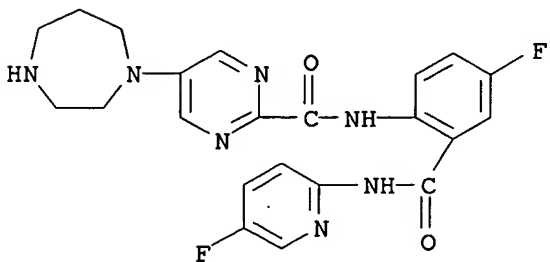
RN 395683-70-2 CAPLUS

CN 3-Pyridazinecarboxamide, N-[4-acetyl-2-[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
(CA INDEX NAME)



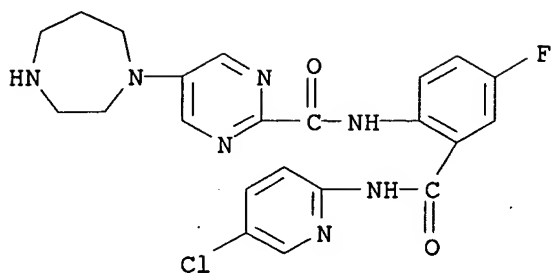
RN 395683-73-5 CAPLUS

CN 2-Pyrimidinecarboxamide, N-[4-fluoro-2-[[5-fluoro-2-pyridinyl]amino]carbonyl]phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
(CA INDEX NAME)



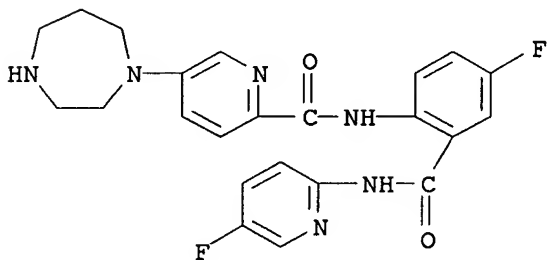
RN 395683-74-6 CAPLUS

CN 2-Pyrimidinecarboxamide, N-[2-[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



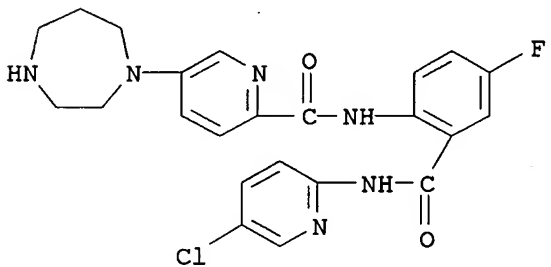
RN 395683-75-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[4-fluoro-2-[[5-fluoro-2-pyridinyl]amino]carbonyl]phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
(CA INDEX NAME)



RN 395683-76-8 CAPLUS

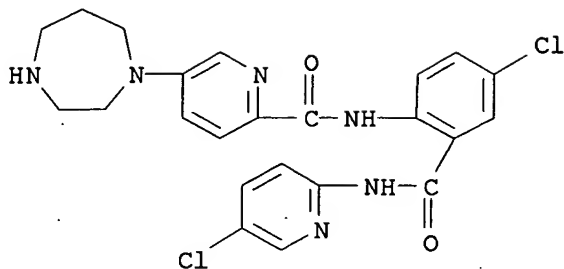
CN 2-Pyridinecarboxamide, N-[2-[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 395683-77-9 CAPLUS

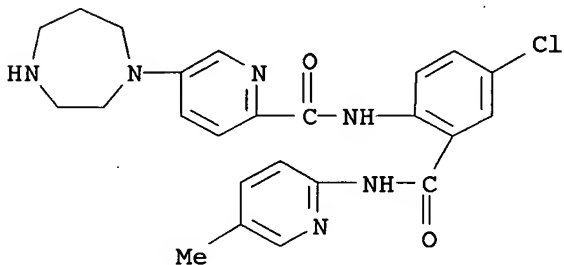
CN 2-Pyridinecarboxamide, N-[4-chloro-2-[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
(CA INDEX NAME)





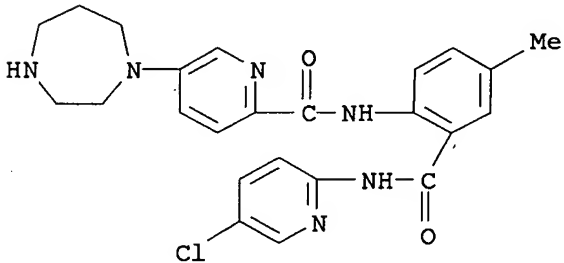
RN 395683-78-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[4-chloro-2-[[5-methyl-2-pyridinyl)amino]carbonyl]phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
(CA INDEX NAME)



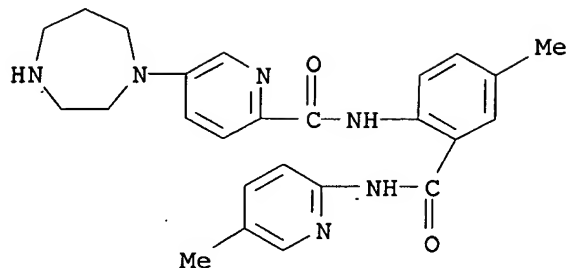
RN 395683-79-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[[5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



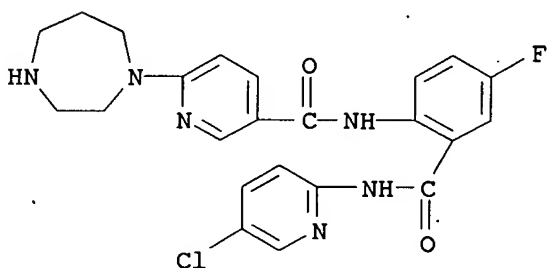
RN 395683-80-4 CAPLUS

CN 2-Pyridinecarboxamide, 5-(hexahydro-1H-1,4-diazepin-1-yl)-N-[4-methyl-2-[[5-methyl-2-pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



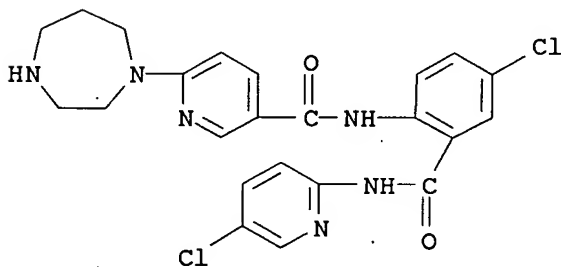
RN 395683-81-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[[5-(4-methylphenylamino)carbonyl]-4-fluorophenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)]- (9CI) (CA INDEX NAME)



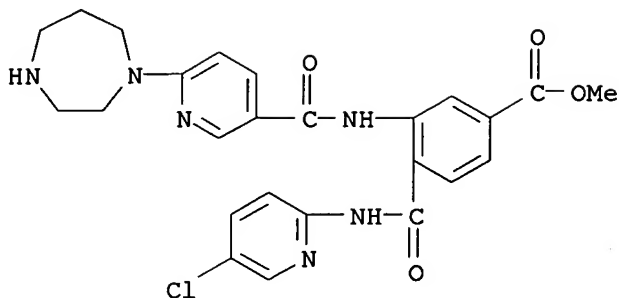
RN 395683-82-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-2-[[5-(4-chloro-2-pyridinyl)amino]carbonyl]phenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)]- (9CI) (CA INDEX NAME)



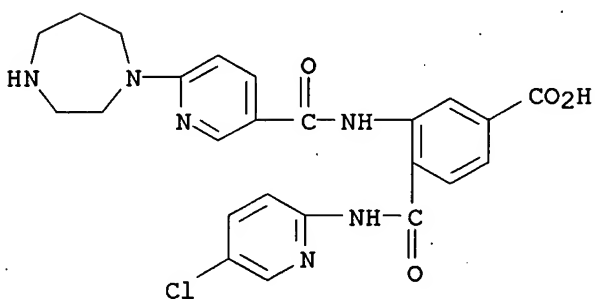
RN 395683-83-7 CAPLUS

CN Benzoic acid, 4-[[5-(4-chloro-2-pyridinyl)amino]carbonyl]-3-[[[6-(hexahydro-1H-1,4-diazepin-1-yl)-3-pyridinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



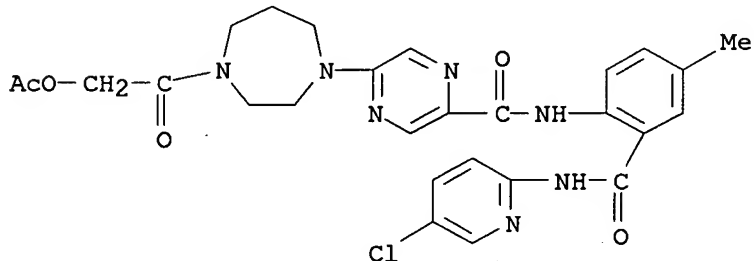
RN 395683-84-8 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-3-[[[6-(hexahydro-1H-1,4-diazepin-1-yl)-3-pyridinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



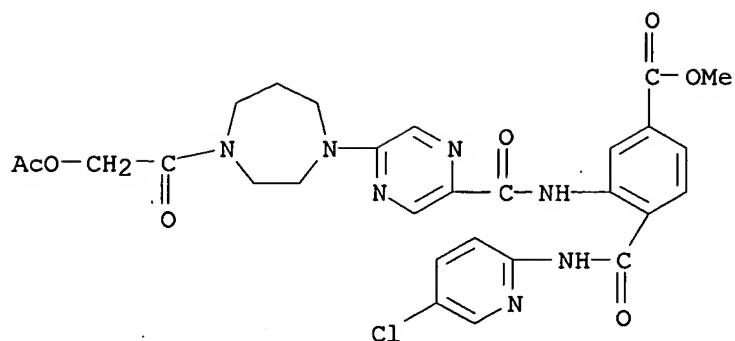
RN 395684-26-1 CAPLUS

CN Pyrazinecarboxamide, 5-[4-[(acetyloxy)acetyl]hexahydro-1H-1,4-diazepin-1-yl]-N-[2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]- (9CI) (CA INDEX NAME)



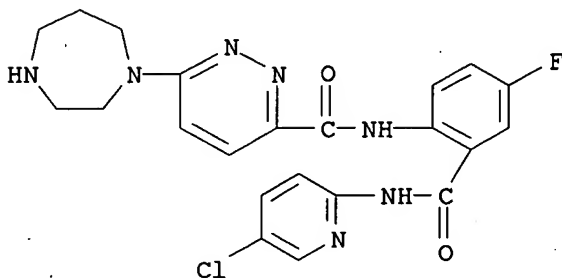
RN 395684-27-2 CAPLUS

CN Benzoic acid, 3-[[[5-[4-[(acetyloxy)acetyl]hexahydro-1H-1,4-diazepin-1-yl]pyrazinyl]carbonyl]amino]-4-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 395684-37-4 CAPLUS

CN 3-Pyridazinecarboxamide, N-[2-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

IT 395683-52-0P 395683-58-6P 395683-62-2P  
 395683-64-4P 395683-71-3P 395683-85-9P  
 395683-86-0P 395683-87-1P 395683-88-2P  
 395683-89-3P 395683-90-6P 395683-91-7P  
 395683-92-8P 395683-93-9P 395683-94-0P  
 395683-95-1P 395683-96-2P 395683-98-4P  
 395683-99-5P 395684-00-1P 395684-01-2P  
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 395684-05-6P 395684-07-8P 395684-08-9P  
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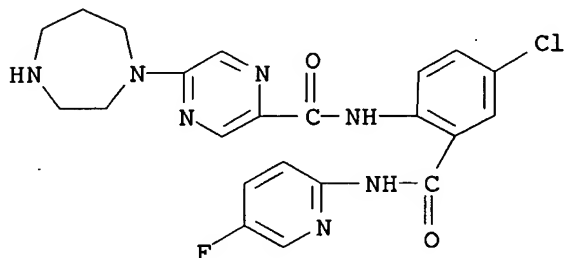
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10/656,129

(preparation of pyrazinyl-, pyridazinyl-, pyrimidinyl-, and  
pyridinyl-hexahydrodiazepines as factor Xa inhibitors)

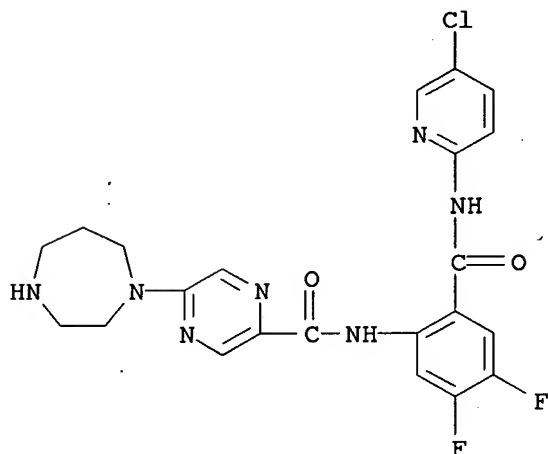
RN 395683-52-0 CAPLUS

CN Pyrazinecarboxamide, N-[4-chloro-2-[[ (5-fluoro-2-  
pyridinyl) amino] carbonyl] phenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI)  
(CA INDEX NAME)



RN 395683-58-6 CAPLUS

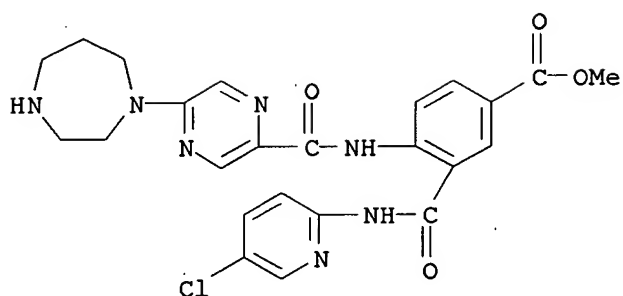
CN Pyrazinecarboxamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4,5-  
difluorophenyl]-5-(hexahydro-1H-1,4-diazepin-1-yl)-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

RN 395683-62-2 CAPLUS

CN Benzoic acid, 3-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-[[[5-(hexahydro-  
1H-1,4-diazepin-1-yl)pyrazinyl] carbonyl] amino]-, methyl ester (9CI) (CA  
INDEX NAME)



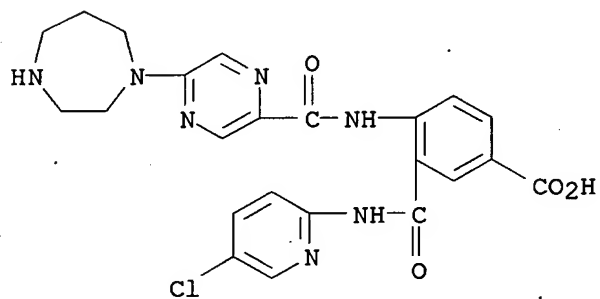
RN 395683-64-4 CAPLUS

CN Benzoic acid, 3-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-[[[5-(hexahydro-1H-1,4-diazepin-1-yl)pyrazinyl]carbonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 395683-63-3

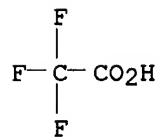
CMF C23 H22 Cl N7 O4



CM 2

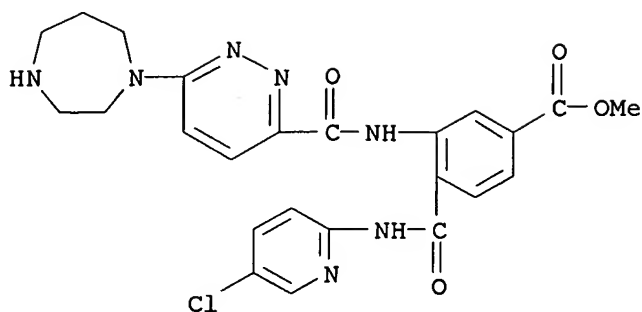
CRN 76-05-1

CMF C2 H F3 O2



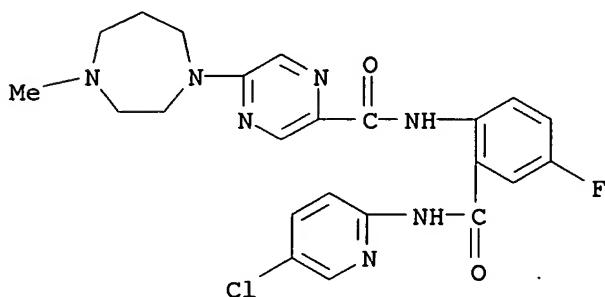
RN 395683-71-3 CAPLUS

CN Benzoic acid, 4-[[[5-chloro-2-pyridinyl]amino]carbonyl]-3-[[[6-(hexahydro-1H-1,4-diazepin-1-yl)-3-pyridazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



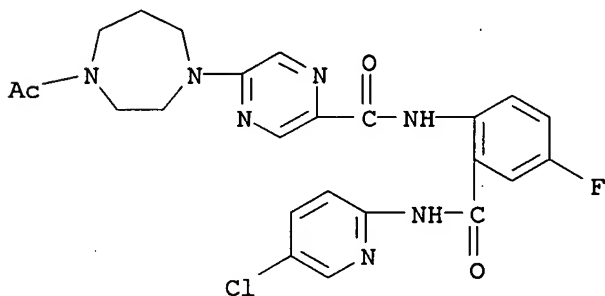
RN 395683-85-9 CAPLUS

CN Pyrazinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



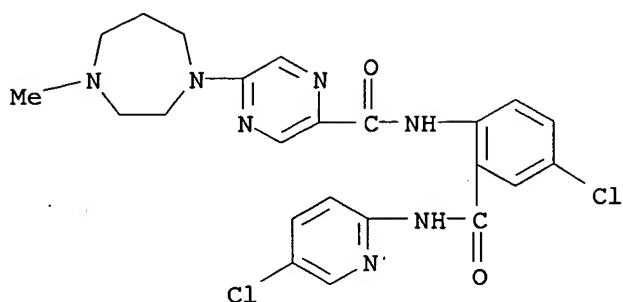
RN 395683-86-0 CAPLUS

CN Pyrazinecarboxamide, 5-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]- (9CI) (CA INDEX NAME)

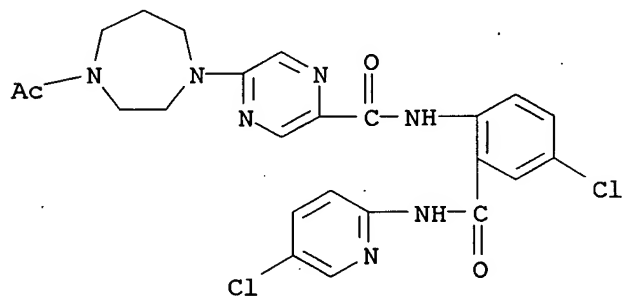


RN 395683-87-1 CAPLUS

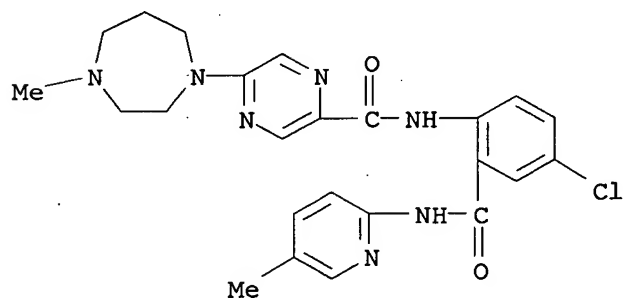
CN Pyrazinecarboxamide, N-[4-chloro-2-[[[5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 395683-88-2 CAPLUS  
 CN Pyrazinecarboxamide, 5-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[4-chloro-2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]phenyl]- (9CI) (CA INDEX NAME)

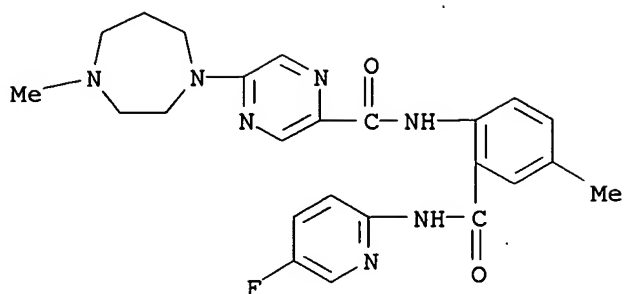


RN 395683-89-3 CAPLUS  
 CN Pyrazinecarboxamide, N-[4-chloro-2-[[ (5-methyl-2-pyridinyl) amino] carbonyl]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



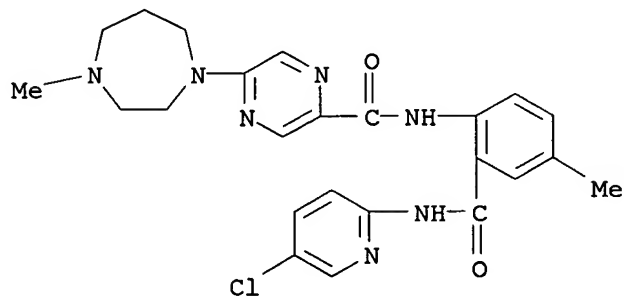
RN 395683-90-6 CAPLUS  
 CN Pyrazinecarboxamide, N-[2-[[ (5-fluoro-2-pyridinyl) amino] carbonyl]-4-methylphenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)





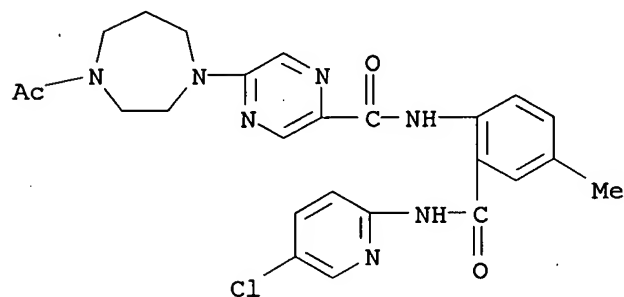
RN 395683-91-7 CAPLUS

CN Pyrazinecarboxamide, N-[2-[[5-(4-methyl-1H-1,4-diazepin-1-yl)pyridin-2-yl]amino]carbonyl]-4-methylphenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



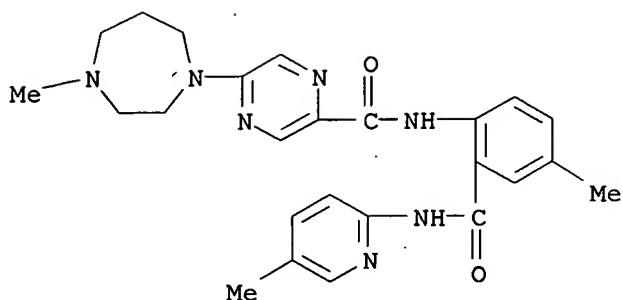
RN 395683-92-8 CAPLUS

CN Pyrazinecarboxamide, 5-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[2-[[5-(4-methyl-1H-1,4-diazepin-1-yl)pyridin-2-yl]amino]carbonyl]-4-methylphenyl]- (9CI) (CA INDEX NAME)



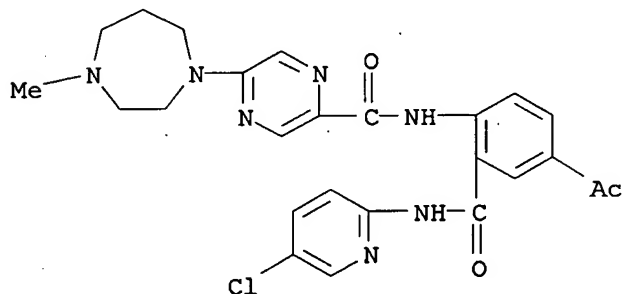
RN 395683-93-9 CAPLUS

CN Pyrazinecarboxamide, 5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-N-[4-methyl-2-[[5-(4-methyl-1H-1,4-diazepin-1-yl)pyridin-2-yl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



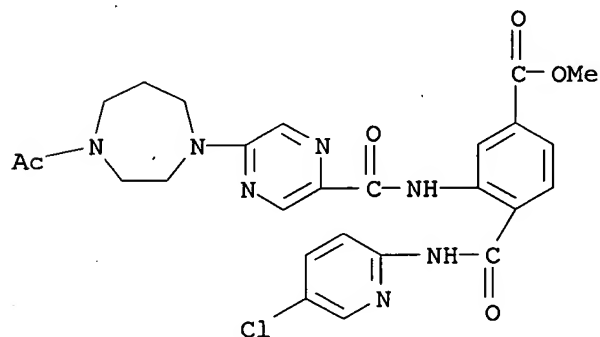
RN 395683-94-0 CAPLUS

CN Pyrazinecarboxamide, N-[4-acetyl-2-[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



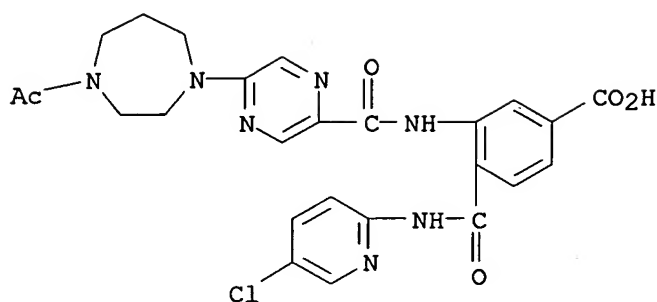
RN 395683-95-1 CAPLUS

CN Benzoic acid, 3-[[[5-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)pyrazinyl]carbonyl]amino]-4-[(5-chloro-2-pyridinyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



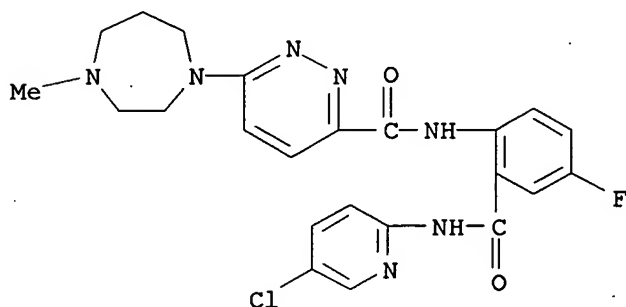
RN 395683-96-2 CAPLUS

CN Benzoic acid, 3-[[[5-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)pyrazinyl]carbonyl]amino]-4-[(5-chloro-2-pyridinyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



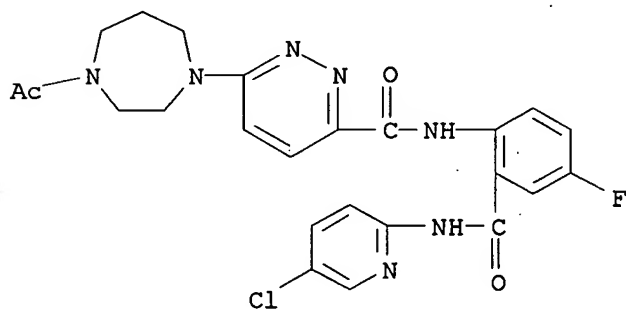
RN 395683-98-4 CAPLUS

CN 3-Pyridazinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



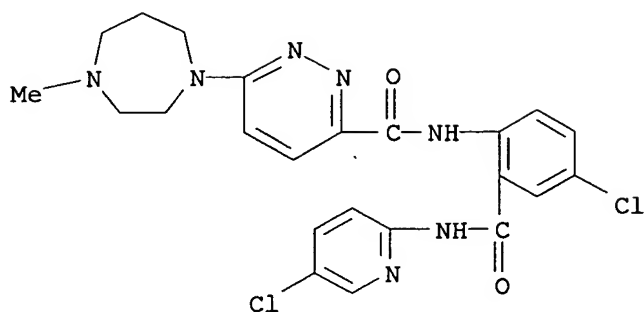
RN 395683-99-5 CAPLUS

CN 3-Pyridazinecarboxamide, 6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]- (9CI) (CA INDEX NAME)



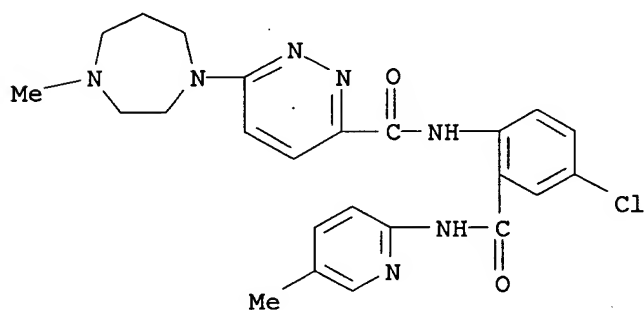
RN 395684-00-1 CAPLUS

CN 3-Pyridazinecarboxamide, N-[4-chloro-2-[[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



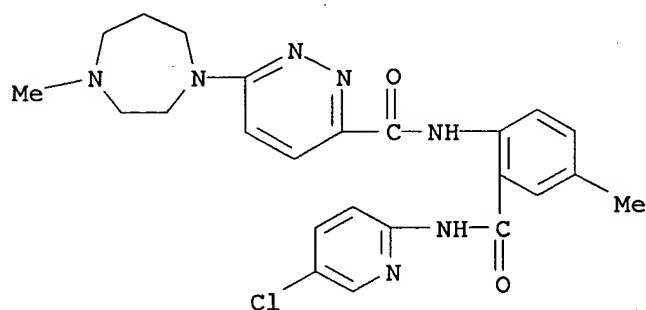
RN 395684-01-2 CAPLUS

CN 3-Pyridazinecarboxamide, N-[4-chloro-2-[(5-methyl-2-pyridinyl)amino]carbonyl]phenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



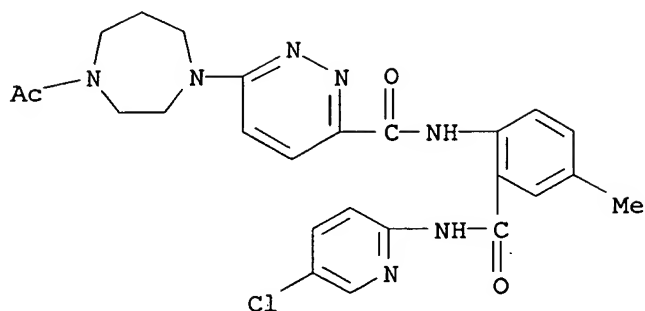
RN 395684-02-3 CAPLUS

CN 3-Pyridazinecarboxamide, N-[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



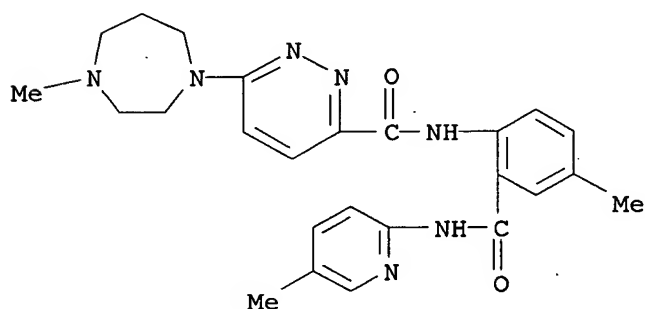
RN 395684-03-4 CAPLUS

CN 3-Pyridazinecarboxamide, 6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]- (9CI) (CA INDEX NAME)



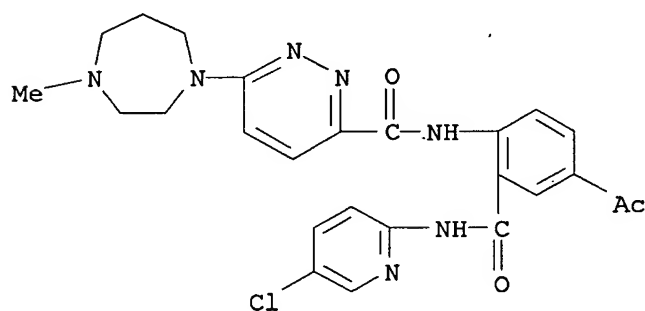
RN 395684-04-5 CAPLUS

CN 3-Pyridazinecarboxamide, 6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-N-[4-methyl-2-[(5-methyl-2-pyridinyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



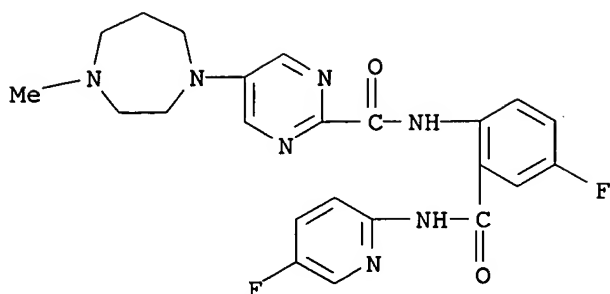
RN 395684-05-6 CAPLUS

CN 3-Pyridazinecarboxamide, N-[4-acetyl-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



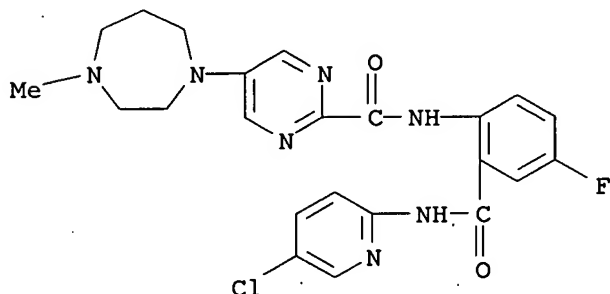
RN 395684-07-8 CAPLUS

CN 2-Pyrimidinecarboxamide, N-[4-fluoro-2-[(5-fluoro-2-pyridinyl)amino]carbonyl]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



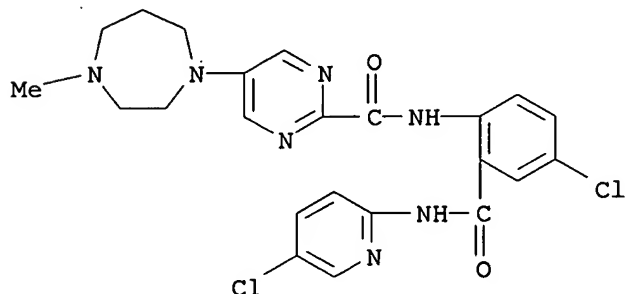
RN 395684-08-9 CAPLUS

CN 2-Pyrimidinecarboxamide, N-[2-[[[5-(4-fluorophenyl)-2-oxo-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



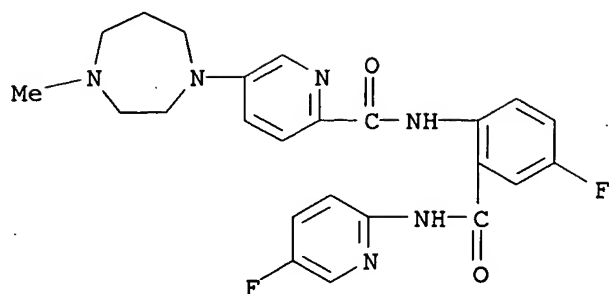
RN 395684-09-0 CAPLUS

CN 2-Pyrimidinecarboxamide, N-[4-chloro-2-[[[5-(4-chlorophenyl)-2-oxo-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



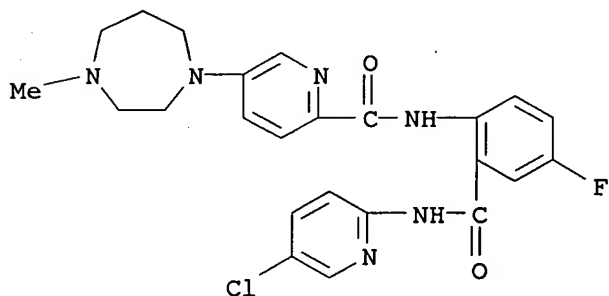
RN 395684-10-3 CAPLUS

CN 2-Pyrimidinecarboxamide, N-[4-fluoro-2-[[[5-(4-fluorophenyl)-2-oxo-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



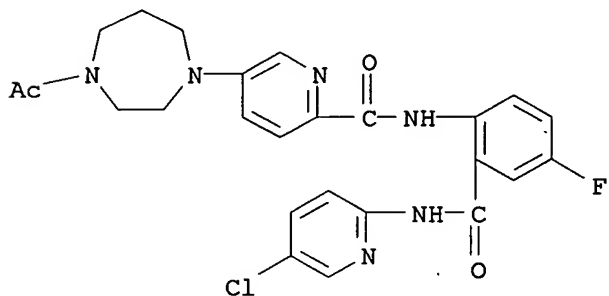
RN 395684-11-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



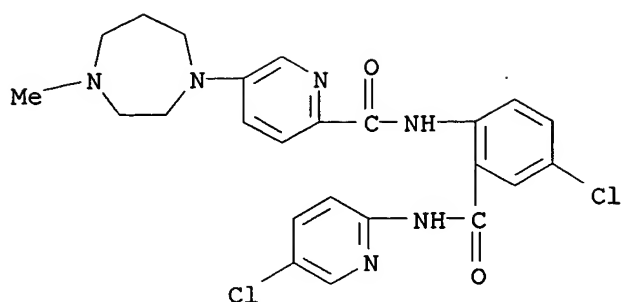
RN 395684-12-5 CAPLUS

CN 2-Pyridinecarboxamide, 5-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]- (9CI) (CA INDEX NAME)



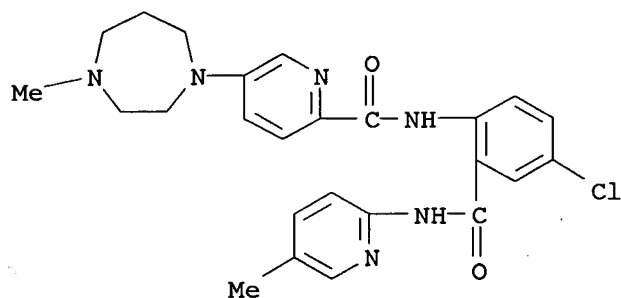
RN 395684-13-6 CAPLUS

CN 2-Pyridinecarboxamide, N-[4-chloro-2-[[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



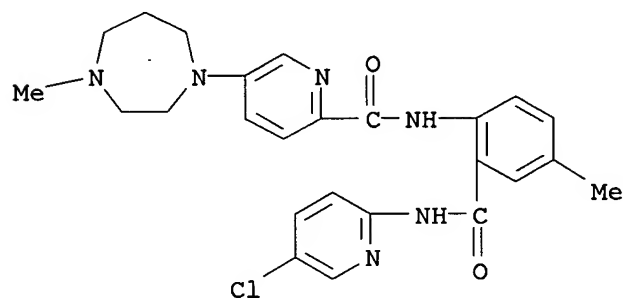
RN 395684-14-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[4-chloro-2-[[5-methyl-2-pyridinyl]amino]carbonyl]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 395684-15-8 CAPLUS

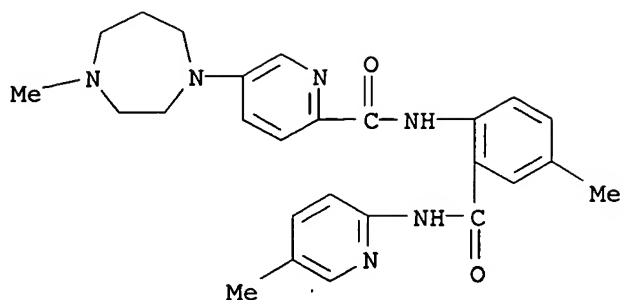
CN 2-Pyridinecarboxamide, N-[2-[[5-chloro-2-pyridinyl]amino]carbonyl]-4-methylphenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 395684-16-9 CAPLUS

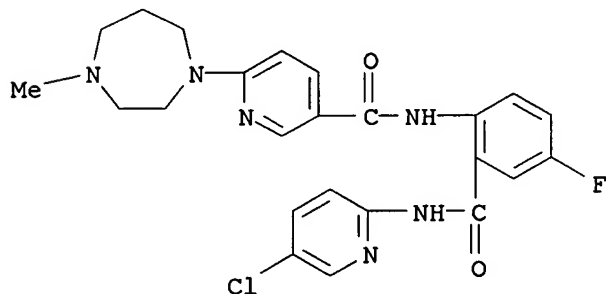
CN 2-Pyridinecarboxamide, 5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-N-[4-methyl-2-[[5-methyl-2-pyridinyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)





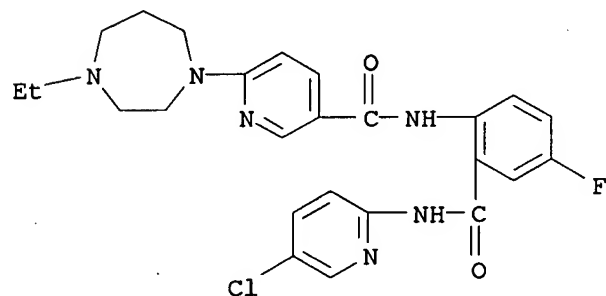
RN 395684-17-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



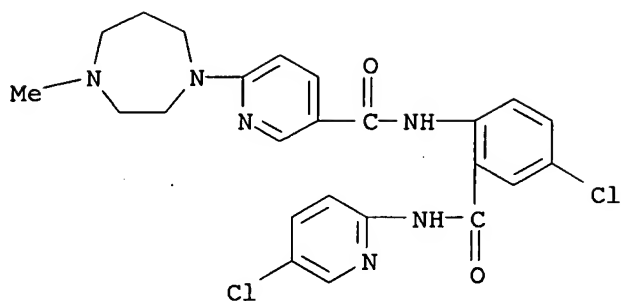
RN 395684-18-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]-6-(4-ethylhexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 395684-19-2 CAPLUS

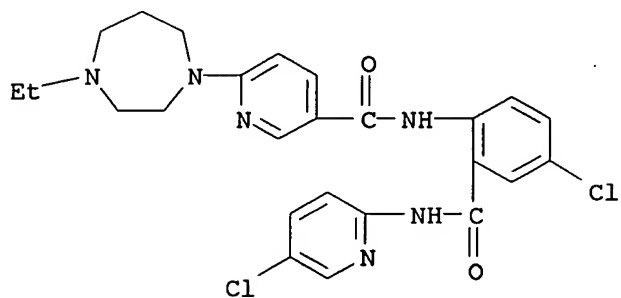
CN 3-Pyridinecarboxamide, N-[4-chloro-2-[[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

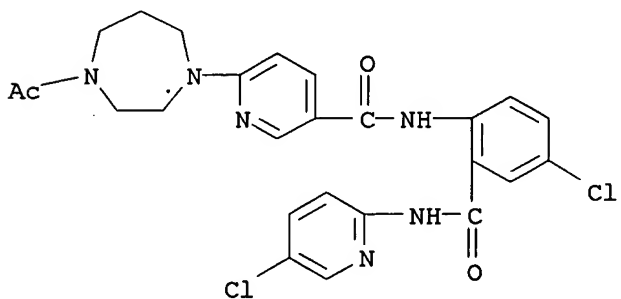
RN 395684-20-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-2-[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]-6-(4-ethylhexahydro-1H-1,4-diazepin-1-yl)-(9CI) (CA INDEX NAME)



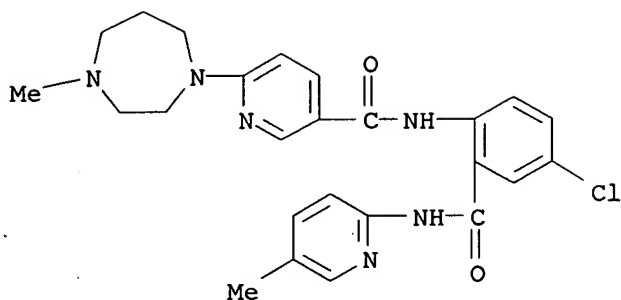
RN 395684-21-6 CAPLUS

CN 3-Pyridinecarboxamide, 6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[4-chloro-2-[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



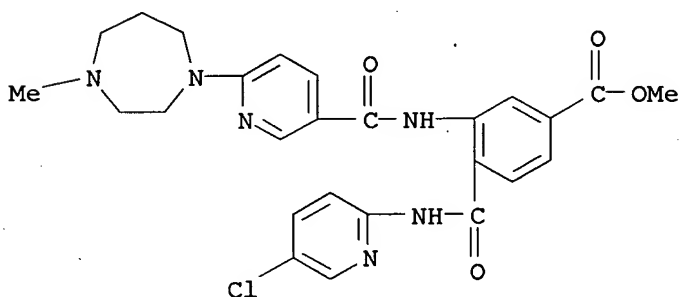
RN 395684-22-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-2-[[5-methyl-2-pyridinyl]amino]carbonyl]phenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



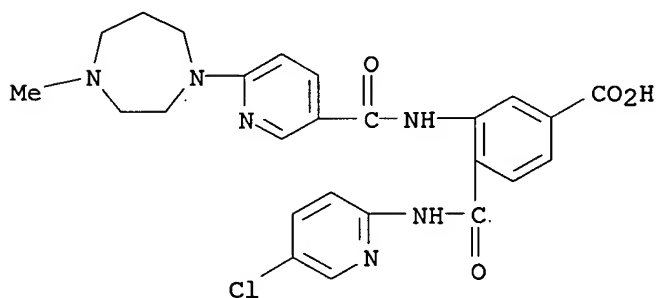
RN 395684-23-8 CAPLUS

CN Benzoic acid, 4-[[[5-chloro-2-pyridinyl]amino]carbonyl]-3-[[[6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-3-pyridinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



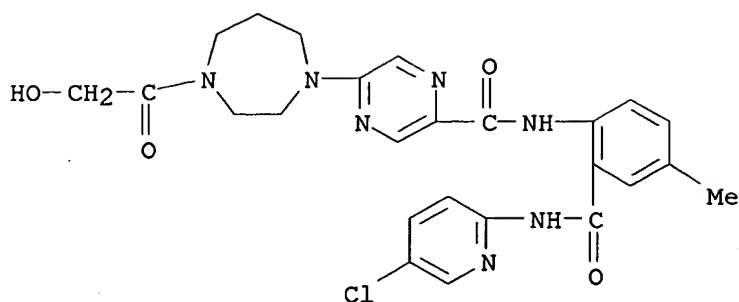
RN 395684-24-9 CAPLUS

CN Benzoic acid, 4-[[[5-chloro-2-pyridinyl]amino]carbonyl]-3-[[[6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-3-pyridinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



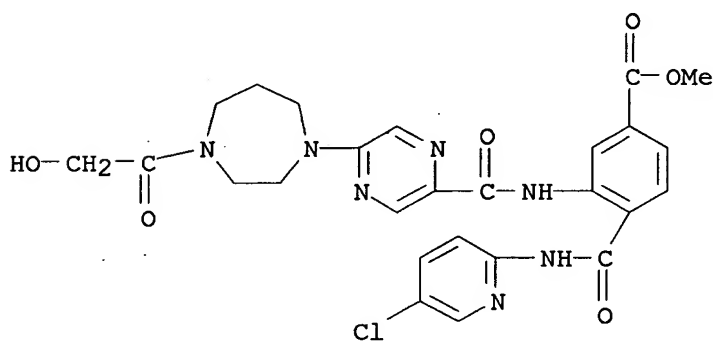
RN 395684-28-3 CAPLUS

CN Pyrazinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-methylphenyl]-5-[hexahydro-4-(hydroxyacetyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



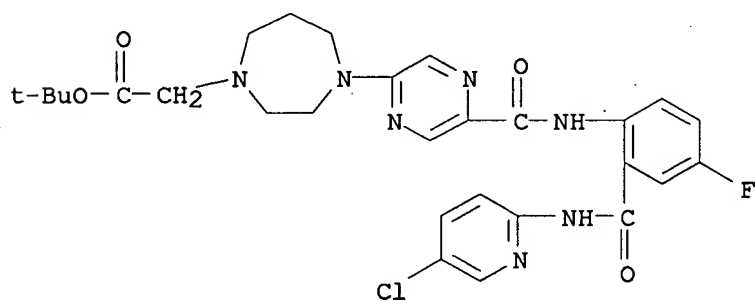
RN 395684-29-4 CAPLUS

CN Benzoic acid, 4-[[[5-chloro-2-pyridinyl]amino]carbonyl]-3-[[[5-[hexahydro-4-(hydroxyacetyl)-1H-1,4-diazepin-1-yl]pyrazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



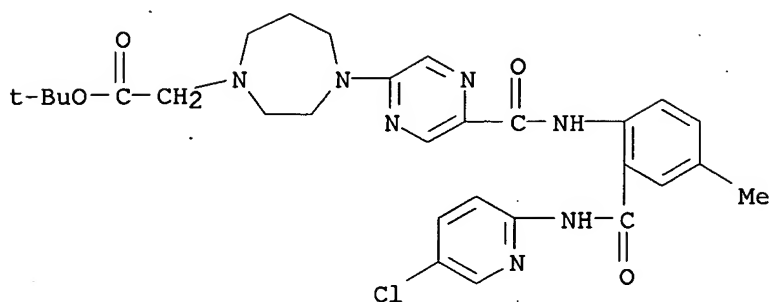
RN 395684-30-7 CAPLUS

CN 1H-1,4-Diazepine-1-acetic acid, 4-[5-[[[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-fluorophenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



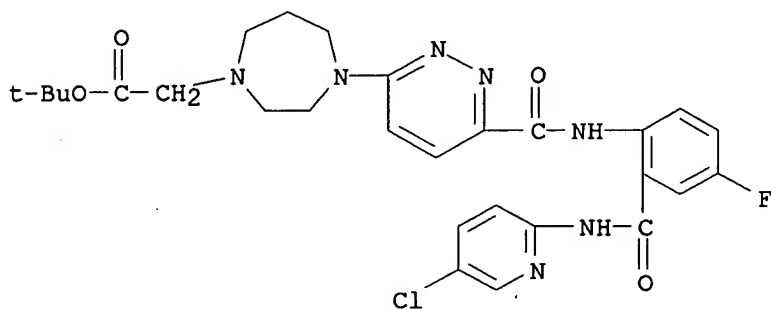
RN 395684-31-8 CAPLUS

CN 1H-1,4-Diazepine-1-acetic acid, 4-[5-[[[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]-4-methylphenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



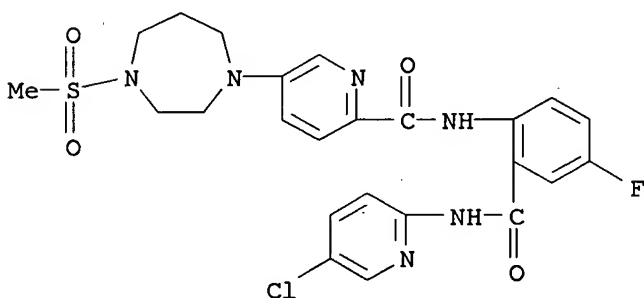
RN 395684-32-9 CAPLUS

CN 1H-1,4-Diazepine-1-acetic acid, 4-[6-[[[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]carbonyl]-3-pyridazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



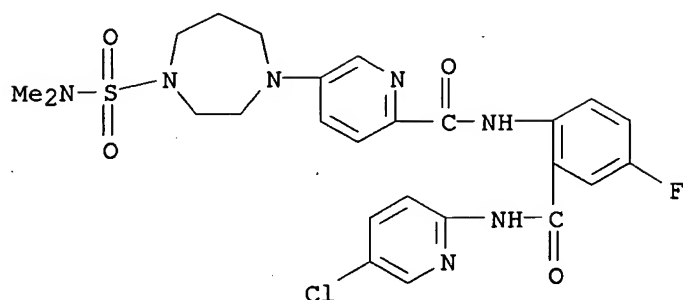
RN 395684-33-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-5-[hexahydro-4-(methylsulfonyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



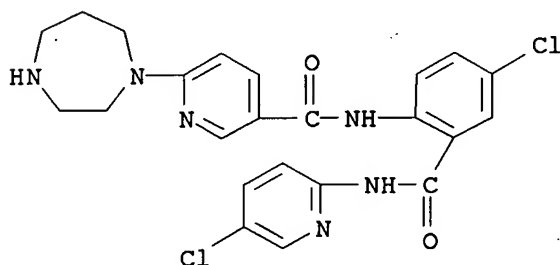
RN 395684-34-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-5-[4-[(dimethylamino)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



RN 395684-38-5 CAPLUS

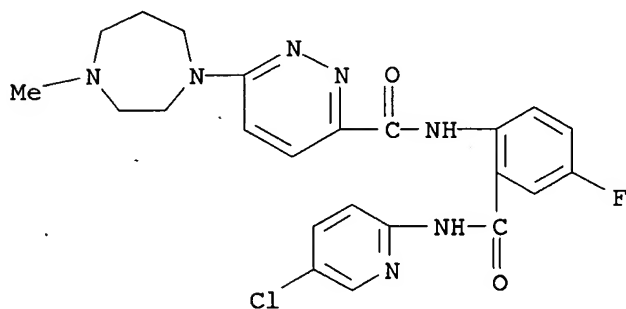
CN 3-Pyridinecarboxamide, N-[4-chloro-2-[[[5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 395684-39-6 CAPLUS

CN 3-Pyridazinecarboxamide, N-[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

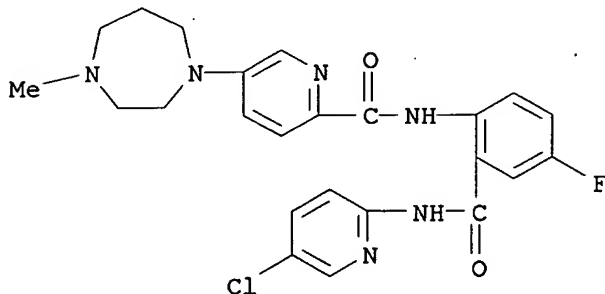


● HCl

10/656,129

RN 395684-40-9 CAPLUS

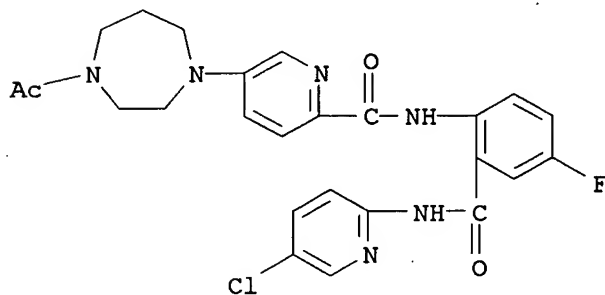
CN 2-Pyridinecarboxamide, N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 395684-41-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-N-[2-[[ (5-chloro-2-pyridinyl) amino] carbonyl]-4-fluorophenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

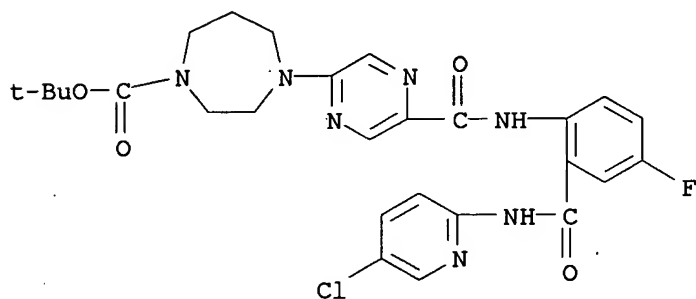
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395685-11-7P 395685-12-8P 395685-13-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazinyl-, pyridazinyl-, pyrimidinyl-, and pyridinyl-hexahydrodiazepines as factor Xa inhibitors)

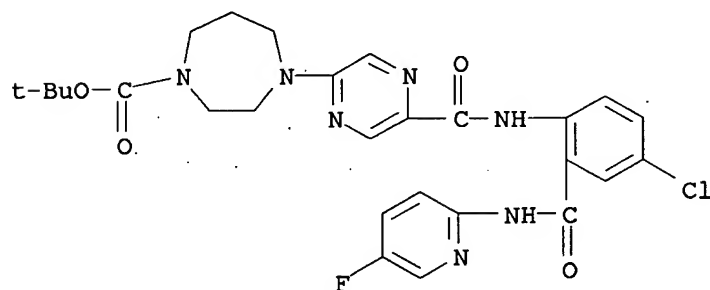
RN 395684-83-0 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



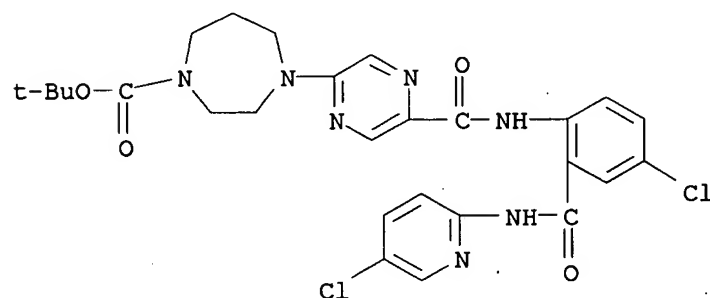
RN 395684-84-1 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[4-chloro-2-[(5-fluoro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 395684-85-2 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[4-chloro-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

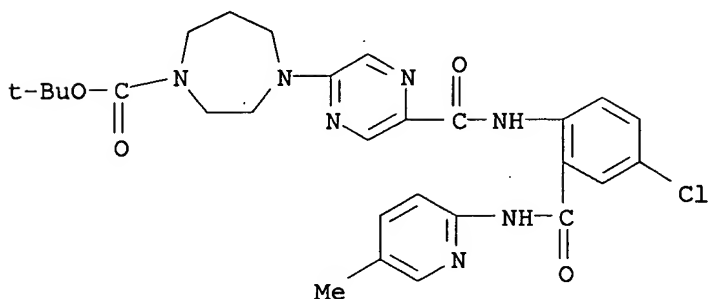


RN 395684-86-3 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[4-chloro-2-[(5-methyl-2-

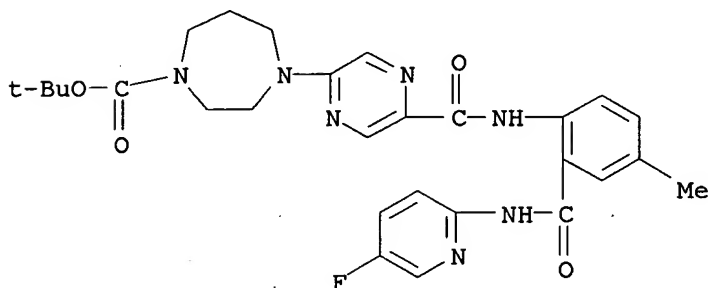


pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]pyrazinyl]hexahydro-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



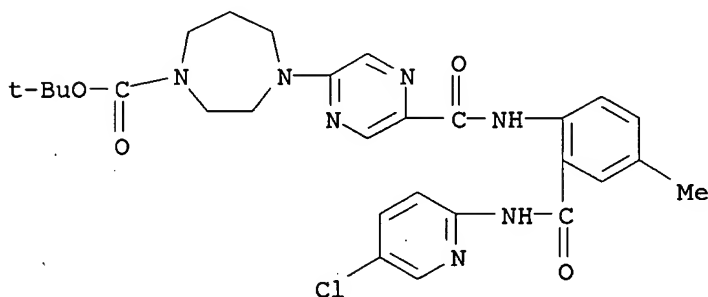
RN 395684-87-4 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[[[5-fluoro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



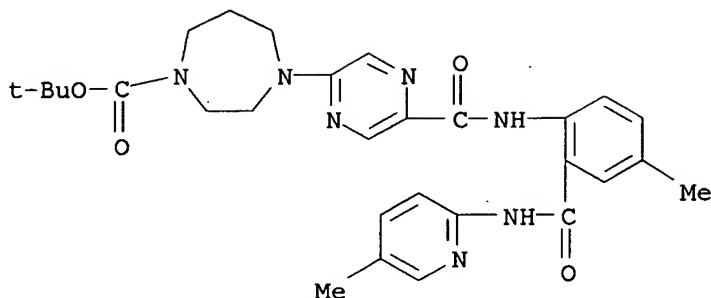
RN 395684-88-5 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[[[5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



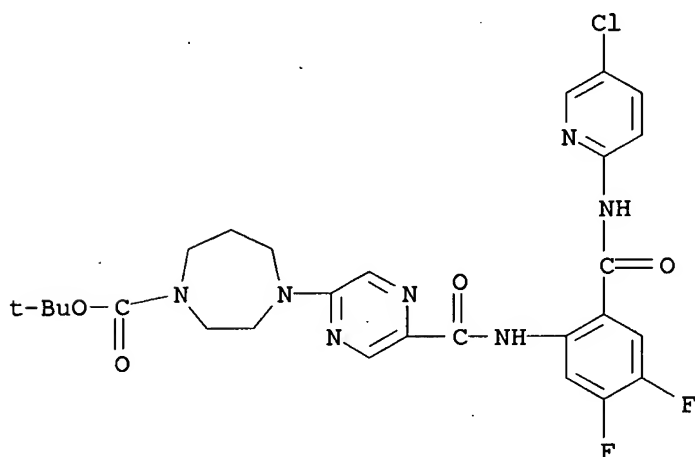
RN 395684-89-6 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[5-[[[4-methyl-2-[[[5-methyl-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



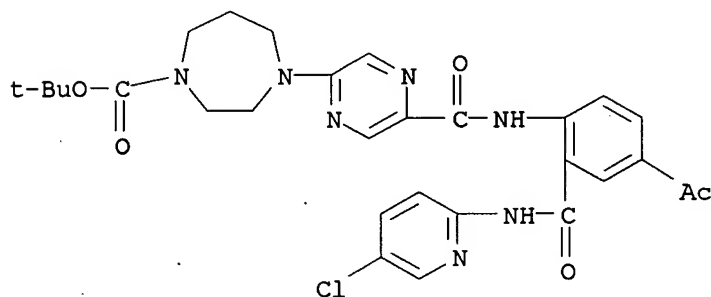
RN 395684-90-9 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4,5-difluorophenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



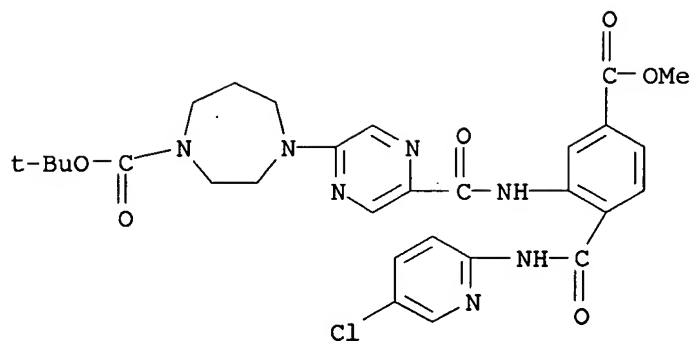
RN 395684-91-0 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[4-acetyl-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



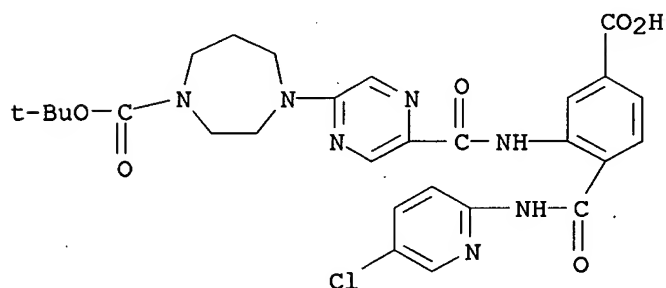
RN 395684-92-1 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-5-(methoxycarbonyl)phenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



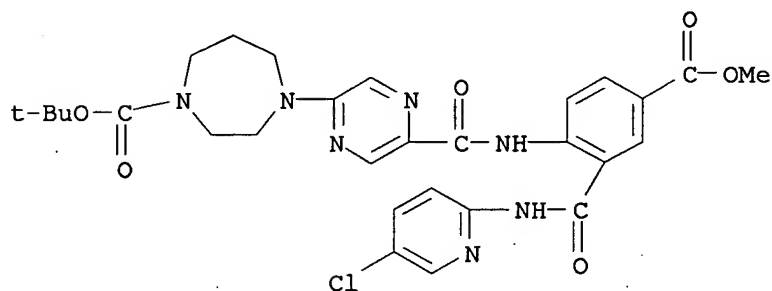
RN 395684-93-2 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[5-carboxy-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



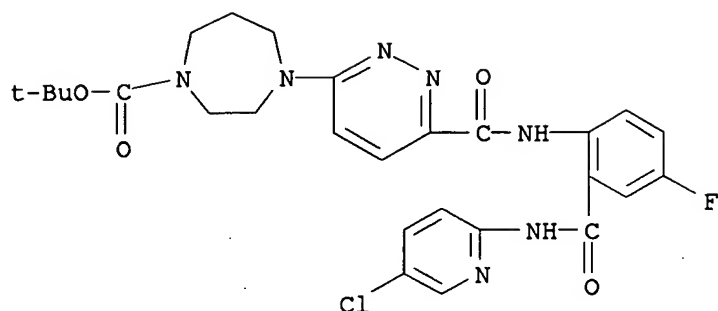
RN 395684-94-3 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-(methoxycarbonyl)phenyl]amino]carbonyl]pyrazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



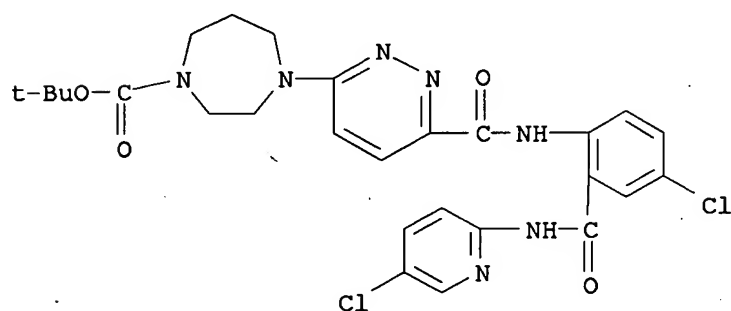
RN 395684-95-4 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]carbonyl]-3-pyridazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



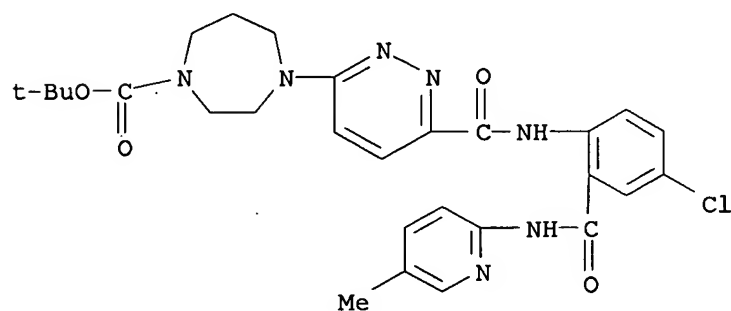
RN 395684-96-5 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[4-chloro-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



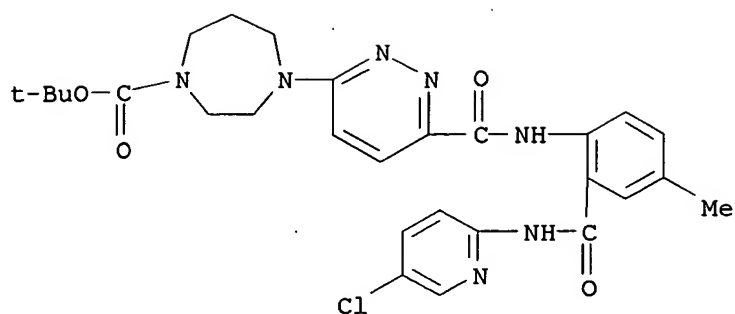
RN 395684-97-6 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[4-chloro-2-[(5-methyl-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



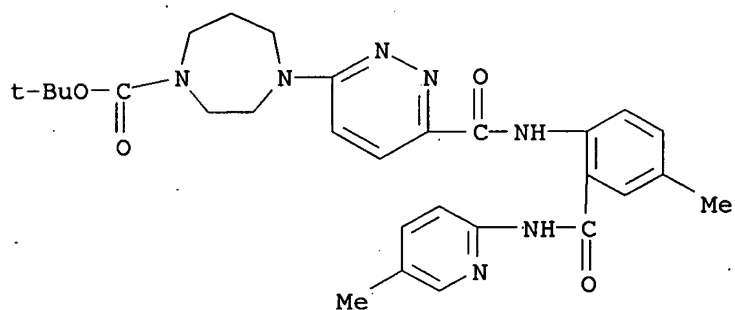
RN 395684-98-7 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]amino]carbonyl]-3-pyridazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



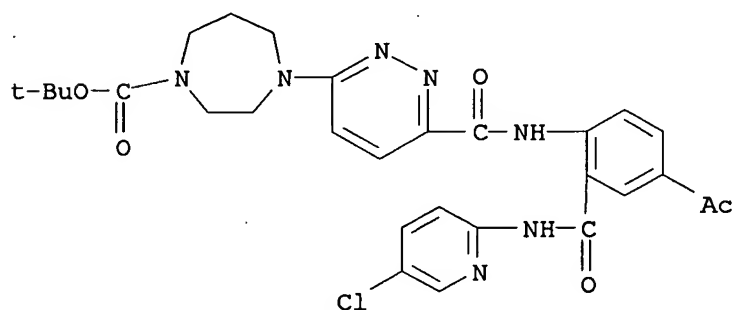
RN 395684-99-8 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[6-[[[4-methyl-2-[(5-methyl-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



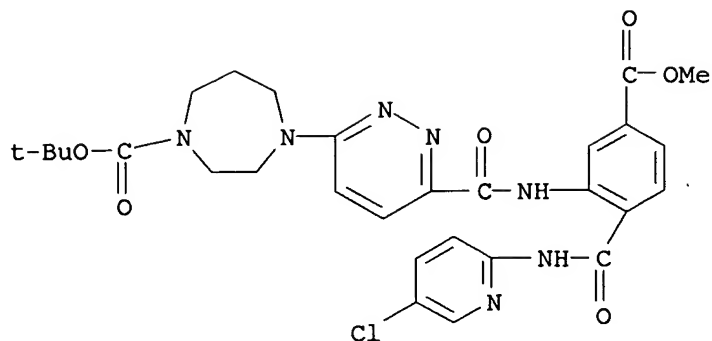
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CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[4-acetyl-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



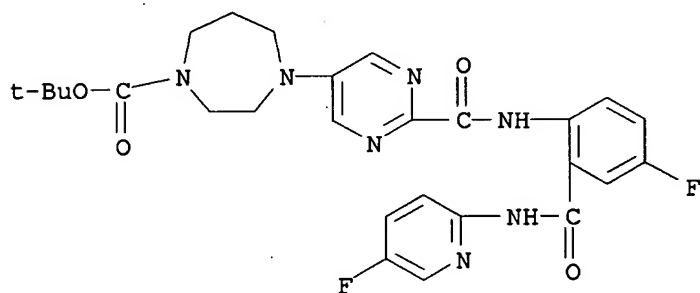
RN 395685-01-5 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-5-(methoxycarbonyl)phenyl]amino]carbonyl]-3-pyridazinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



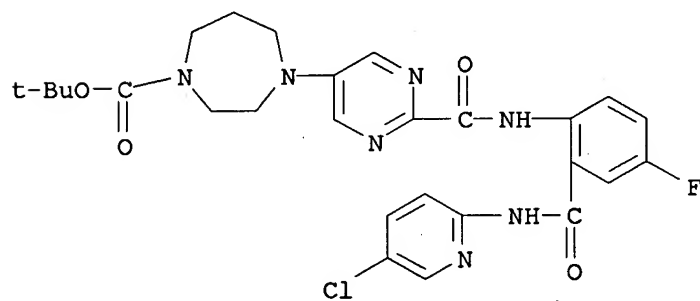
RN 395685-03-7 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[2-[[[4-fluoro-2-[(5-fluoro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-5-pyrimidinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



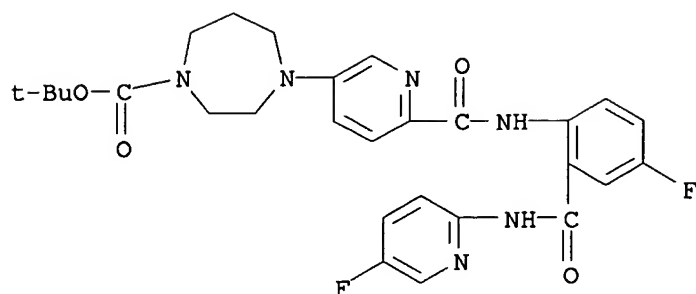
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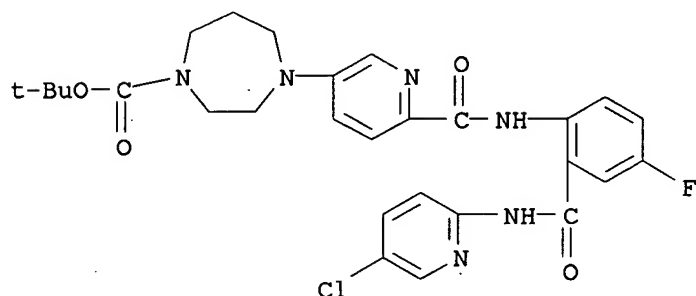
RN 395685-05-9 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[4-fluoro-2-[(5-fluoro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



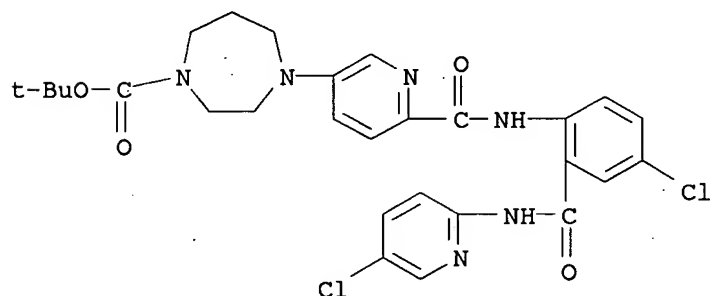
RN 395685-06-0 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]carbonyl]-3-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



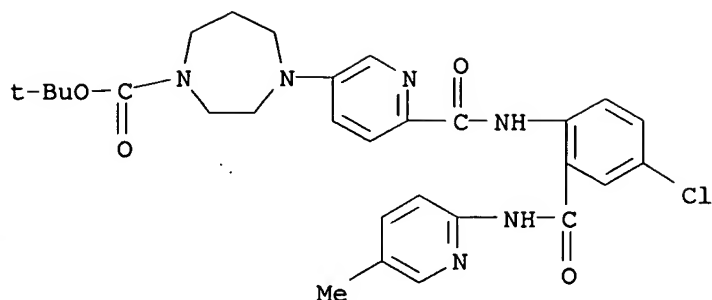
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CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[4-chloro-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



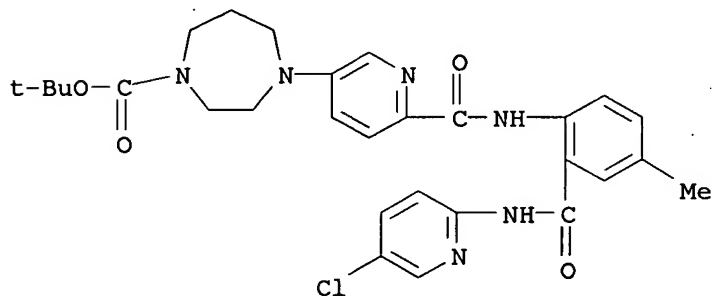
RN 395685-08-2 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[4-chloro-2-[(5-methyl-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



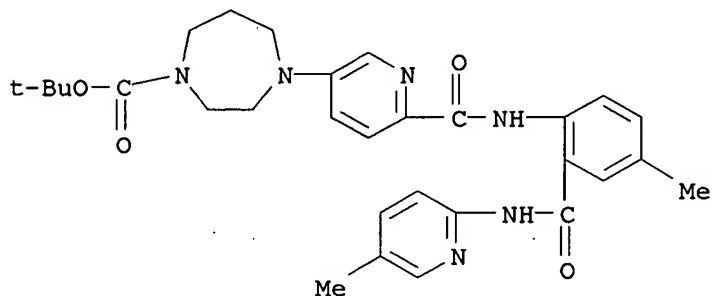
RN 395685-09-3 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-methylphenyl]amino]carbonyl]-3-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 395685-10-6 CAPLUS

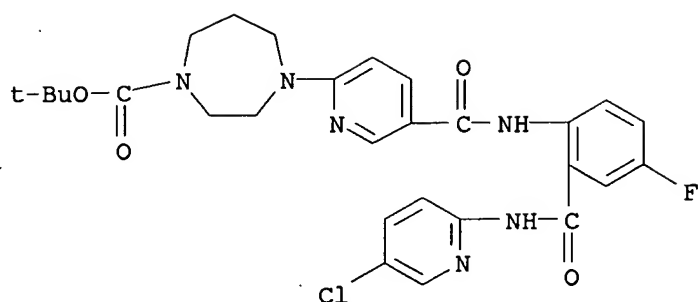
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[6-[[[4-methyl-2-[(5-methyl-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-3-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 395685-11-7 CAPLUS

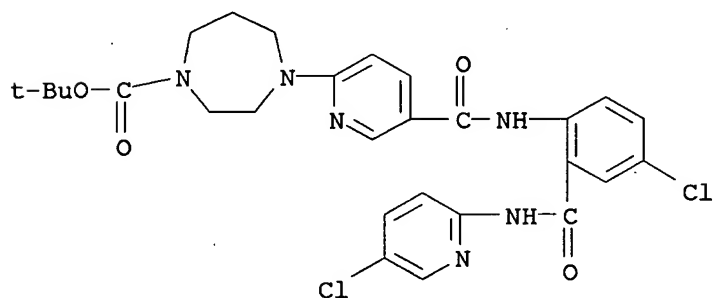
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]carbonyl]-2-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





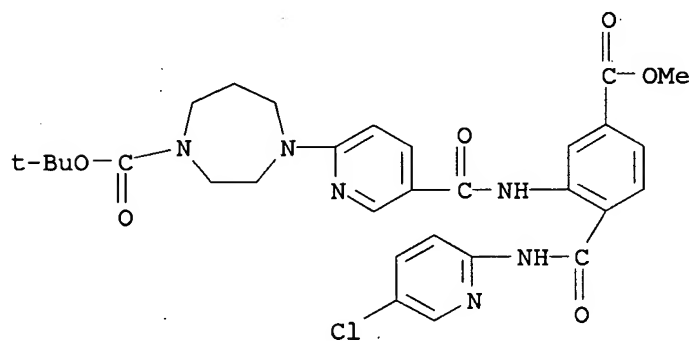
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CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[4-chloro-2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]carbonyl]-2-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 395685-13-9 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[5-[[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]-5-(methoxycarbonyl)phenyl]amino]carbonyl]-2-pyridinyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:747766 CAPLUS

DOCUMENT NUMBER: 135:288800

TITLE: Preparation of diazepane derivatives or salts thereof  
as inhibitors of activated blood coagulation factor XINVENTOR(S): Hirayama, Fukushi; Koshio, Hiroyuki; Ishihara,  
Tsukasa; Seki, Norio; Hachiya, Shunichiro; Sugasawa,  
Keizo; Shiraki, Ryota; Koga, Yuji; Matsumoto, Yuzo;  
Shigenaga, Takeshi; Kawazoe, Souichirou

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

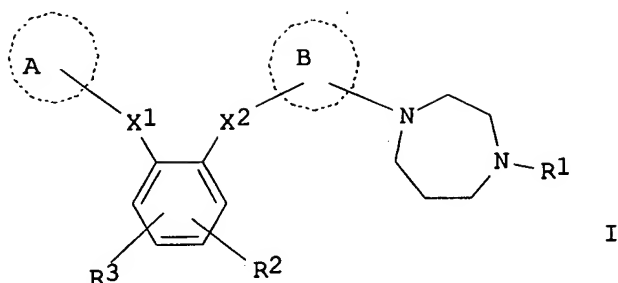
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001029827	A5	20011004	AU 2001-29827	20010322
AU 776053	B2	20040826		
CA 2395846	AA	20011011	CA 2001-2395846	20010329
AU 2001044634	A5	20011015	AU 2001-44634	20010329
EP 1273575	A1	20030108	EP 2001-917634	20010329
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PT 1273575	T	20040930	PT 2001-917634	20010329
ES 2217129	T3	20041101	ES 2001-1917634	20010329
RU 2257381	C2	20050727	RU 2001-108494	20010329
CN 1319594	A	20011031	CN 2001-112190	20010330
BR 2001001264	A	20011106	BR 2001-1264	20010330
TW 575569	B	20040211	TW 2001-90107761	20010330
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US 6642224	B2	20031104		
US 2004068109	A1	20040408	US 2003-656129	20030908
PRIORITY APPLN. INFO.:			JP 2000-96858	A 20000331
			EP 2001-917634	A3 20010329
			WO 2001-JP2673	W 20010329
			US 2002-148544	A3 20021002

OTHER SOURCE(S): MARPAT 135:288800

GI



AB The title compds. I [rings A, B = (un)substituted aryl, etc.; X1 = OCH<sub>2</sub>, etc.; X2 = CONR<sub>5</sub>, etc.; R1 = H, alkyl, etc.; R2 = OH, etc.; R3 = H, halo, etc.; R5 = H, alkyl] are prepared 4-[(3-Carbamimidoylphenylamino)methyl]-3-[4-(4-methyl-1,4-diazepan-1-yl)benzoylamino]benzoic acid hydrochloride in vitro showed IC<sub>50</sub> of ≤ 10 nM against activated blood coagulation factor X.

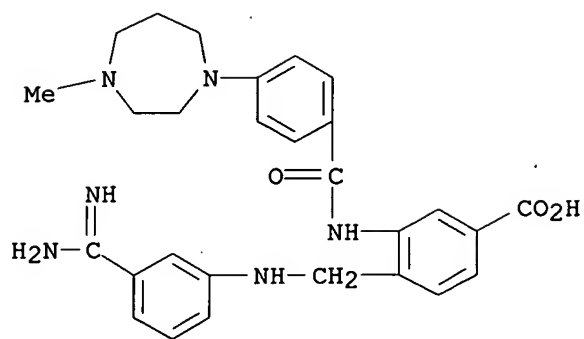
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 365462-68-6P 365462-92-6P 365462-93-7P  
 365462-94-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diazepane derivs. as inhibitors of activated blood coagulation factor X)

RN 365462-03-9 CAPLUS

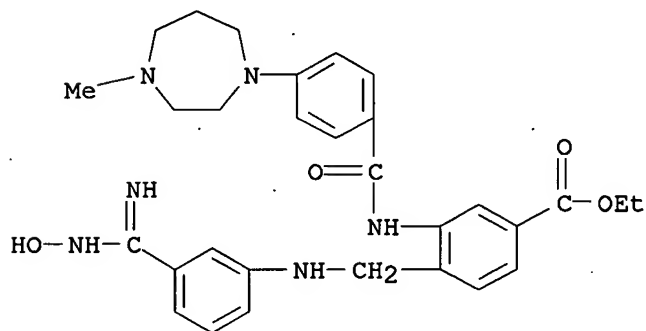
CN Benzoic acid, 4-[[[3-(aminoiminomethyl)phenyl]amino]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-05-1 CAPLUS

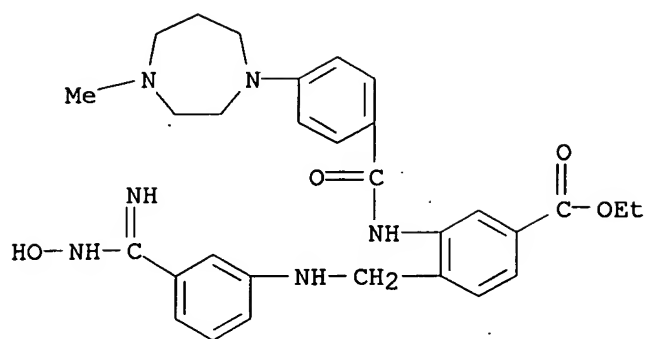
CN Benzoic acid, 3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-4-[[[3-[(hydroxyamino)iminomethyl]phenyl]amino]methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

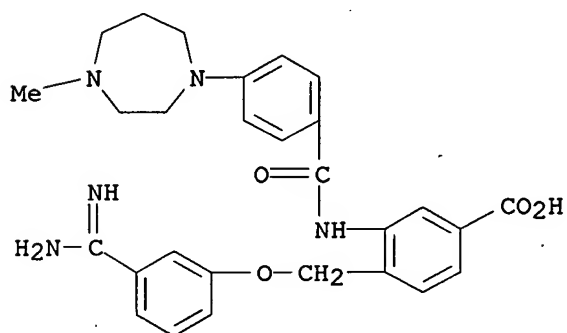
RN 365462-06-2 CAPLUS

CN Benzoic acid, 3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-4-[[[3-[(hydroxyamino)iminomethyl]phenyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 365462-07-3 CAPLUS

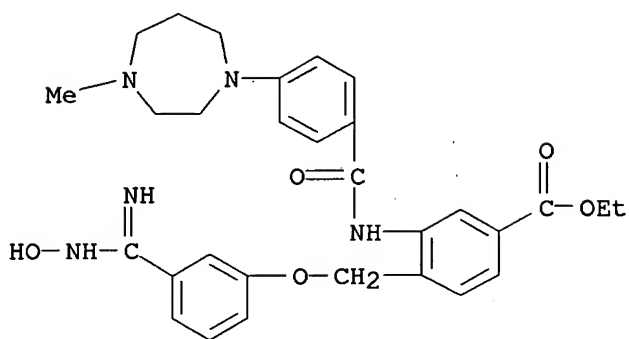
CN Benzoic acid, 4-[[3-((4-methyl-1,4-diazepin-1-yl)benzoyl)amino]-3-[[4-(hydroxyamino)iminomethyl]phenoxy]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-08-4 CAPLUS

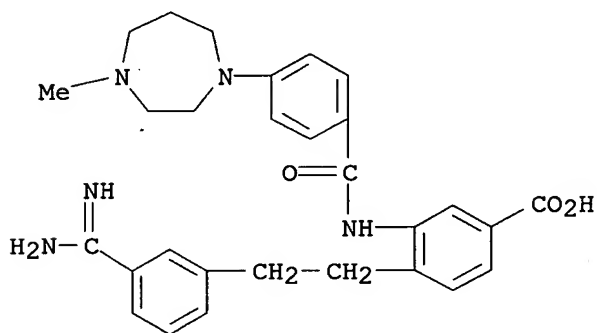
CN Benzoic acid, 3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-4-[[3-[[4-(hydroxyamino)iminomethyl]phenoxy]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-4-[[3-[[4-(hydroxyamino)iminomethyl]phenoxy]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-09-5 CAPLUS

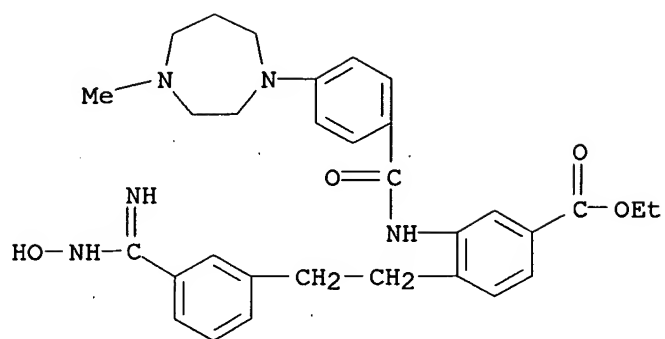
CN Benzoic acid, 4-[2-[3-(aminoiminomethyl)phenyl]ethyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-10-8 CAPLUS

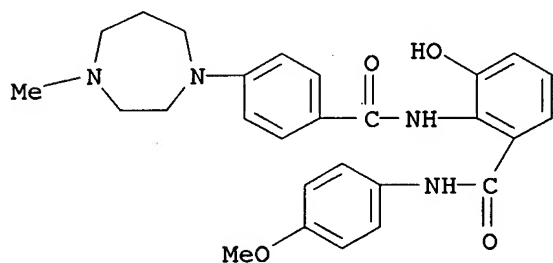
CN Benzoic acid, 3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-4-[2-[3-[(hydroxyamino)iminomethyl]phenyl]ethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-13-1 CAPLUS

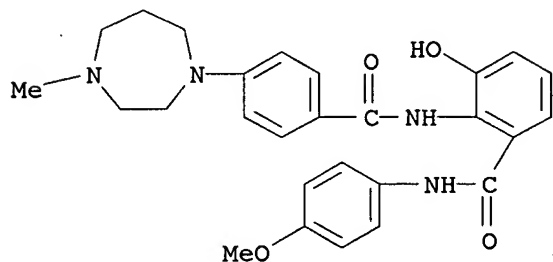
CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-N-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

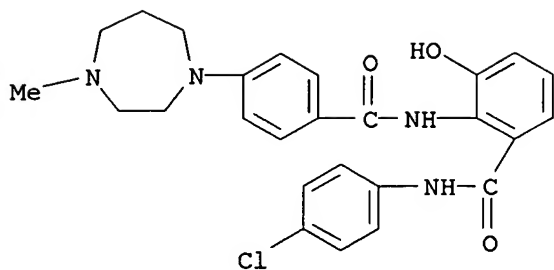
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CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



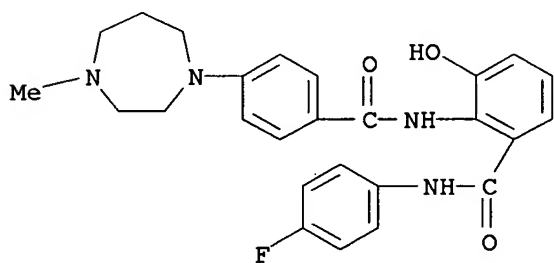
RN 365462-15-3 CAPLUS

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● HCl

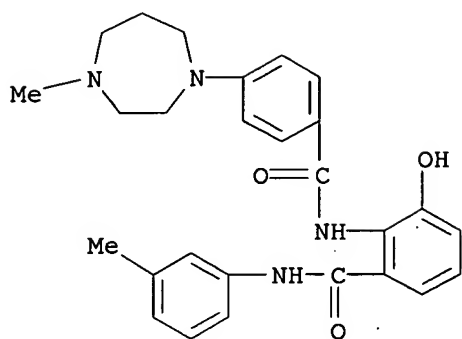
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● HCl

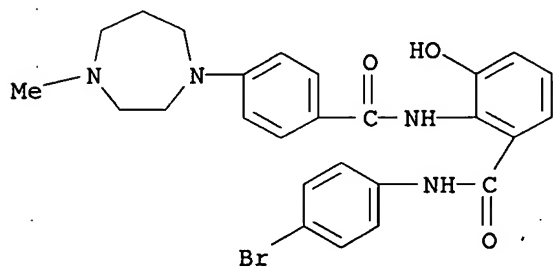
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 CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-N-(3-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)





● HCl

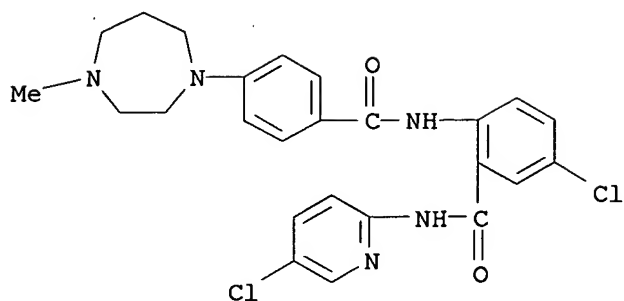
RN 365462-19-7 CAPLUS  
CN Benzamide, N-(4-bromophenyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-20-0 CAPLUS  
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

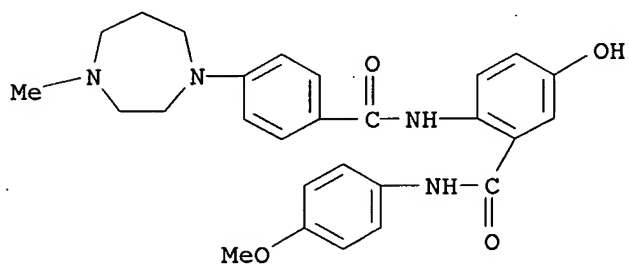
10/656,129



● HCl

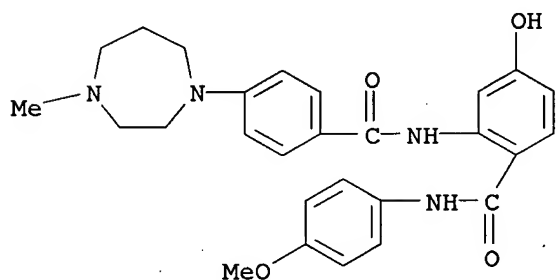
RN 365462-21-1 CAPLUS

CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-5-hydroxy-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 365462-22-2 CAPLUS

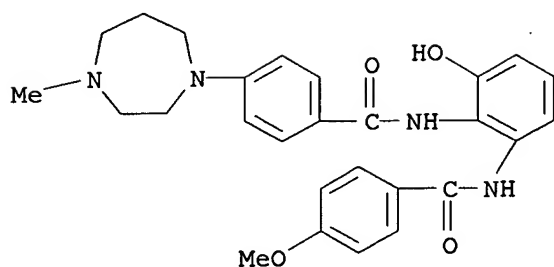
CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-4-hydroxy-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 365462-23-3 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy- (9CI) (CA INDEX NAME)

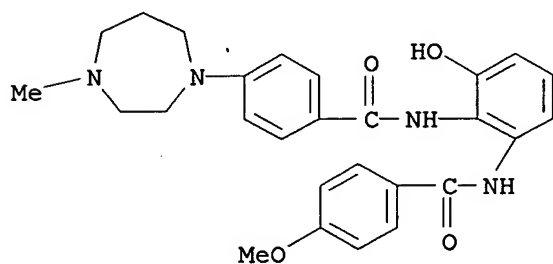
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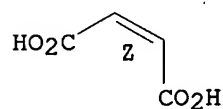
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CM 2

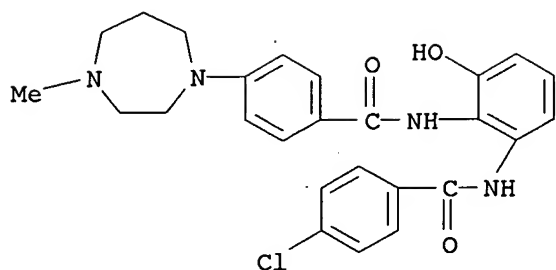
CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.



RN 365462-25-5 CAPLUS  
CN Benzamide, N-[2-[(4-chlorobenzoyl)amino]-6-hydroxyphenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

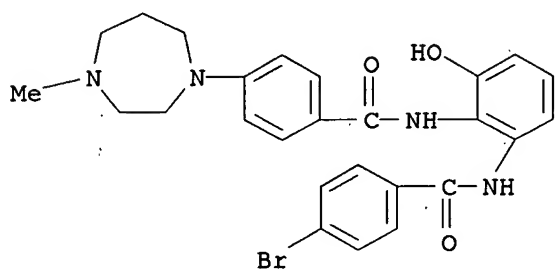
10/656,129



● HCl

RN 365462-27-7 CAPLUS

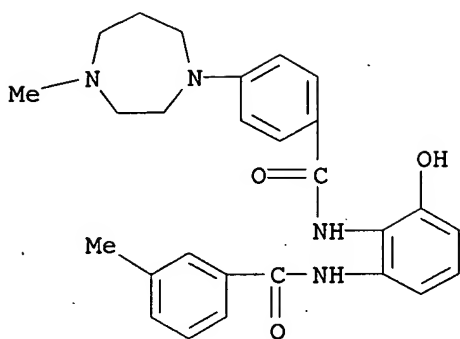
CN Benzamide, N-[2-[(4-bromobenzoyl)amino]-6-hydroxyphenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

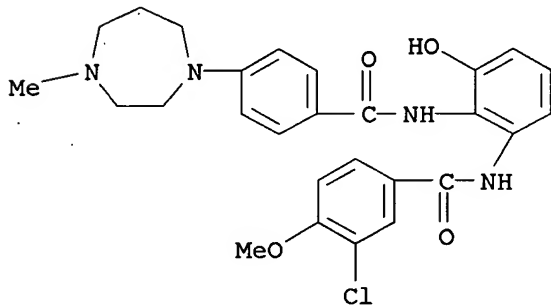
RN 365462-28-8 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



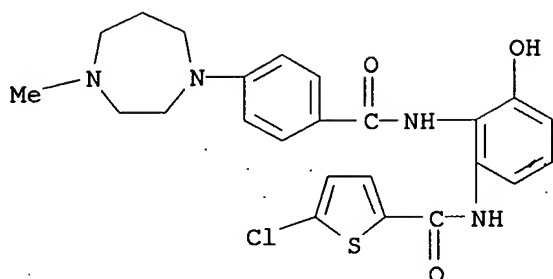
● HCl

RN 365462-29-9 CAPLUS  
 CN Benzamide, 3-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
 (CA INDEX NAME)



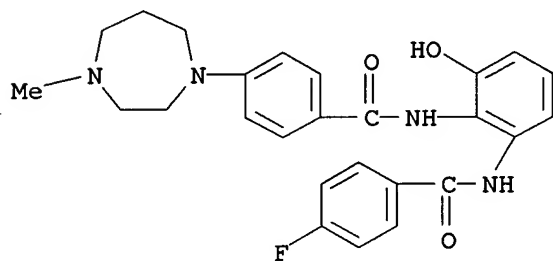
● HCl

RN 365462-30-2 CAPLUS  
 CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



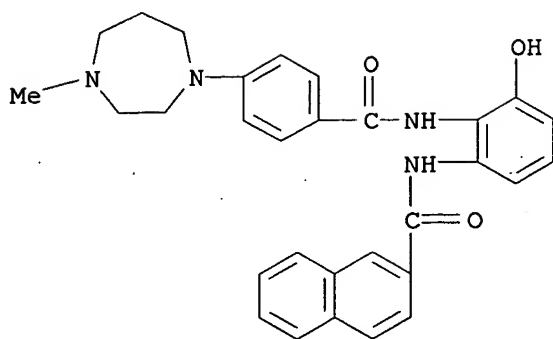
● HCl

RN 365462-31-3 CAPLUS  
CN Benzamide, N-[2-[(4-fluorobenzoyl)amino]-6-hydroxyphenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



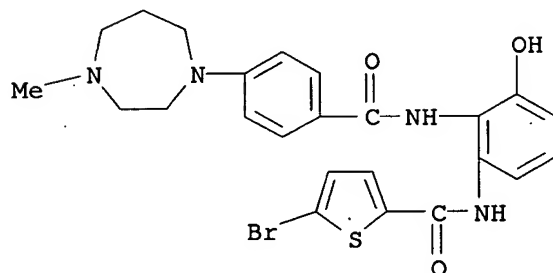
● HCl

RN 365462-32-4 CAPLUS  
CN 2-Naphthalenecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



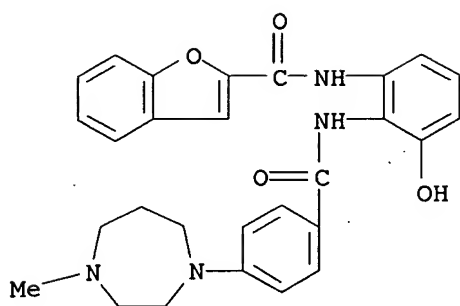
● HCl

RN 365462-33-5 CAPLUS  
 CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-, monohydrochloride (9CI)  
 (CA INDEX NAME)



● HCl

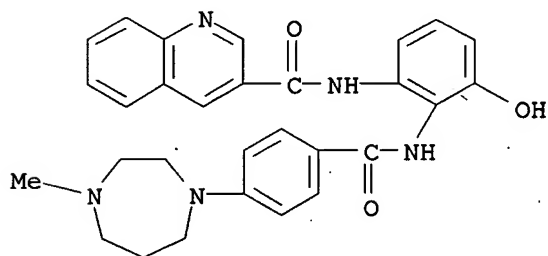
RN 365462-34-6 CAPLUS  
 CN 2-Benzofurancarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-35-7 CAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



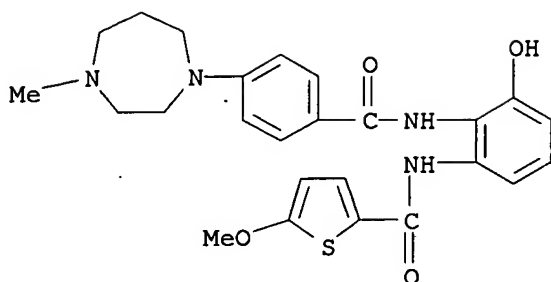
● HCl

RN 365462-37-9 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-5-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



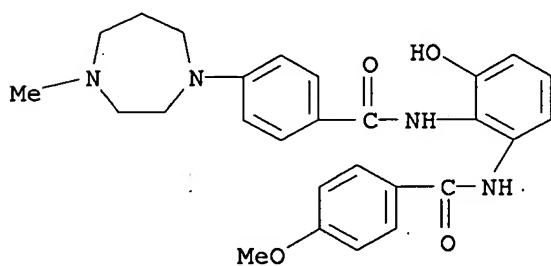
10/656,129



● HCl

RN 365462-38-0 CAPLUS

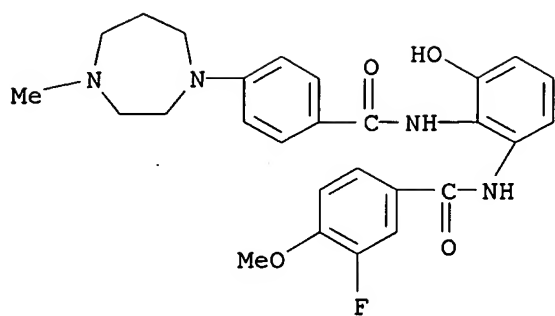
CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 365462-39-1 CAPLUS

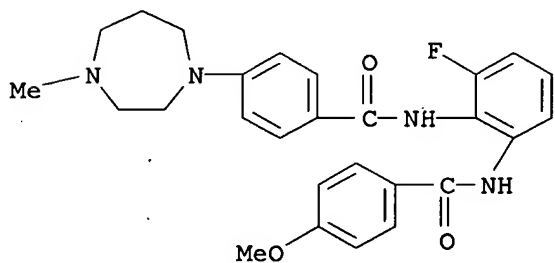
CN Benzamide, 3-fluoro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 365462-40-4 CAPLUS

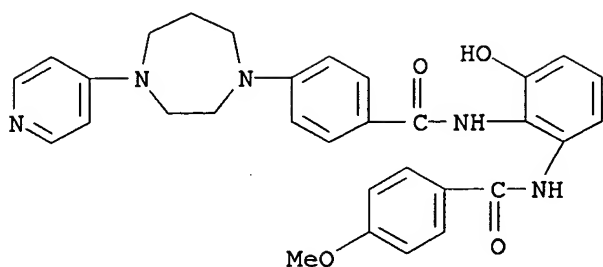
CN Benzamide, N-[3-fluoro-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-41-5 CAPLUS

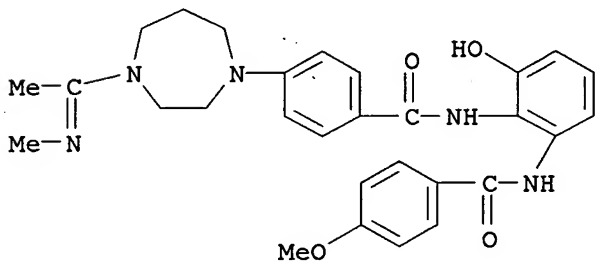
CN Benzamide, N-[2-[[4-[hexahydro-4-(4-pyridinyl)-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-42-6 CAPLUS

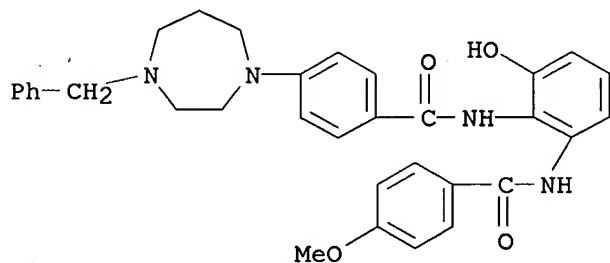
CN Benzamide, N-[2-[[4-[hexahydro-4-[1-(methylimino)ethyl]-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 365462-43-7 CAPLUS

CN Benzamide, N-[2-[[4-[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)

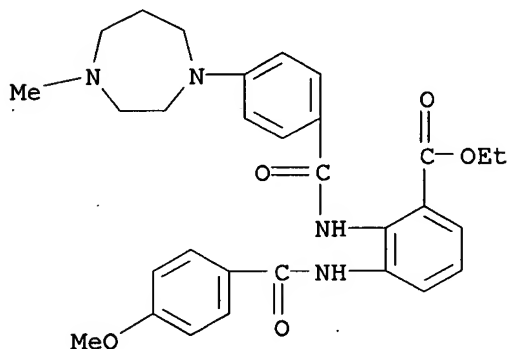


● HCl

10/656,129

RN 365462-45-9 CAPLUS

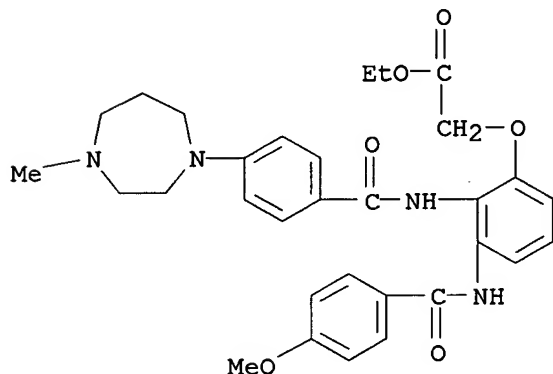
CN Benzoic acid, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

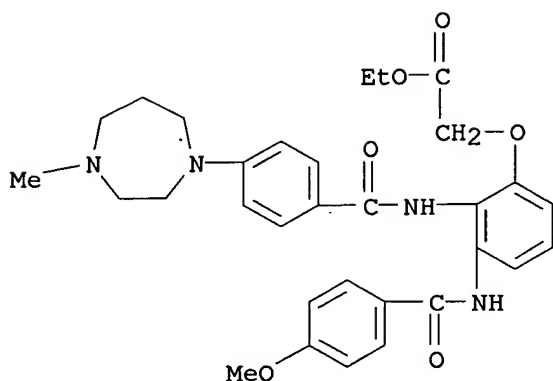
RN 365462-46-0 CAPLUS

CN Acetic acid, [2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



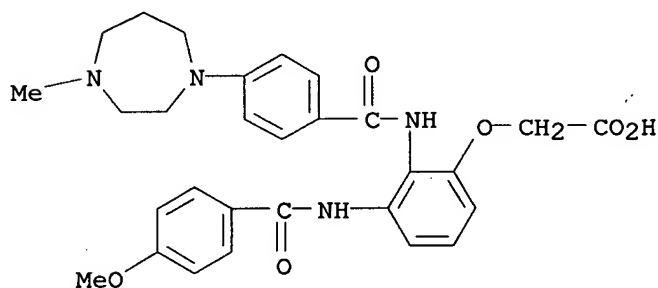
RN 365462-47-1 CAPLUS

CN Acetic acid, [2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



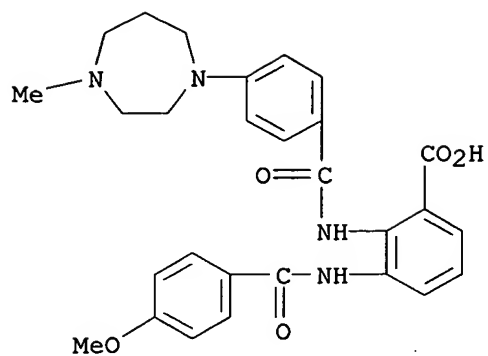
● HCl

RN 365462-48-2 CAPLUS  
 CN Acetic acid, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

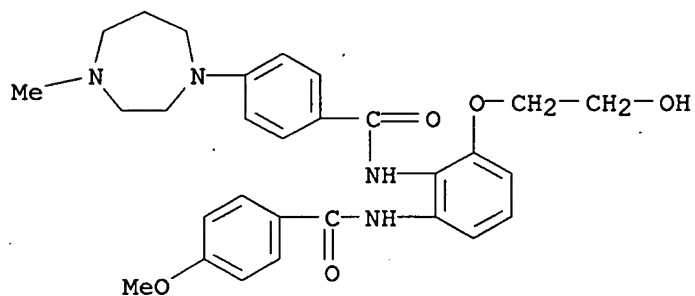
RN 365462-49-3 CAPLUS  
 CN Benzoic acid, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-[(4-methoxybenzoyl)amino]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-50-6 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-(2-hydroxyethoxy)phenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

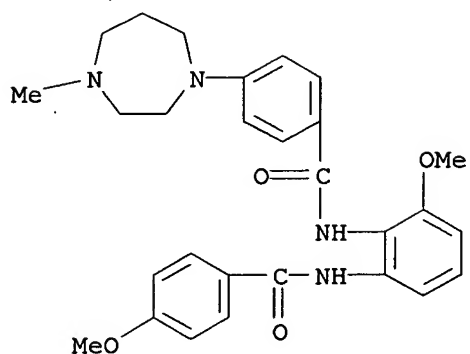


● HCl

RN 365462-51-7 CAPLUS

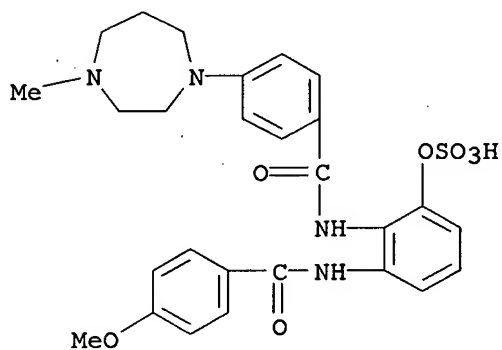
CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-methoxyphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

10/656,129

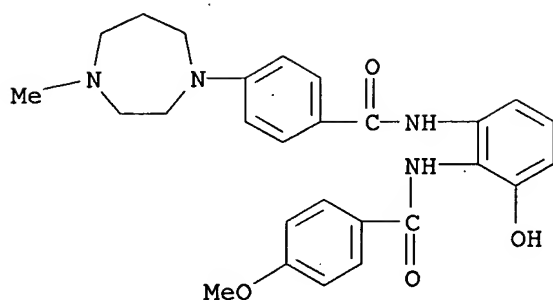


● HCl

RN 365462-52-8 CAPLUS  
CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-(sulfooxy)phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



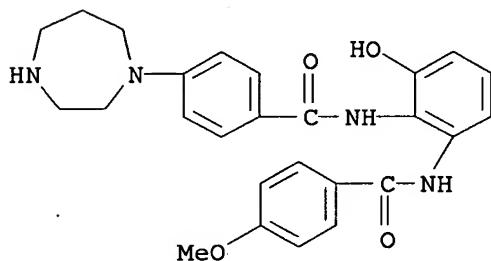
RN 365462-53-9 CAPLUS  
CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-6-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

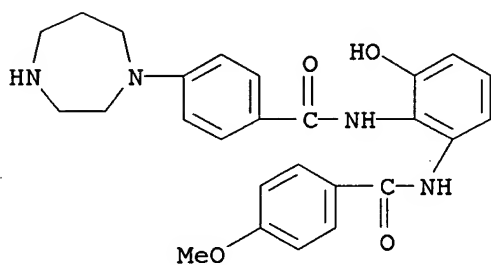
RN 365462-54-0 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 365462-55-1 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

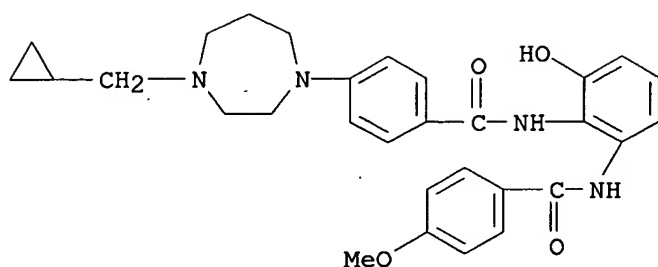


● HCl

RN 365462-56-2 CAPLUS

CN Benzamide, N-[2-[[4-[(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monoh

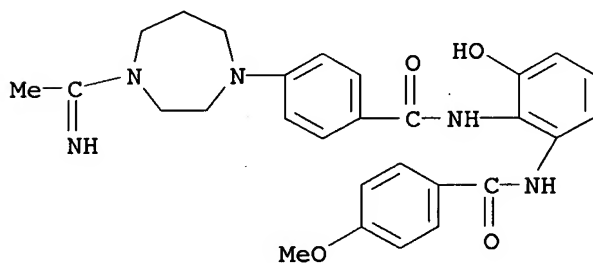




● HCl

RN 365462-57-3 CAPLUS

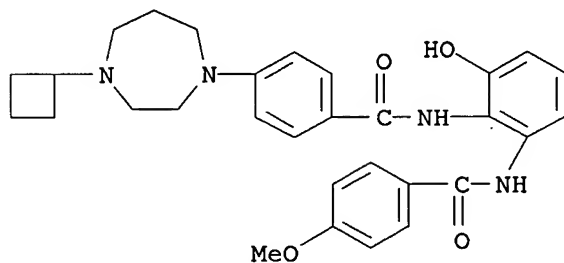
CN Benzamide, N-[2-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 365462-58-4 CAPLUS

CN Benzamide, N-[2-[[4-(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)

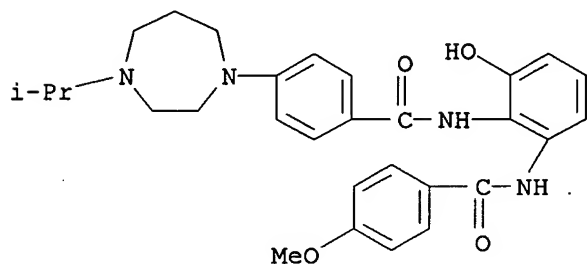


● HCl

10/656,129

RN 365462-59-5. CAPLUS

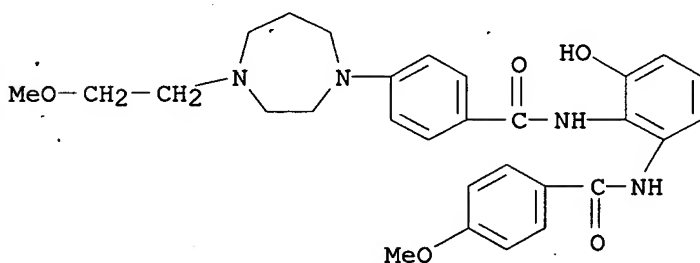
CN Benzamide, N-[2-[[4-[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 365462-60-8 CAPLUS

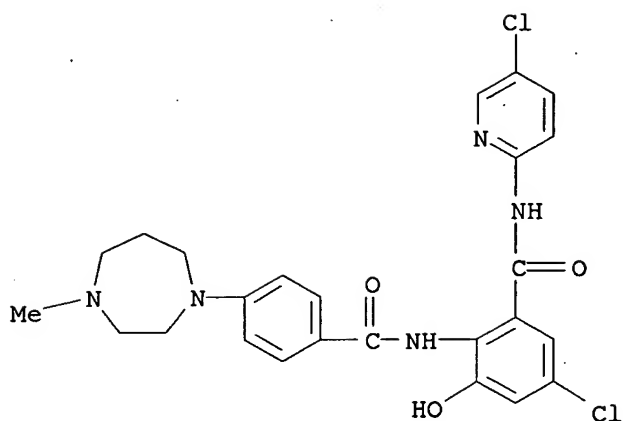
CN Benzamide, N-[2-[[4-[hexahydro-4-(2-methoxyethyl)-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

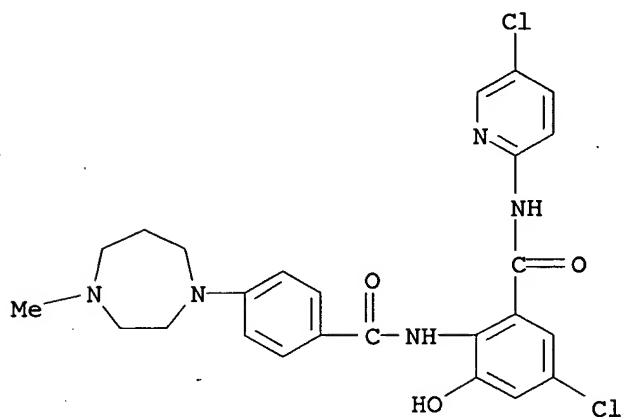
RN 365462-61-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 365462-62-0 CAPLUS

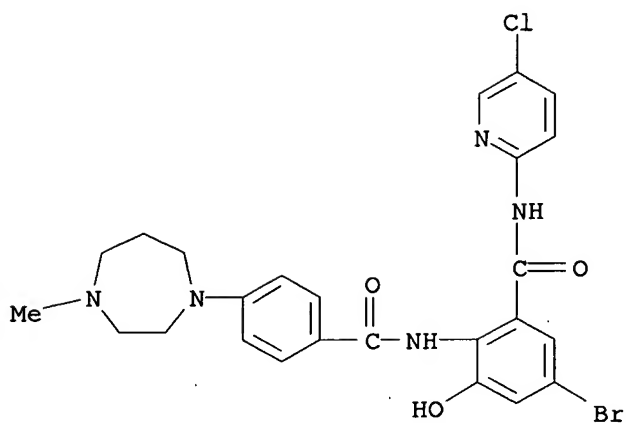
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

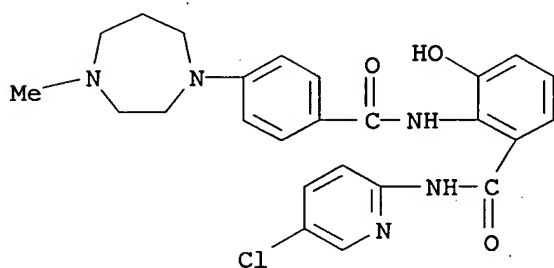
RN 365462-63-1 CAPLUS

CN Benzamide, 5-bromo-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



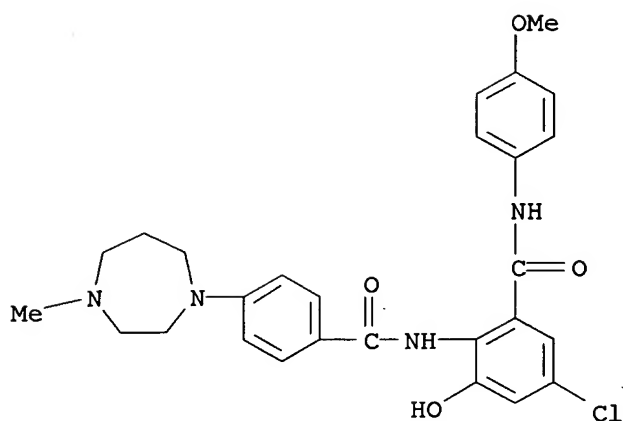
● HCl

RN 365462-64-2 CAPLUS  
 CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

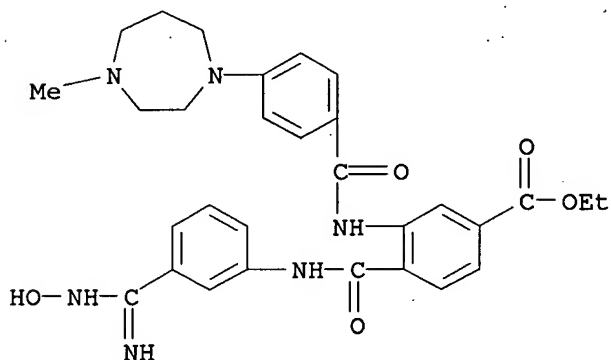
RN 365462-65-3 CAPLUS  
 CN Benzamide, 5-chloro-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-N-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-66-4 CAPLUS

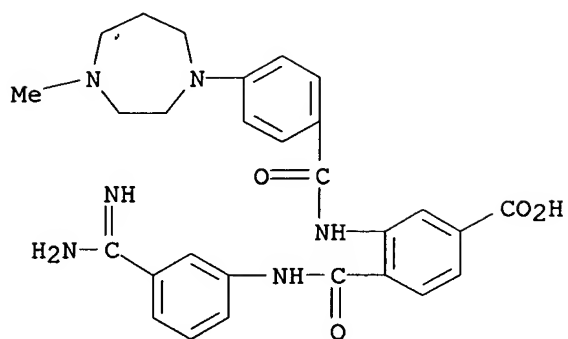
CN Benzoic acid, 3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-4-[[[3-[(hydroxyamino)iminomethyl]phenyl]amino]carbonyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 365462-68-6 CAPLUS

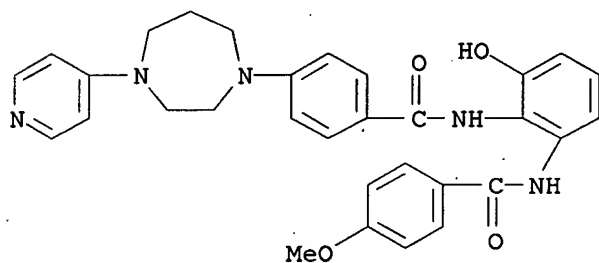
CN Benzoic acid, 4-[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

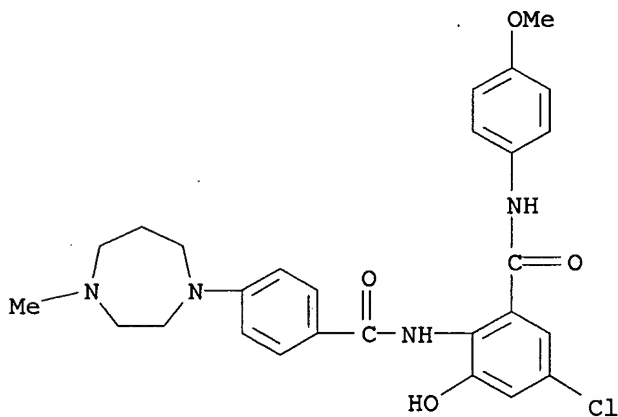
RN 365462-92-6 CAPLUS

CN Benzamide, N-[2-[[4-[hexahydro-4-(4-pyridinyl)-1H-1,4-diazepin-1-yl]benzoyl]amino]-3-hydroxyphenyl]-4-methoxy- (9CI) (CA INDEX NAME)



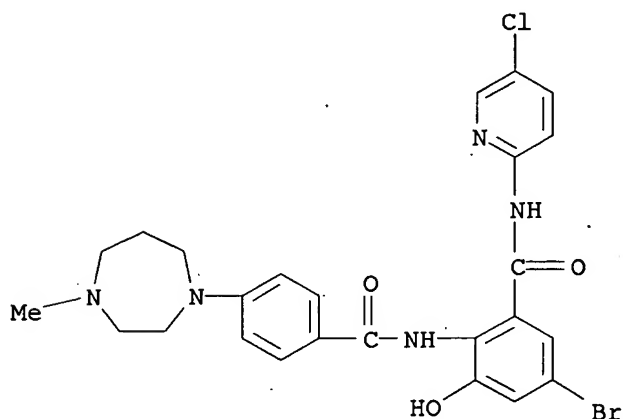
RN 365462-93-7 CAPLUS

CN Benzamide, 5-chloro-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 365462-94-8 CAPLUS

CN Benzamide, 5-bromo-N-(5-chloro-2-pyridinyl)-2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-hydroxy- (9CI) (CA INDEX NAME)



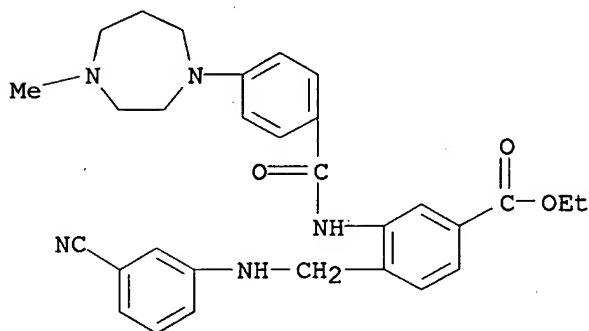
IT 365462-88-0P 365462-89-1P 365462-91-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diazepane derivs. as inhibitors of activated blood coagulation factor X)

RN 365462-88-0 CAPLUS

CN Benzoic acid, 4-[[[(3-cyanophenyl)amino]methyl]-3-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 365462-89-1 CAPLUS

CN Benzoic acid, 4-[2-(3-cyanophenyl)ethyl]-3-[2-[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)

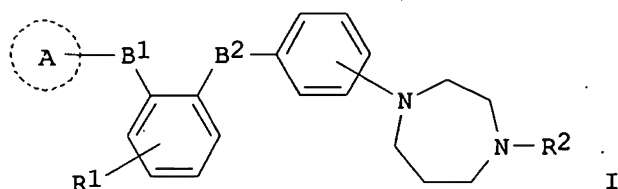




L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2000:765431 CAPLUS  
 DOCUMENT NUMBER: 133:321906  
 TITLE: Preparation of phenyldiazepane derivatives or salt thereof having anticoagulant activity  
 INVENTOR(S): Koshio, Hiroyuki; Hirayama, Fukushi; Seki, Norio; Ishihara, Tsukasa; Kanzawa, Keizo; Hachiya, Shunichiro; Taniuchi, Yuta; Matsumoto, Yuzo  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000302765	A2	20001031	JP 1999-117025	19990423
PRIORITY APPLN. INFO.:			JP 1999-117025	19990423
OTHER SOURCE(S):	MARPAT 133:321906			

GI



AB The title compds. (I; ring A = aryl or heteroaryl optionally having 1-3 substituents; B1 = CO, NR3, NR3CO; B2 = CO, NR4, NR4CO; R1 - R4 = H, lower alkyl) or salts thereof are prepared as inhibitors of activated blood coagulation factor X which are useful as blood coagulation inhibitors or for the treatment or prevention of diseases caused by thrombosis or embolism (no data). Thus, chlorination of 4-(4-methyl-1,4-diazepan-1-yl)benzoic acid hydrochloride with SOCl<sub>2</sub> at 60° for 90 min gave 4-(4-methyl-1,4-diazepan-1-yl)benzoyl chloride which was condensed with 2'-amino-3-cyanobenzanilide in pyridine at room temperature for 2 h to give N-(3-cyanobenzoyl)-N'-[4-(4-methyl-1,4-diazepan-1-yl)benzoyl]-1,2-phenylenediamine.

IT 303134-35-2P 303134-38-5P 303134-41-0P  
 303134-44-3P 303134-47-6P 303134-52-3P  
 303134-56-7P 303134-62-5P 303134-65-8P  
 303134-68-1P 303134-71-6P 303134-74-9P  
 303134-76-1P 303134-79-4P 303134-82-9P  
 303134-85-2P 303134-88-5P 303134-91-0P  
 303134-94-3P 303134-99-8P 303135-02-6P  
 303135-05-9P 303135-08-2P 303135-11-7P  
 303135-14-0P 303135-17-3P 303135-20-8P  
 303135-23-1P 303135-26-4P 303135-29-7P  
 303135-32-2P 303135-35-5P 303135-38-8P  
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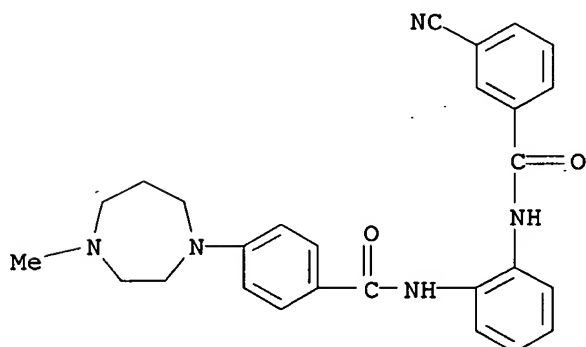
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303136-40-5P 303136-43-8P 303136-46-1P  
303136-49-4P 303136-52-9P 303136-55-2P  
303136-58-5P 303136-61-0P 303136-64-3P  
303136-67-6P 303136-71-2P 303142-96-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyldiazepane derivs. or salt thereof having anticoagulant activity as blood coagulation inhibitors and antithrombotics)

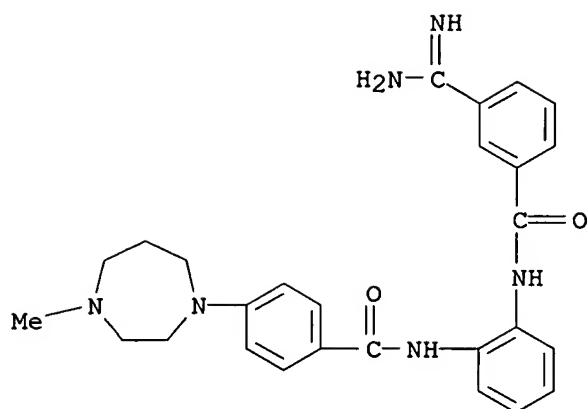
RN 303134-35-2 CAPLUS

CN Benzamide, 3-cyano-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



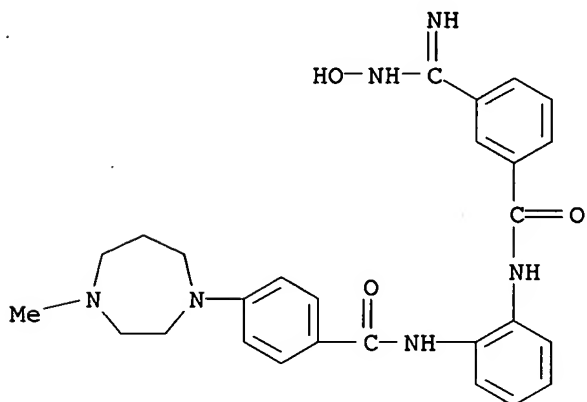
RN 303134-38-5 CAPLUS

CN    Benzamide, 3-(aminoiminomethyl)-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



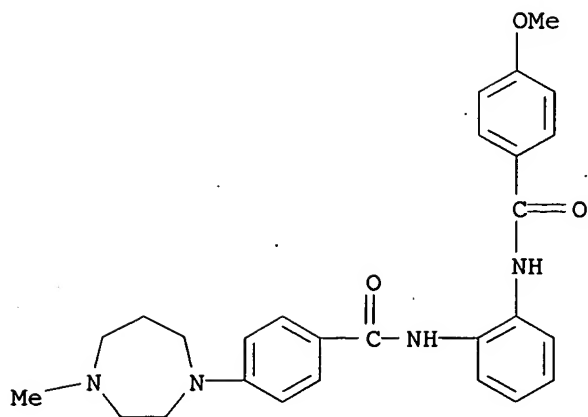
● HCl

RN 303134-41-0 CAPLUS  
 CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3-[(hydroxyamino)iminomethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



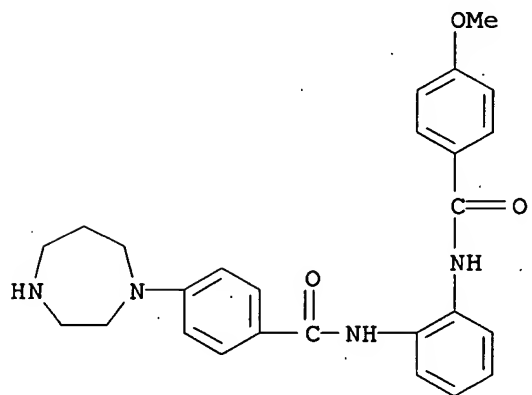
● HCl

RN 303134-44-3 CAPLUS  
 CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 303134-47-6 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

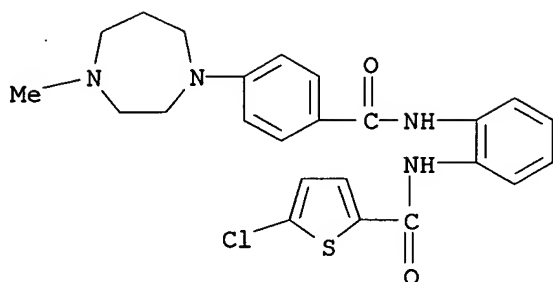


● HCl

RN 303134-52-3 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/656,129



● HCl

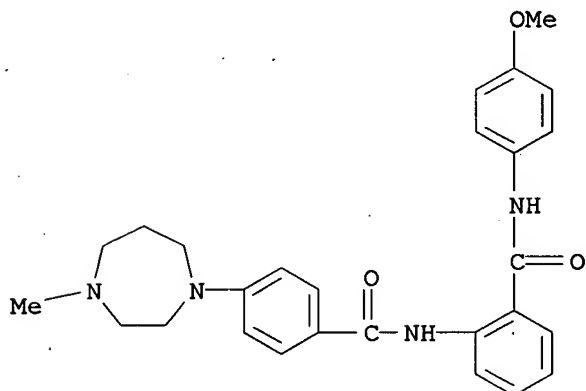
RN 303134-56-7 CAPLUS

CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-N-(4-methoxyphenyl)-, compd. with methanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 303134-55-6

CMF C27 H30 N4 O3



CM 2

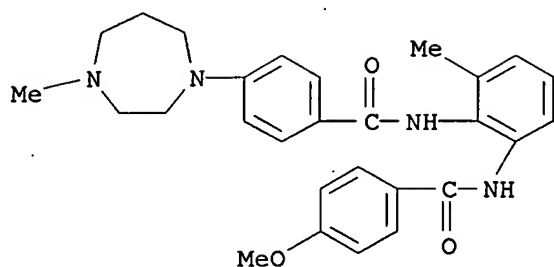
CRN 67-56-1

CMF C H4 O

H<sub>3</sub>C-OH

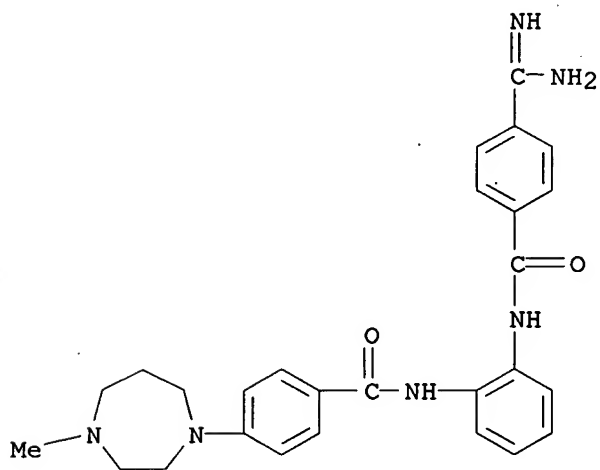
RN 303134-62-5 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-3-methylphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



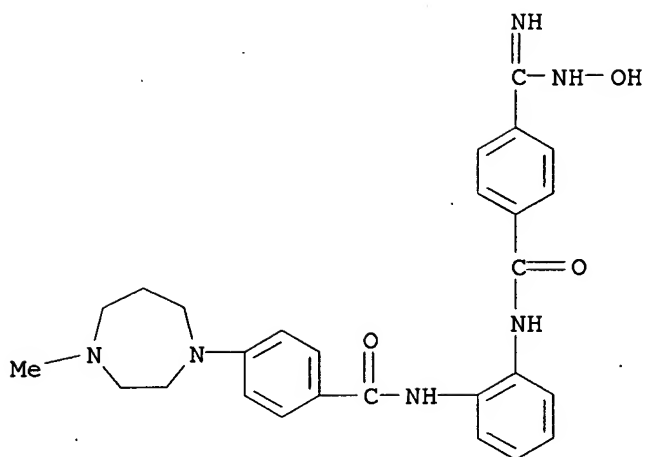
● HCl

RN 303134-65-8 CAPLUS  
CN Benzamide, N-[2-[[4-(aminoiminomethyl)benzoyl]amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

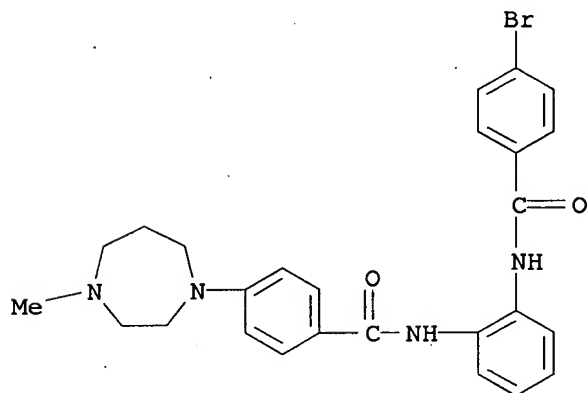
RN 303134-68-1 CAPLUS  
CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-[(hydroxyamino)iminomethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 303134-71-6 CAPLUS

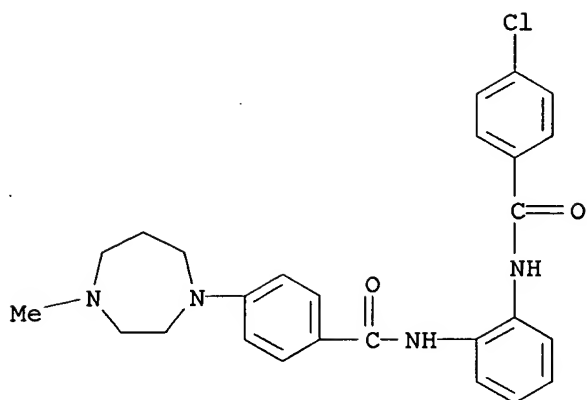
CN Benzamide, N-[2-[(4-bromobenzoyl)amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 303134-74-9 CAPLUS

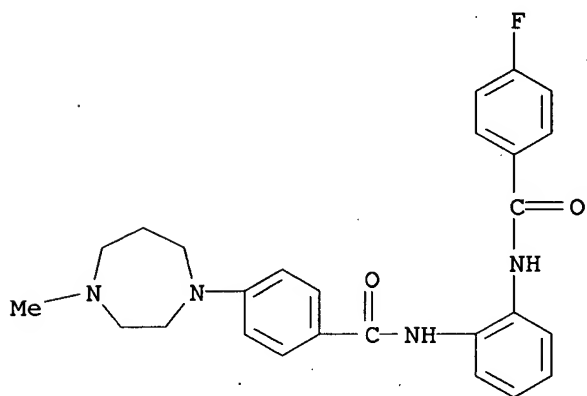
CN Benzamide, N-[2-[(4-chlorobenzoyl)amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 303134-76-1 CAPLUS

CN Benzamide, N-[2-[(4-fluorobenzoyl)amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

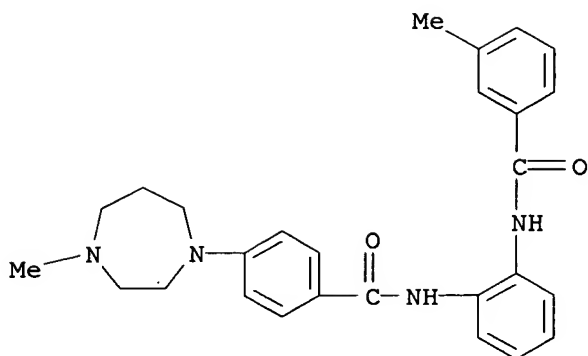


● HCl

RN 303134-79-4 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

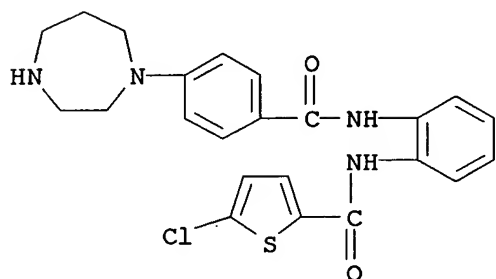




● HCl

RN 303134-82-9 CAPLUS

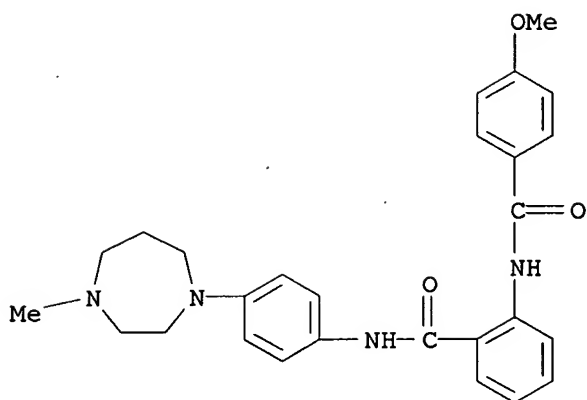
CN 2-Thiophenecarboxamide, 5-chloro-N-[2-[[4-(hexahydro-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

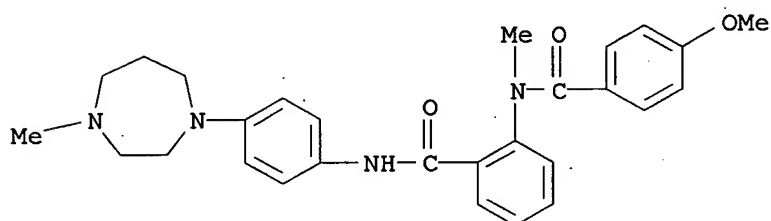
RN 303134-85-2 CAPLUS

CN Benzamide, N-[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]-2-[(4-methoxybenzoyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



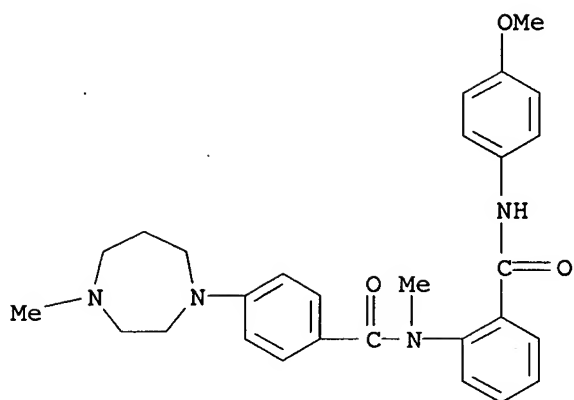
● HCl

RN 303134-88-5 CAPLUS  
 CN Benzamide, N-[2-[[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]amino]carbonyl]phenyl]-4-methoxy-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



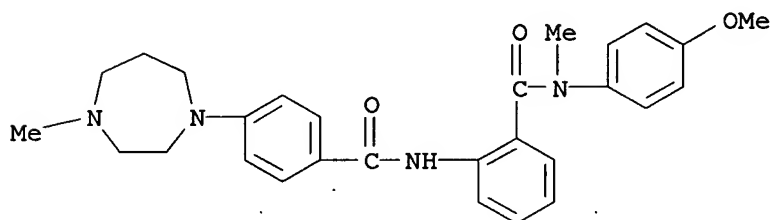
● HCl

RN 303134-91-0 CAPLUS  
 CN Benzamide, 4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-N-[2-[[[4-methoxyphenyl]amino]carbonyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



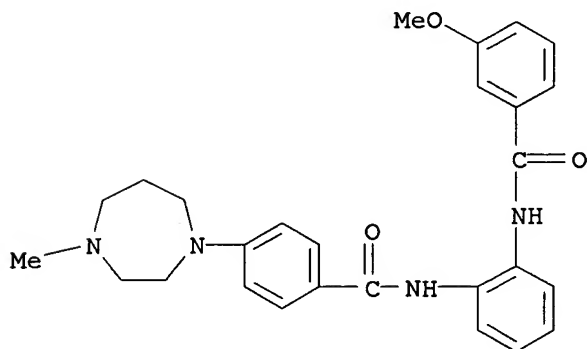
RN 303134-94-3 CAPLUS

CN Benzamide, 2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-N-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



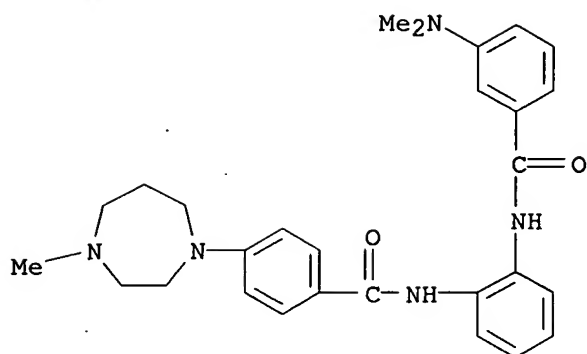
RN 303134-99-8 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3-methoxy- (9CI) (CA INDEX NAME)



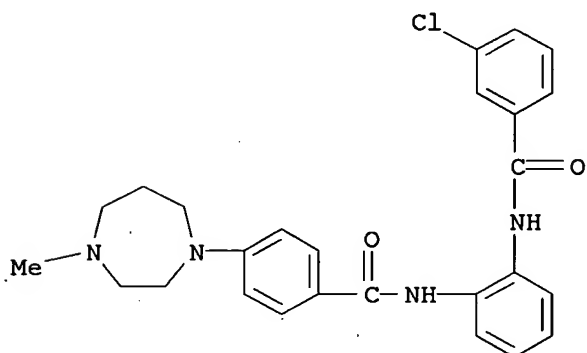
RN 303135-02-6 CAPLUS

CN Benzamide, 3-(dimethylamino)-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



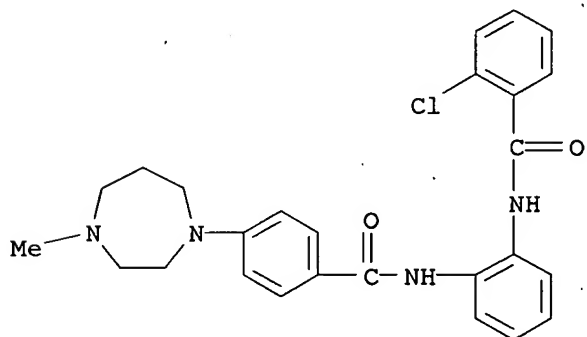
RN 303135-05-9 CAPLUS

CN Benzamide, 3-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



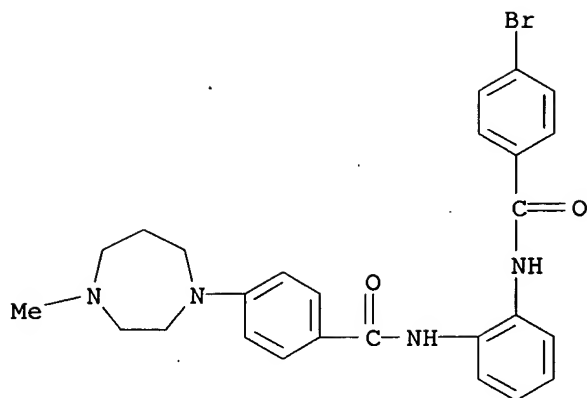
RN 303135-08-2 CAPLUS

CN Benzamide, 2-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



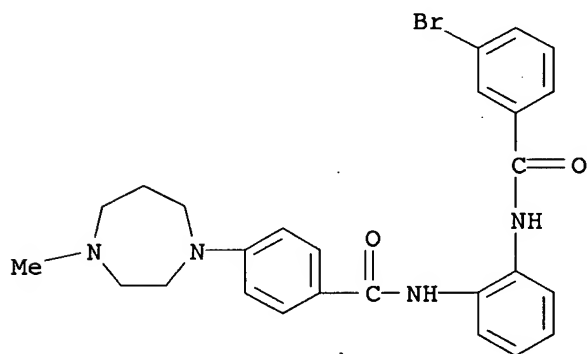
RN 303135-11-7 CAPLUS

CN Benzamide, N-[2-[[4-(bromobenzoyl)amino]phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)]- (9CI) (CA INDEX NAME)



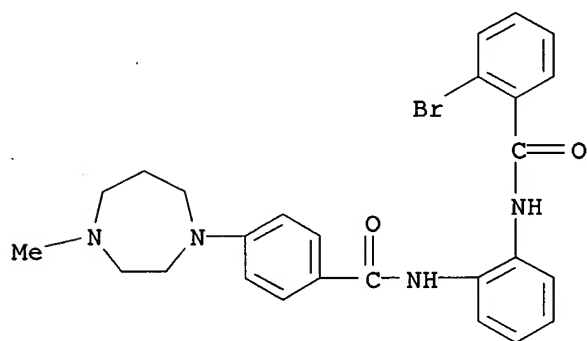
RN 303135-14-0 CAPLUS

CN Benzamide, 3-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



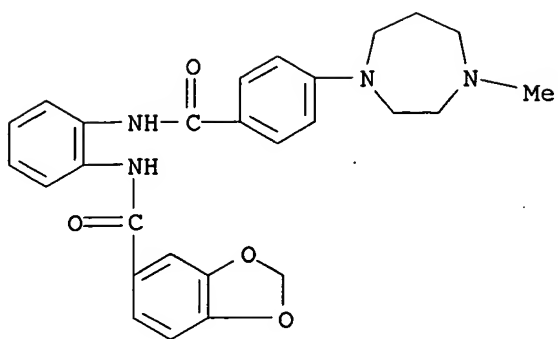
RN 303135-17-3 CAPLUS

CN Benzamide, 2-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



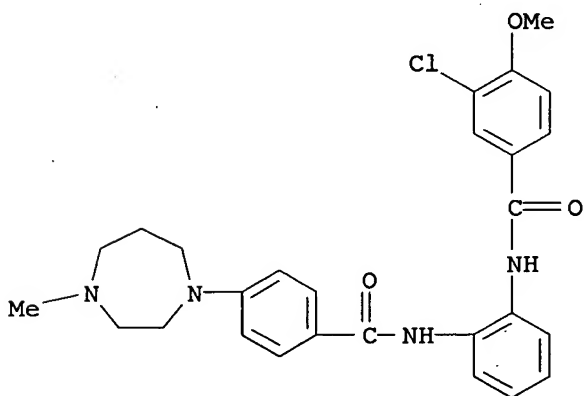
RN 303135-20-8 CAPLUS

CN 1,3-Benzodioxole-5-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



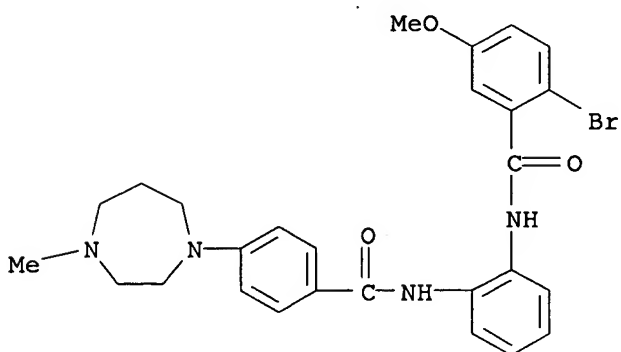
RN 303135-23-1 CAPLUS

CN Benzamide, 3-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



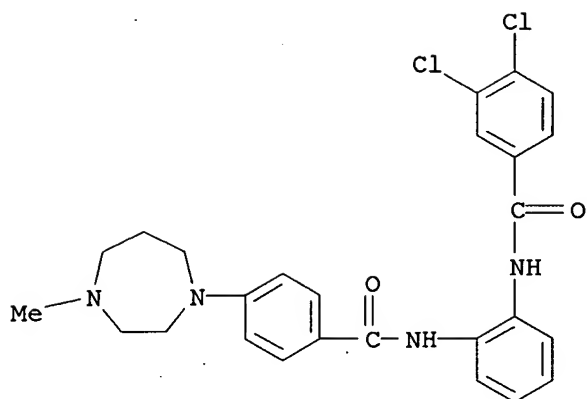
RN 303135-26-4 CAPLUS

CN Benzamide, 2-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-5-methoxy- (9CI) (CA INDEX NAME)



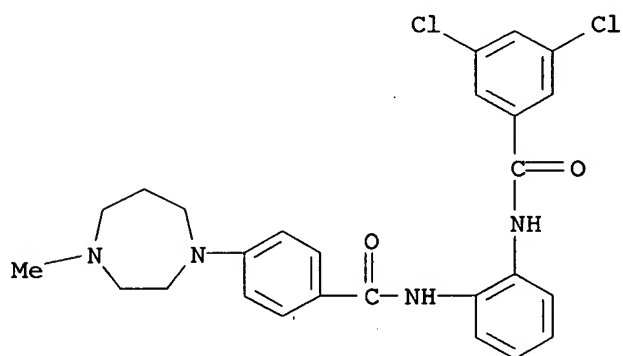
RN 303135-29-7 CAPLUS

CN Benzamide, 3,4-dichloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



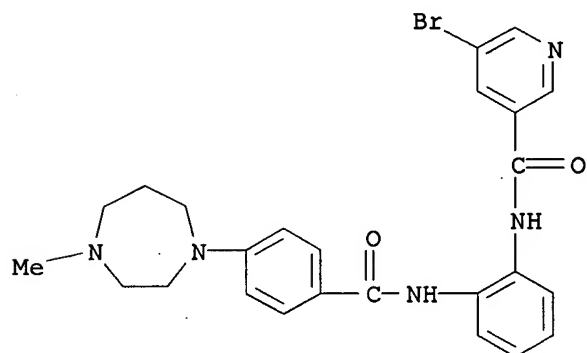
RN 303135-32-2 CAPLUS

CN Benzamide, 3,5-dichloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303135-35-5 CAPLUS

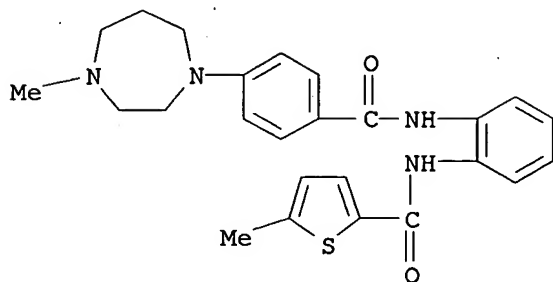
CN 3-Pyridinecarboxamide, 5-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303135-38-8 CAPLUS

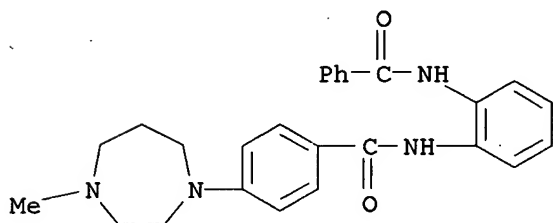
CN 2-Thiophenecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-

yl)benzoyl]amino]phenyl]-5-methyl- (9CI) (CA INDEX NAME)



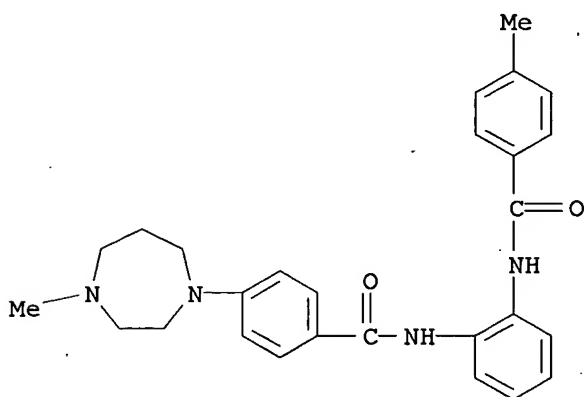
RN 303135-41-3 CAPLUS

CN Benzamide, N-[2-(benzoylamino)phenyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 303135-44-6 CAPLUS

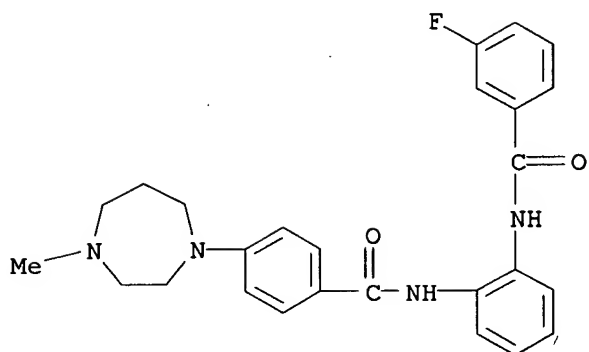
CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 303135-47-9 CAPLUS

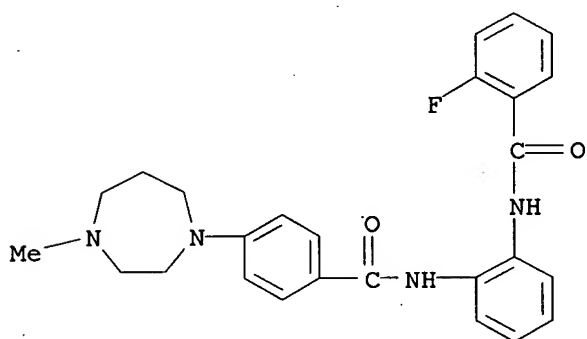
CN Benzamide, 3-fluoro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)





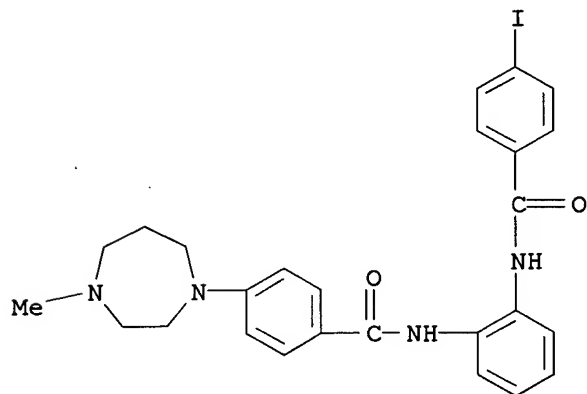
RN 303135-50-4 CAPLUS

CN Benzamide, 2-fluoro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



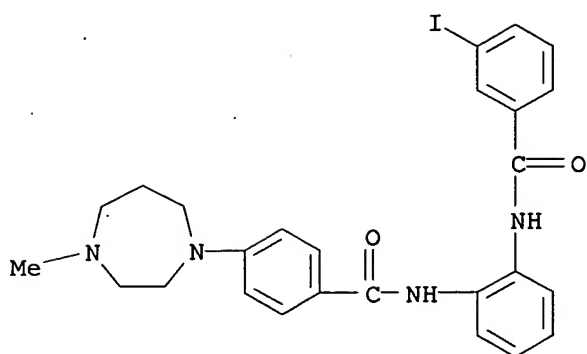
RN 303135-53-7 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-iodo- (9CI) (CA INDEX NAME)



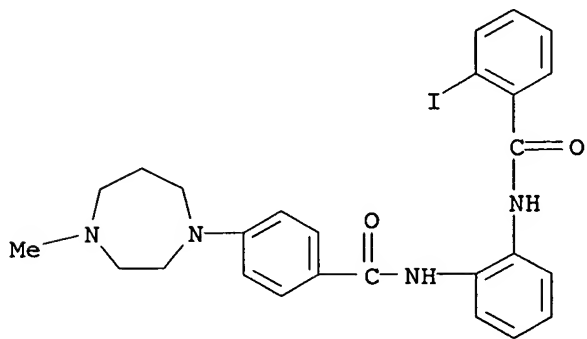
RN 303135-56-0 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3-iodo- (9CI) (CA INDEX NAME)



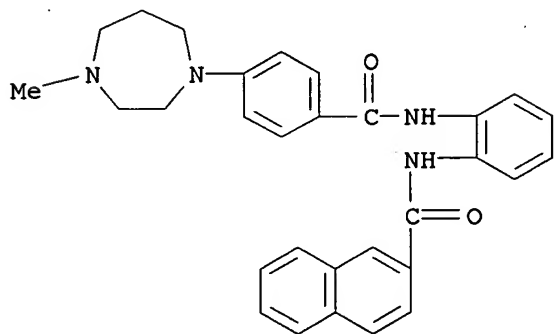
RN 303135-59-3 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-2-iodo- (9CI) (CA INDEX NAME)



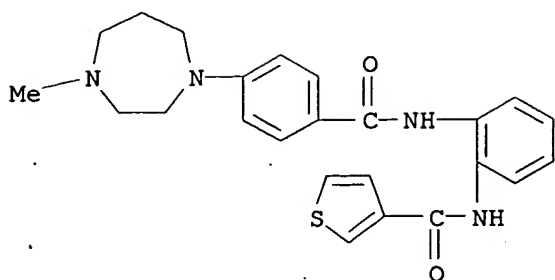
RN 303135-62-8 CAPLUS

CN 2-Naphthalenecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



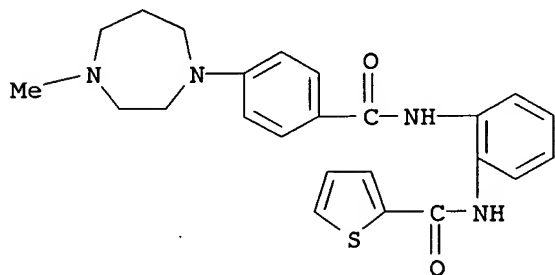
RN 303135-65-1 CAPLUS

CN 3-Thiophenecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



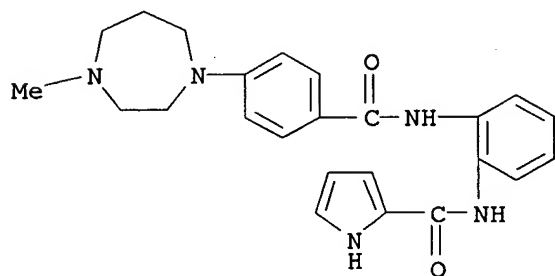
RN 303135-68-4 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



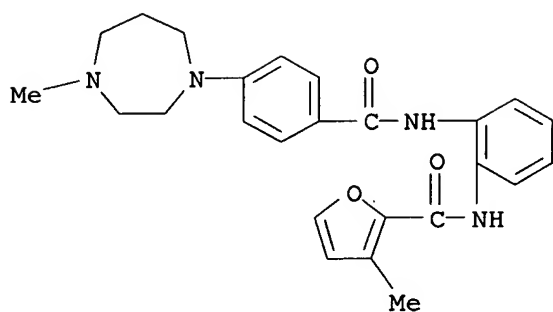
RN 303135-71-9 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



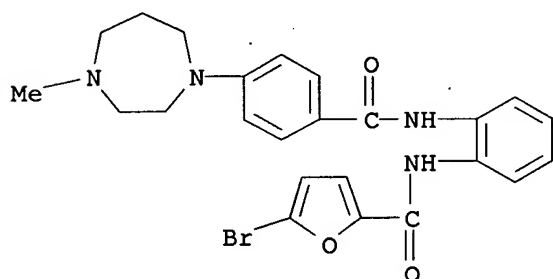
RN 303135-74-2 CAPLUS

CN 2-Furancarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



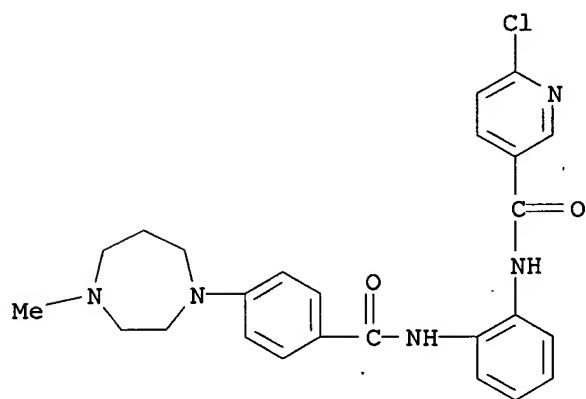
RN 303135-77-5 CAPLUS

CN 2-Furancarboxamide, 5-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



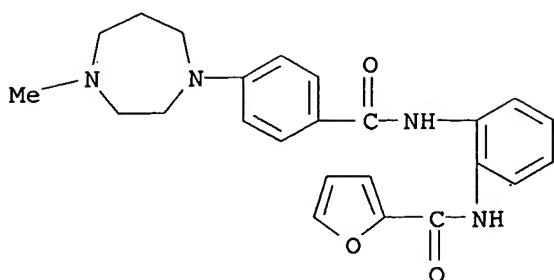
RN 303135-80-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



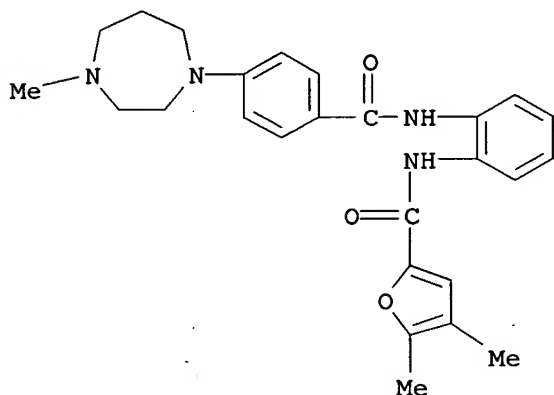
RN 303135-83-3 CAPLUS

CN 2-Furancarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



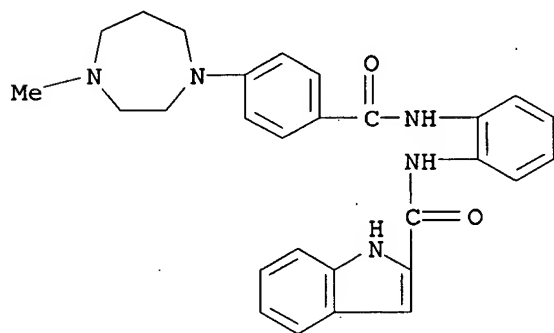
RN 303135-86-6 CAPLUS

CN 2-Furancarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4,5-dimethyl- (9CI) (CA INDEX NAME)



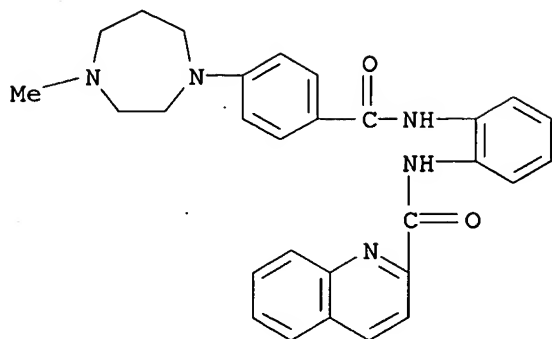
RN 303135-89-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



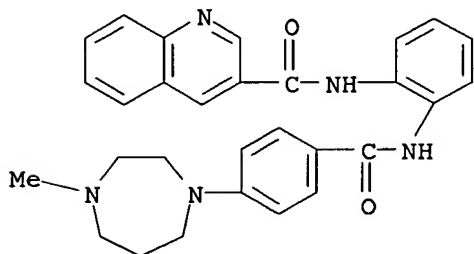
RN 303135-92-4 CAPLUS

CN 2-Quinolinecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



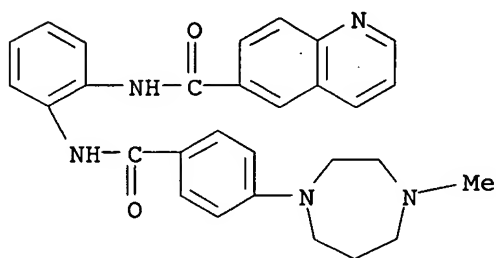
RN 303135-95-7 CAPLUS

CN 3-Quinolincarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



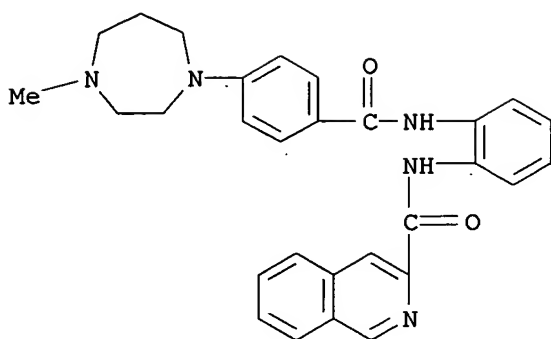
RN 303135-98-0 CAPLUS

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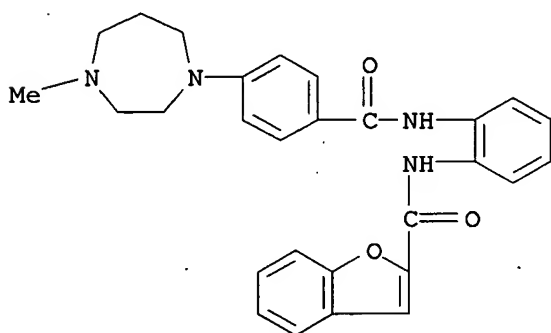


RN 303136-01-8 CAPLUS

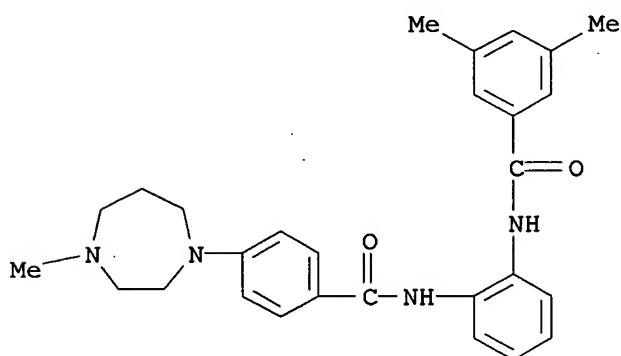
CN 3-Isoquinolinecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



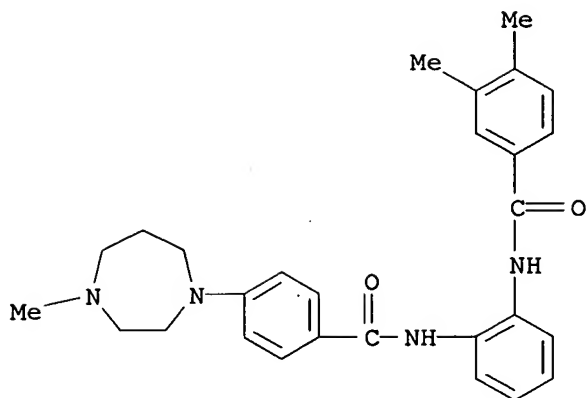
RN 303136-04-1 CAPLUS  
 CN 2-Benzofurancarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303136-07-4 CAPLUS  
 CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

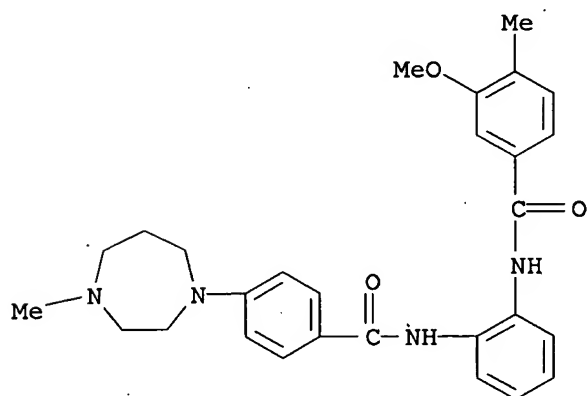


RN 303136-10-9 CAPLUS  
 CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3,4-dimethyl- (9CI) (CA INDEX NAME)



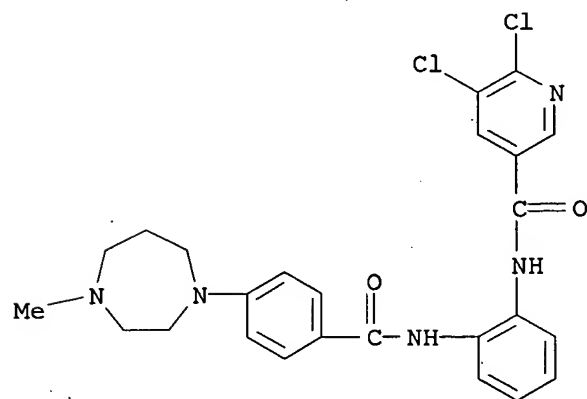
RN 303136-13-2 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3-methoxy-4-methyl- (9CI) (CA INDEX NAME)



RN 303136-16-5 CAPLUS

CN 3-Pyridinecarboxamide, 5,6-dichloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)

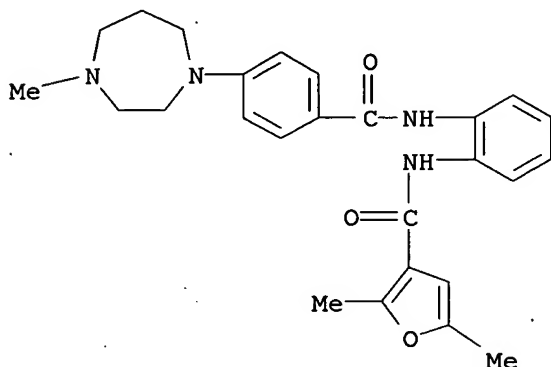




10/656,129

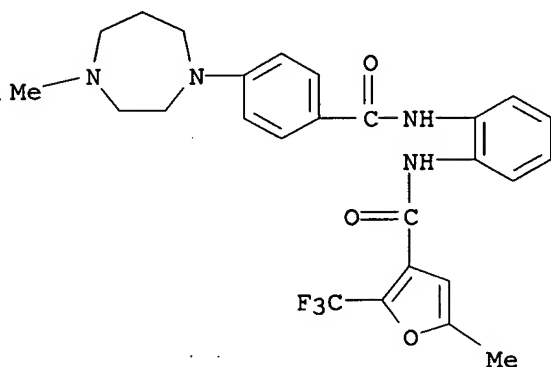
RN 303136-19-8 CAPLUS

CN 3-Furancarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



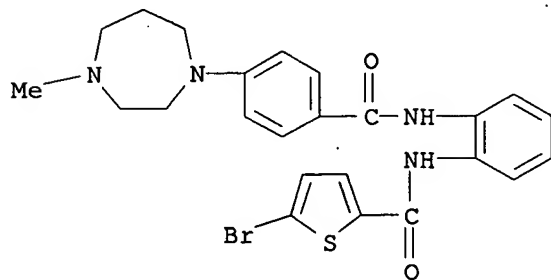
RN 303136-22-3 CAPLUS

CN 3-Furancarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-5-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 303136-25-6 CAPLUS

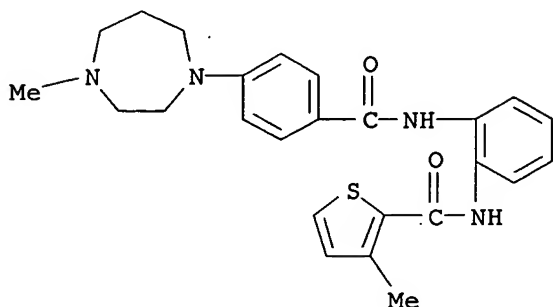
CN 2-Thiophenecarboxamide, 5-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



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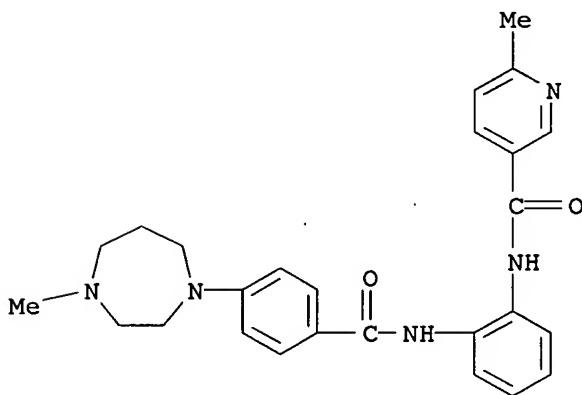
RN 303136-28-9 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



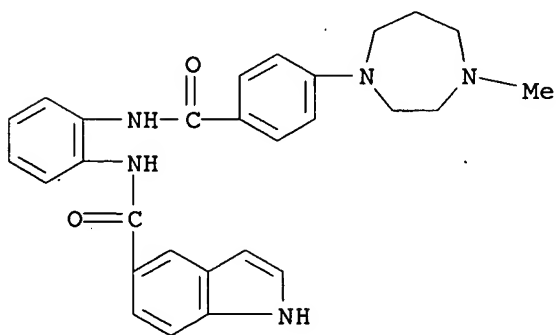
RN 303136-31-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 303136-34-7 CAPLUS

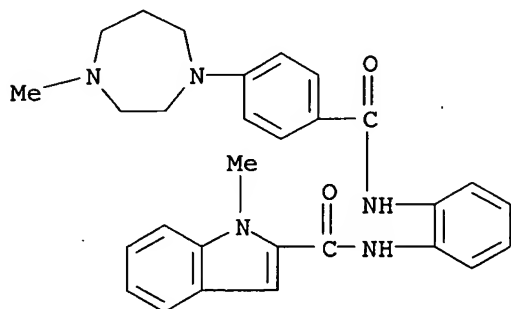
CN 1H-Indole-5-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



10/656,129

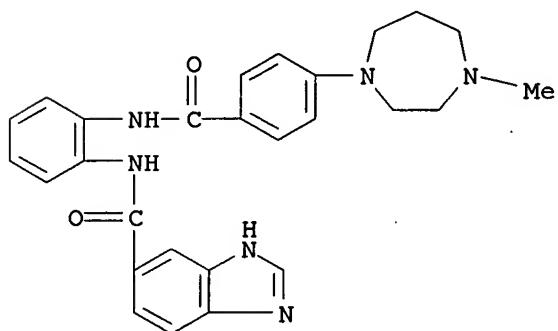
RN 303136-37-0 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-1-methyl- (9CI) (CA INDEX NAME)



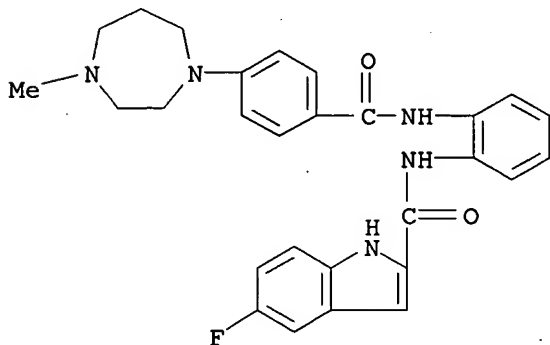
RN 303136-40-5 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303136-43-8 CAPLUS

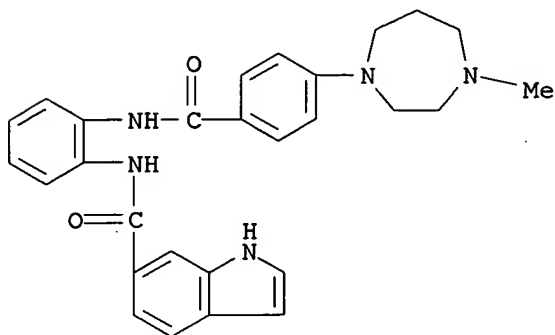
CN 1H-Indole-2-carboxamide, 5-fluoro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303136-46-1 CAPLUS

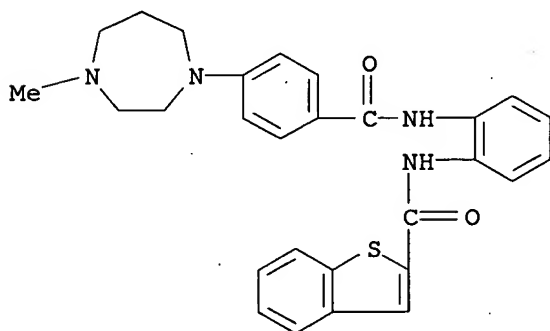
CN 1H-Indole-6-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-

yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



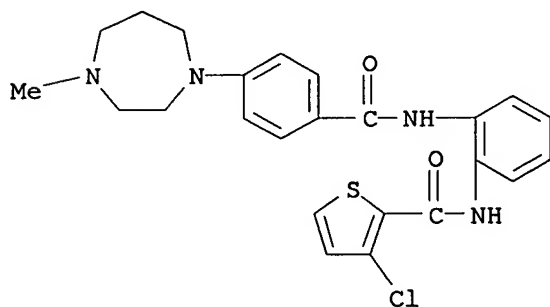
RN 303136-49-4 CAPLUS

CN Benzo[b]thiophene-2-carboxamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



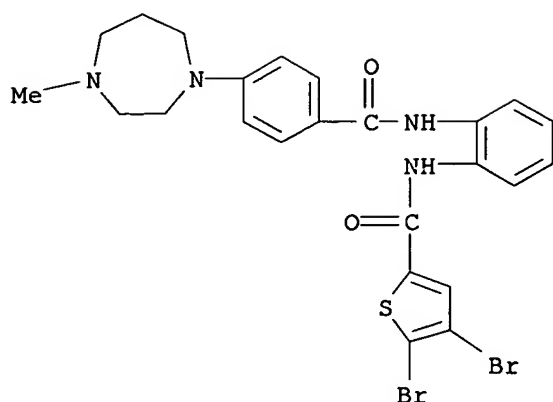
RN 303136-52-9 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



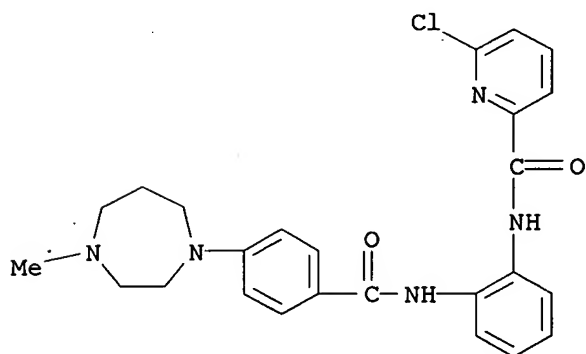
RN 303136-55-2 CAPLUS

CN 2-Thiophenecarboxamide, 4,5-dibromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



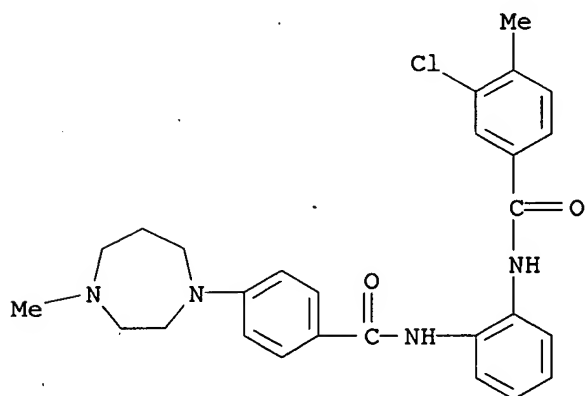
RN 303136-58-5 CAPLUS

CN 2-Pyridinecarboxamide, 6-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303136-61-0 CAPLUS

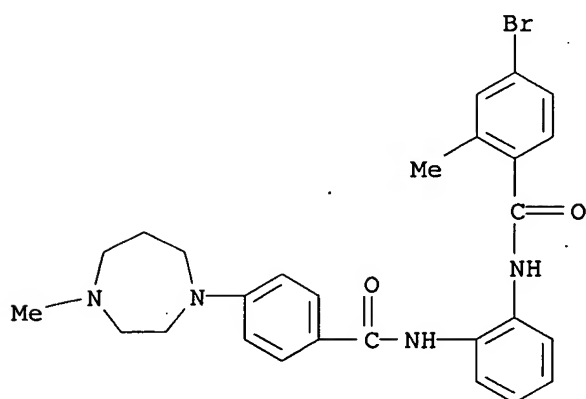
CN Benzamide, 3-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 303136-64-3 CAPLUS

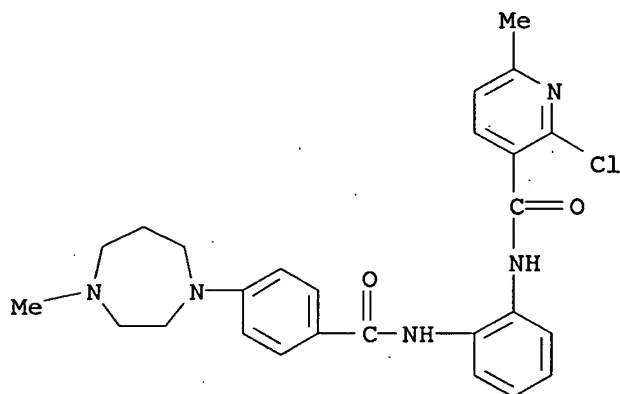
10/656,129

CN Benzamide, 4-bromo-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



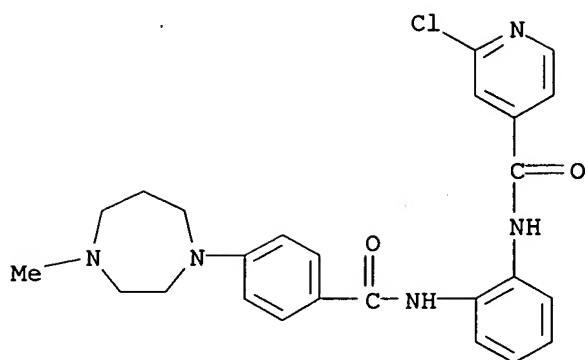
RN 303136-67-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]-6-methyl- (9CI) (CA INDEX NAME)



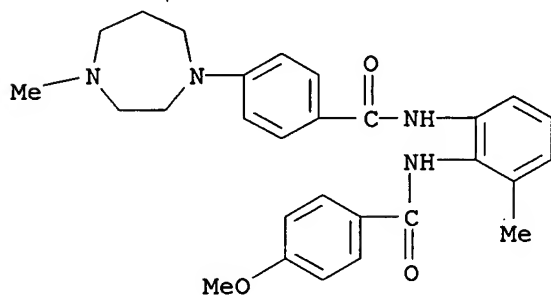
RN 303136-71-2 CAPLUS

CN 4-Pyridinecarboxamide, 2-chloro-N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 303142-96-3 CAPLUS

CN Benzamide, N-[2-[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzoyl]amino]-6-methylphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



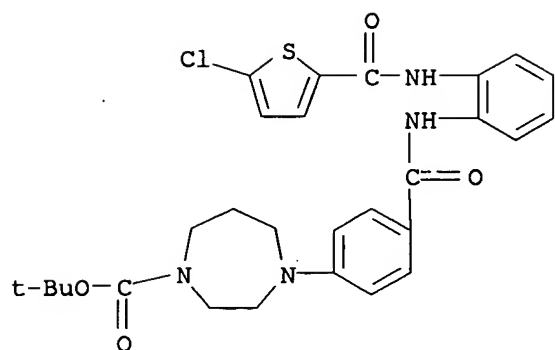
● HCl

IT 303134-49-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of phenyldiazepane derivs. or salt thereof having anticoagulant activity as blood coagulation inhibitors and antithrombotics)

RN 303134-49-8 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[4-[[[2-[[[5-chloro-2-thienyl]carbonyl]amino]phenyl]amino]carbonyl]phenyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



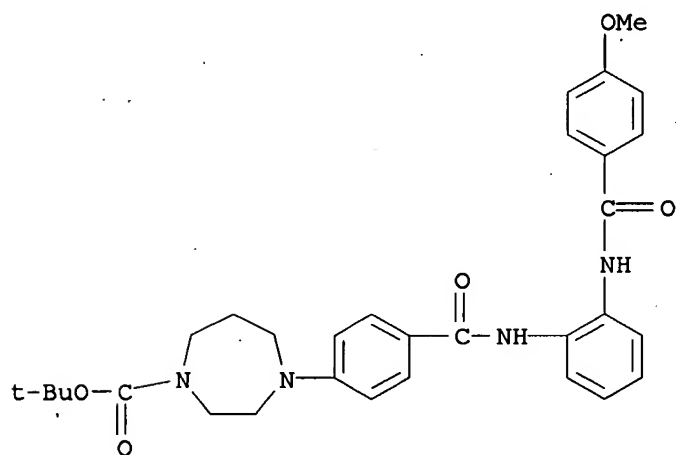
IT 303134-20-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenyldiazepane derivs. or salt thereof having anticoagulant activity as blood coagulation inhibitors and antithrombotics)

RN 303134-20-5 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[4-[[[2-[(4-methoxybenzoyl)amino]phenyl]amino]carbonyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





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~~DS~~ ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:666727 CAPLUS

DOCUMENT NUMBER: 133:252450

TITLE: Preparation of 3-(3-amidophenyl)-3,4-dihydroquinazolin-4-ones for treating diseases mediated by cytokines

INVENTOR(S): Brown, Dearg Sutherland

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

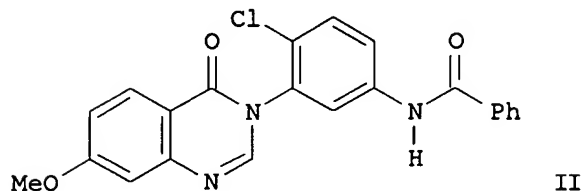
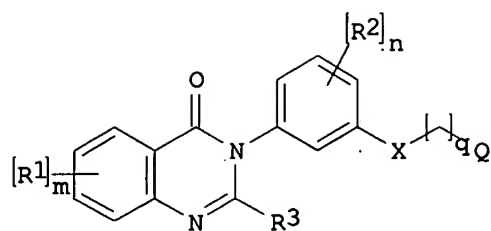
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2368097	AA	20000921	CA 2000-2368097	20000313
EP 1163237	A1	20011219	EP 2000-909498	20000313
EP 1163237	B1	20040506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009083	A	20020102	BR 2000-9083	20000313
TR 200103336	T2	20020422	TR 2001-200103336	20000313
JP 2002539207	T2	20021119	JP 2000-605582	20000313
AU 761453	B2	20030605	AU 2000-31778	20000313
AT 266023	E	20040515	AT 2000-909498	20000313
NZ 514195	A	20040528	NZ 2000-514195	20000313
PT 1163237	T	20040831	PT 2000-909498	20000313
ES 2219319	T3	20041201	ES 2000-909498	20000313
RU 2260007	C2	20050910	RU 2001-128066	20000313
ZA 2001007536	A	20030818	ZA 2001-7536	20010912
NO 2001004492	A	20011112	NO 2001-4492	20010914
HK 1041885	A1	20050128	HK 2002-103785	20020521
US 2005245551	A1	20051103	US 2005-176327	20050708
PRIORITY APPLN. INFO.:			GB 1999-6279	A 19990317
			GB 1999-26667	A 19991111
			WO 2000-GB912	W 20000313
			US 2001-936758	A3 20011115

OTHER SOURCE(S): MARPAT 133:252450

GI



AB The title compds. [I; X = NHCO, CONH; m = 0-3; R1 = OH, halo, CF3, etc.; n = 0-2; R2 = OH, halo, CF3, etc.; R3 = H, halo, alkyl, alkoxy; q = 0-4; Q = aryl, aryloxy, arylalkoxy, etc.], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. E.g., a multi-step synthesis of the quinazolinone II was given. In general compds. I showed over 30% inhibition of p38 $\alpha$  and/or p38 $\beta$  at up to 10  $\mu$ M.

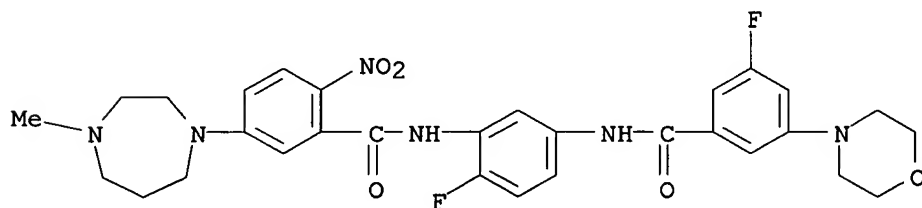
IT 295311-63-6P 295311-64-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(3-amidophenyl)-3,4-dihydroquinazolin-4-ones for treating diseases mediated by cytokines)

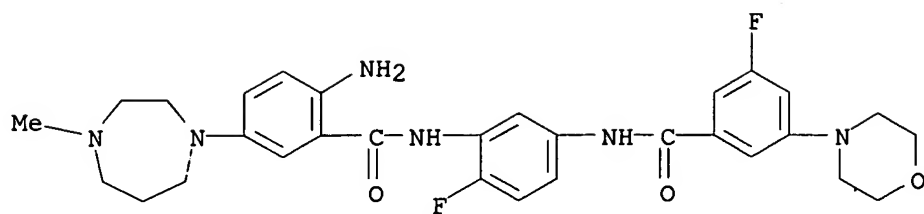
RN 295311-63-6 CAPLUS

CN Benzamide, N-[2-fluoro-5-[[3-fluoro-5-(4-morpholinyl)benzoyl]amino]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-nitro- (9CI) (CA INDEX NAME)



RN 295311-64-7 CAPLUS

CN Benzamide, 2-amino-N-[2-fluoro-5-[[3-fluoro-5-(4-morpholinyl)benzoyl]amino]phenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

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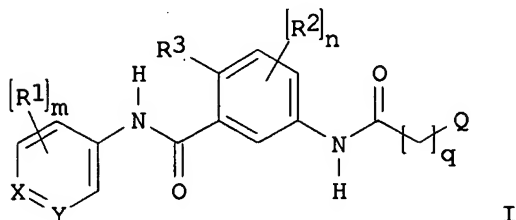
THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/656,129

18/ ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2000:666695 CAPLUS  
 DOCUMENT NUMBER: 133:252169  
 TITLE: Preparation of benzamides for treating diseases mediated by cytokines  
 INVENTOR(S): Brown, Dearg Sutherland  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055120	A1	20000921	WO 2000-GB914	20000313
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2361819	AA	20000921	CA 2000-2361819	20000313
NZ 513726	A	20010928	NZ 2000-513726	20000313
EP 1163212	A1	20011219	EP 2000-909500	20000313
EP 1163212	B1	20050831		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009041	A	20011226	BR 2000-9041	20000313
TR 200102568	T2	20020521	TR 2001-200102568	20000313
JP 2002539187	T2	20021119	JP 2000-605551	20000313
AU 761291	B2	20030529	AU 2000-31780	20000313
AT 303357	E	20050915	AT 2000-909500	20000313
ZA 2001006857	A	20021120	ZA 2001-6857	20010820
NO 2001004488	A	20011114	NO 2001-4488	20010914
US 6548514	B1	20030415	US 2001-936698	20010917
US 2003186966	A1	20031002	US 2003-353127	20030129
US 6794380	B2	20040921		
PRIORITY APPLN. INFO.:			GB 1999-6277	A 19990317
			GB 2000-2472	A 20000203
			WO 2000-GB914	W 20000313
			US 2001-936698	A3 20010917

OTHER SOURCE(S): MARPAT 133:252169  
 GI



AB The title compds. [I; X = CH, N; Y = CH, N; m = 0-3; R1 = OH, halo, CF3, etc.; n = 0-3; R2 = OH, halo, CF3, etc.; R3 = H, halo, alkyl, alkoxy; q = 0-4; Q = aryl, aryloxy, arylalkoxy, etc.], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. E.g., a multi-step synthesis of benzamide I [X, Y = CH; R1 = 4-Pr; m = 1; R2 = H; R3 = Me; q = 0; Q = 4-NCC6H4] was given. In general, compds. I give over 30% inhibition of p38 $\alpha$  and/or p38 $\beta$  at up to 10  $\mu$ M.

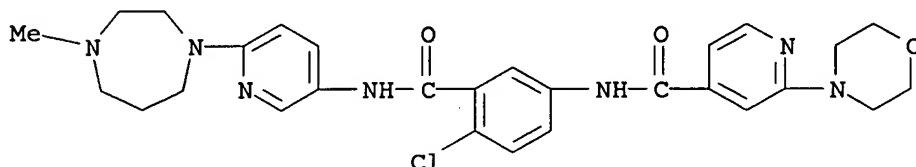
IT **295349-56-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamides for treating diseases mediated by cytokines)

RN 295349-56-3 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-chloro-3-[[[6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-3-pyridinyl]amino]carbonyl]phenyl]-2-(4-morpholinyl)- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/656,129

~~10~~ ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:117025 CAPLUS

DOCUMENT NUMBER: 132:166125

TITLE: Preparation of heteroarylcarboxamides as inhibitors of the production of cytokines

INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

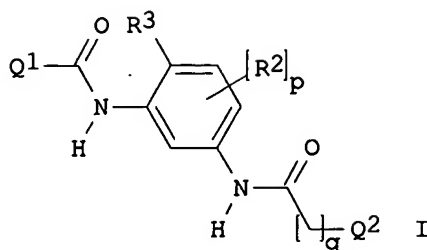
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007991	A1	20000217	WO 1999-GB2489	19990729
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338121	AA	20000217	CA 1999-2338121	19990729
AU 9951788	A1	20000228	AU 1999-51788	19990729
AU 753741	B2	20021024		
BR 9912729	A	20010502	BR 1999-12729	19990729
EP 1102750	A1	20010530	EP 1999-936810	19990729
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TR 200100300	T2	20010723	TR 2001-200100300	19990729
JP 2002522421	T2	20020723	JP 2000-563625	19990729
NZ 509318	A	20021025	NZ 1999-509318	19990729
RU 2216541	C2	20031120	RU 2001-105961	19990729
NO 2001000534	A	20010315	NO 2001-534	20010131
US 6432949	B1	20020813	US 2001-762107	20010202
US 2003105142	A1	20030605	US 2002-192495	20020711
PRIORITY APPLN. INFO.:			GB 1998-16838	A 19980804
			GB 1998-24939	A 19981113
			WO 1999-GB2489	W 19990729
			US 2001-762107	A3 20010202

OTHER SOURCE(S): MARPAT 132:166125

GI



AB The title compds. [I; R3 = alkyl, halo; Q1 = (un)substituted heteroaryl; p = 0-2; R2 = OH, halo; q = 0-4; Q2 = (un)substituted aryl, cycloalkyl, heteroaryl, heterocyclyl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. Thus, reacting 6-chloropyridine-3-carbonyl chloride with N-(3-amino-4-methylphenyl)-3-dimethylaminobenzamide (preparation given) in the presence of K2CO3 in DMF/CH2Cl2 afforded I [R3 = Me; R2 = H; Q1 = 6-chloropyrid-3-yl; q = 0; Q2 = 3-(Me2N)C6H4]. Biol. data (e.g., inhibition of p38 kinase and TNF $\alpha$  production) for compds. I were given.

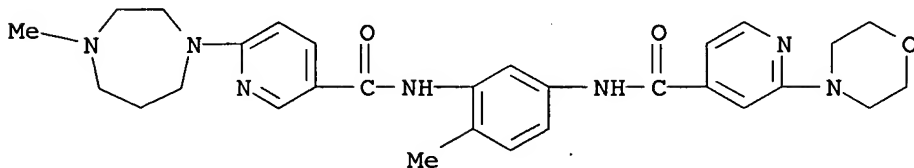
IT 258503-34-3P 258503-65-0P 258503-66-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroarylcarboxamides as inhibitors of the production of cytokines)

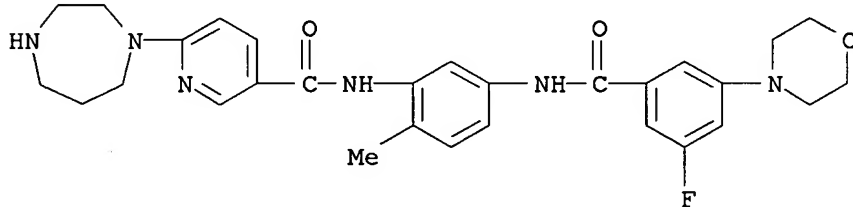
RN 258503-34-3 CAPLUS

CN 3-Pyridinecarboxamide, 6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-N-[2-methyl-5-[[[2-(4-morpholinyl)-4-pyridinyl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 258503-65-0 CAPLUS

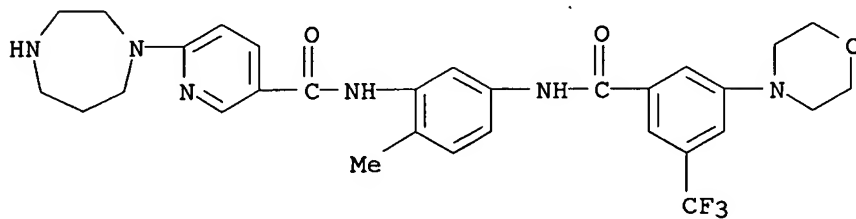
CN 3-Pyridinecarboxamide, N-[5-[[[3-fluoro-5-(4-morpholinyl)benzoyl]amino]-2-methylphenyl]-6-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 258503-66-1 CAPLUS

10/656,129

CN 3-Pyridinecarboxamide, 6-(hexahydro-1H-1,4-diazepin-1-yl)-N-[2-methyl-5-  
[[3-(4-morpholinyl)-5-(trifluoromethyl)benzoyl]amino]phenyl]- (9CI) (CA  
INDEX NAME)



REFERENCE COUNT:

7

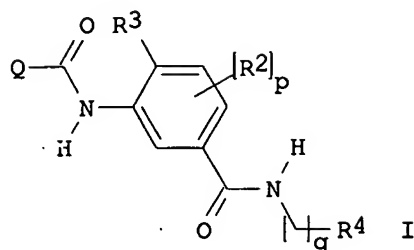
THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



10/656,129

L8/ ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2000:117019 CAPLUS  
 DOCUMENT NUMBER: 132:166015  
 TITLE: Preparation of benzamides as cytokine inhibitors  
 INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert  
 PATENT ASSIGNEE(S): Zeneca Ltd., UK  
 SOURCE: PCT Int. Appl., 110 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007980	A1	20000217	WO 1999-GB2494	19990729
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2337770	AA	20000217	CA 1999-2337770	19990729
AU 9951791	A1	20000228	AU 1999-51791	19990729
AU 756292	B2	20030109		
BR 9912726	A	20010502	BR 1999-12726	19990729
EP 1102743	A1	20010530	EP 1999-936814	19990729
EP 1102743	B1	20020724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522414	T2	20020723	JP 2000-563615	19990729
AT 221047	E	20020815	AT 1999-936814	19990729
PT 1102743	T	20021231	PT 1999-936814	19990729
ES 2178895	T3	20030101	ES 1999-936814	19990729
RU 2220951	C2	20040110	RU 2001-105984	19990729
NZ 509162	A	20040130	NZ 1999-509162	19990729
ZA 2001000617	A	20020122	ZA 2001-617	20010122
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US 6821965	B1	20041123	US 2001-762106	20010202
HK 1037608	A1	20021129	HK 2001-108406	20011129
US 2005038081	A1	20050217	US 2004-947463	20040923
PRIORITY APPLN. INFO.:			GB 1998-16837	A 19980804
			WO 1999-GB2494	W 19990729
			US 2001-762106	A3 20010202
OTHER SOURCE(S):	MARPAT	132:166015		
GI				



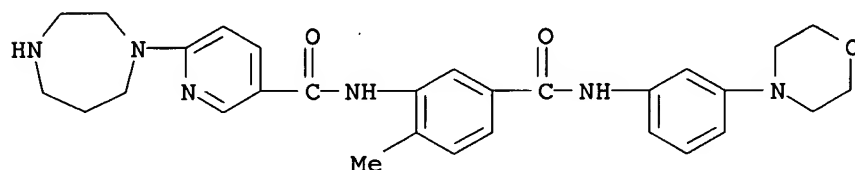
AB The title compds. [I; R3 = alkyl, halo; Q = (un)substituted aryl, heteroaryl; p = 0-2; R2 = OH, halo; q = 0-4; R4 = (un)substituted aryl, cycloalkyl, heteroaryl, heterocyclyl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. Thus, reacting 3-amino-N-(3-dimethylaminophenyl)-4-methylbenzamide (preparation given) with 3-methoxybenzoyl chloride in the presence of Et3N in CH2Cl2 afforded I [Q = 3-MeOC6H4; p = 0; R3 = Me; R4 = 3-Me2NC6H4; q = 0]. Biol. data (e.g., inhibition of p38 kinase and TNF $\alpha$  production) for compds. I were presented.

IT 258863-90-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzamides as cytokine inhibitors)

RN 258863-90-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-(hexahydro-1H-1,4-diazepin-1-yl)-N-[2-methyl-5-[[[3-(4-morpholinyl)phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT